

PCTWORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

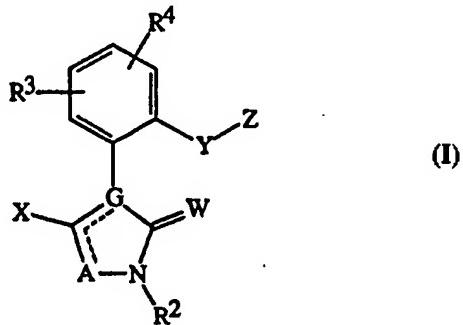
INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 :	A1	(11) International Publication Number: WO 96/36615 (43) International Publication Date: 21 November 1996 (21.11.96)
(21) International Application Number: PCT/US95/05847	(81) Designated States: JP, KR, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).	
(22) International Filing Date: 16 May 1995 (16.05.95)	Published <i>With international search report.</i>	
(71) Applicant (<i>for all designated States except US</i>): E.I. DU PONT DE NEMOURS AND COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US).		
(72) Inventors; and		
(75) Inventors/Applicants (<i>for US only</i>): BROWN, Richard, James [US/US]; 225 North Star Road, Newark, DE 19711-2939 (US). SUN, King-Mo [GB/US]; 22 Pine Grove Lane, Hockessin, DE 19707 (US). FRASIER, Deborah, Ann [US/US]; 15 Henry Court, Wilmington, DE 19808-2017 (US).		
(74) Agents: HEISER, David, E. et al.; E.I. du Pont de Nemours and Company, Legal Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US).		

(54) Title: FUNGICIDAL CYCLIC AMIDES

(57) Abstract

Cyclic amides of formula (I) which are useful as fungicides, wherein: A is O; S; N; NR⁵; or CR¹⁴; G is C or N; W is O or S; X is OR¹, S(O)_mR¹ or halogen; R¹, R², and R⁵ are independently, in part, C₁-C₆ alkyl; Y is, in part, -O-; -S(O)_n-; -CHR⁶O-; -OCHR⁶-; or -CHR⁶O-N=C(R⁷)-; Z is, in part, optionally substituted cycloalkyl, phenyl, pyridinyl, pyrimidinyl, or naphthyl; and R³, R⁴, R⁶, R⁷, R¹⁴, m, and n are defined in the disclosure, are disclosed.



FOR THE PURPOSES OF INFORMATION ONLY

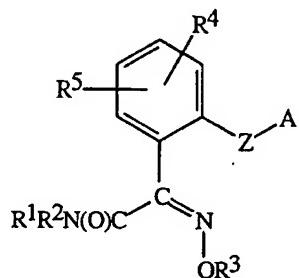
Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AM	Armenia	GB	United Kingdom	MW	Malawi
AT	Austria	GE	Georgia	MX	Mexico
AU	Australia	GN	Guinea	NE	Niger
BB	Barbados	GR	Greece	NL	Netherlands
BE	Belgium	HU	Hungary	NO	Norway
BF	Burkina Faso	IE	Ireland	NZ	New Zealand
BG	Bulgaria	IT	Italy	PL	Poland
BJ	Benin	JP	Japan	PT	Portugal
BR	Brazil	KE	Kenya	RO	Romania
BY	Belarus	KG	Kyrgyzstan	RU	Russian Federation
CA	Canada	KP	Democratic People's Republic of Korea	SD	Sudan
CF	Central African Republic	KR	Republic of Korea	SE	Sweden
CG	Congo	KZ	Kazakhstan	SG	Singapore
CH	Switzerland	LI	Liechtenstein	SI	Slovenia
CI	Côte d'Ivoire	LK	Sri Lanka	SK	Slovakia
CM	Cameroon	LR	Liberia	SN	Senegal
CN	China	LT	Lithuania	SZ	Swaziland
CS	Czechoslovakia	LU	Luxembourg	TD	Chad
CZ	Czech Republic	LV	Latvia	TG	Togo
DE	Germany	MC	Monaco	TJ	Tajikistan
DK	Denmark	MD	Republic of Moldova	TT	Trinidad and Tobago
EE	Estonia	MG	Madagascar	UA	Ukraine
ES	Spain	ML	Mali	UG	Uganda
FI	Finland	MN	Mongolia	US	United States of America
FR	France	MR	Mauritania	UZ	Uzbekistan
GA	Gabon			VN	Viet Nam

TITLE
FUNGICIDAL CYCLIC AMIDES
BACKGROUND OF THE INVENTION

This invention relates to cyclic amides substituted at the α -position with various
5 aryl groups, their agriculturally suitable salts and compositions, and methods of their use
as general or selective fungicides.

EP-A-398,692 discloses amides of Formula i as fungicides for crop protection.
Compounds of Formula i are:



10

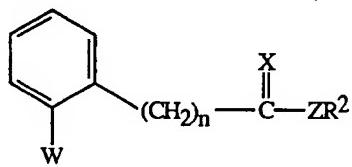
i

wherein

R¹ and R² are each hydrogen, lower alkyl, or lower cycloalkyl.

All the compounds disclosed in EP-A-398,692 have an aryl moiety bonded to an acyclic alkoxyiminoacetamide group. The cyclic amides of the present invention are not
15 disclosed therein.

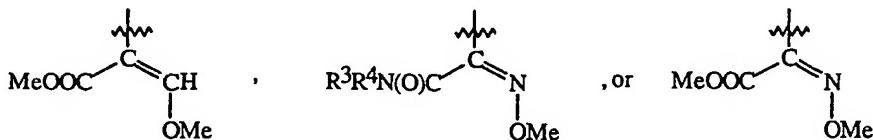
WO 93/07116 discloses compounds of Formula ii as fungicides for crop protection. Compounds of Formula ii are:



ii

20 wherein:

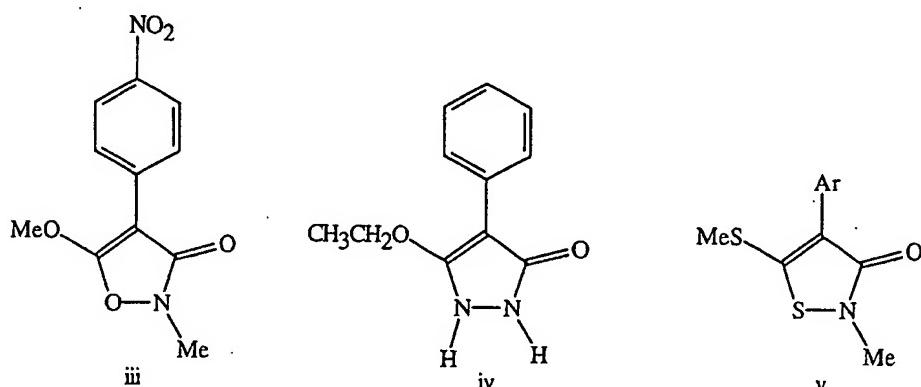
W is



Once again, the cyclic amides of this invention are not disclosed therein.

J. Heterocyclic Chem., (1987), 24, 465, *J. Heterocyclic Chem.*, (1988), 25, 1307, and *Australian J. Chem.*, (1977), 30 (8), 1815 disclose 4-nitrophenyl isoxazoles (iii), phenyl pyrazolones (iv), and aryl isothiazolinones (v) respectively.

5

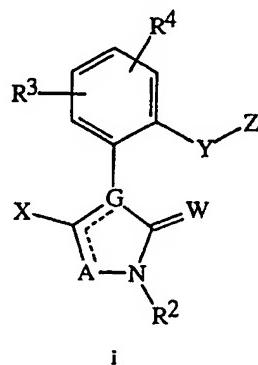


However, no utility as fungicides is alleged and no ortho-substituted compounds of the present invention are disclosed.

10

SUMMARY OF THE INVENTION

This invention comprises compounds of Formula I including all geometric and stereoisomers, agriculturally suitable salts thereof, agricultural compositions containing them and their use as fungicides:



15

wherein:

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, A is N or CR¹⁴ and the floating double bond is attached to A;

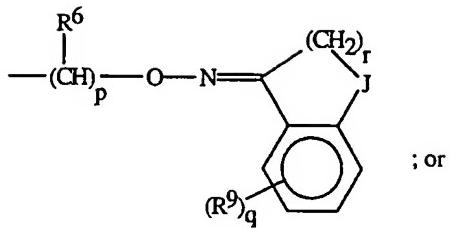
W is O or S;

X is OR¹; S(O)_mR¹; or halogen;

20

- R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl; or benzoyl optionally substituted with R¹³;
- 5 R² and R⁵ are each independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl; or benzoyl optionally substituted with R¹³;
- 10 R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; or C₂-C₆ alkynyoxy;
- 15 Y is -O-; -S(O)_n-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR⁶O-; -OCHR⁶-; -CHR⁶S(O)_n-; -S(O)_nCHR⁶-; -CHR⁶O-N=C(R⁷)-; -(R⁷)C=N-OCH(R⁶)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR⁶OC(=O)N(R¹⁵)-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the phenyl ring and the moiety on the right side of the linkage is bonded to Z;
- 20 R⁶ is independently H or C₁-C₃ alkyl;
- R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; cyano; or morpholinyl;
- 25 Z is C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each optionally substituted with R⁸; or Z is C₃-C₈ cycloalkyl or phenyl each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or Z is a 3 to 14-membered nonaromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, or Z is a 5 to 14-membered aromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, each nonaromatic or aromatic ring system containing 1 to 6 heteroatoms independently selected from the group 1-4 nitrogen, 1-2 oxygen, and 1-2 sulfur, each nonaromatic or aromatic ring system optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or
- 30 R⁷ and Z are taken together to form CH₂CH₂CH₂, CH₂CH₂CH₂CH₂, CH₂CH₂OCH₂CH₂, each CH₂ group optionally substituted with 1-2 halogen; or
- 35 Y and Z are taken together to form

4



5 R³, Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R⁴; provided that when R³, Y, and Z are taken together with the phenyl ring to form a naphthylene ring substituted by R⁴, and A is S, W is O, X is SCH₃ and R² is CH₃, then R⁴ is other than H;

J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or -CH₂N(R¹⁶)-; each CH₂ group optionally substituted with 1 to 2 CH₃;

10 R⁸ is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; or nitro; or R⁸ is phenyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

15 R⁹ is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; -C(R¹⁸)=NOR¹⁷; cyano; or nitro; or R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

20 R¹⁰ is halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or R⁹ and R¹⁰, when attached to adjacent atoms, are taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂ group optionally substituted with 1-2 halogen;

25 R¹¹ and R¹² are each independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; nitro; or cyano;

R¹³ is halogen; C₁-C₃ alkyl; C₁-C₃ haloalkyl; C₁-C₃ alkoxy; C₁-C₃ haloalkoxy; nitro; or cyano;

30 R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;

R¹⁵, R¹⁶, R¹⁷, and R¹⁸ are each independently H; C₁-C₃ alkyl; or phenyl
optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄
alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

5 m, n and q are each independently 0, 1 or 2; and
p and r are each independently 0 or 1;

provided that

(a) when A is N, G is N, X is S(O)_mR¹ and m is 0, then the combination of Y and
Z is other than alkyl, haloalkyl or alkoxy; and

10 (b) when A is NR⁵, G is C, X is OR¹ and R¹ is alkylcarbonyl, alkoxy carbonyl or
optionally substituted benzoyl, then the combination of Y and Z is other than
alkyl or alkoxy.

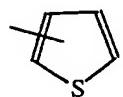
In the above recitations, the term "alkyl", used either alone or in compound words such as "haloalkyl" denotes straight-chain or branched alkyl; e.g., methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. "Alkenyl" denotes straight-chain or branched alkenes; e.g., 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. "Alkenyl" also denotes polyenes such as 1,3-hexadiene. "Alkynyl" denotes straight-chain or branched alkynes; e.g., ethynyl, 1-propynyl, 3-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also denote moieties comprised of multiple triple bonds; e.g., 2,4-hexadiyne. "Alkoxy" denotes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkenyloxy" denotes straight-chain or branched alkenyloxy moieties. Examples of alkenyloxy include H₂C=CHCH₂O, (CH₃)₂C=CHCH₂O, (CH₃)CH=CHCH₂O, (CH₃)CH=C(CH₃)CH₂O and CH₂=CHCH₂CH₂O. "Alkynyloxy" denotes straight-chain or branched alkynyloxy moieties. Examples include HC≡CCH₂O, 25 CH₃C≡CCH₂O and CH₃C≡CCH₂CH₂O. The term "halogen", either alone or in compound words such as "haloalkyl", denotes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F₃C, ClCH₂, CF₃CH₂ and CF₃CCl₂. The term "cycloalkyl" denotes 30 cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl moieties. The term "nonaromatic heterocyclic ring system" includes fully saturated heterocycles and partially aromatic heterocycles. The total number of carbon atoms in a substituent group is indicated by the "C_i-C_j" prefix where i and j are numbers from 1 to 10. For example, C₁-C₃ alkyl designates methyl through propyl; C₂ alkoxy designates CH₃CH₂O; and C₃ alkoxy 35 designates, for example, CH₃CH₂CH₂O or (CH₃)₂CHO. In the above recitations, when a compound of Formula I is comprised of one or more aromatic nitrogen-containing rings (e.g., pyridinyl and pyrimidinyl), all bonds to these heterocycles are made through the carbon atom(s) of the moieties.

Preferred compounds, compositions containing them, and methods of their use for reasons of better activity and/or ease of synthesis are:

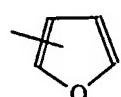
Preferred 1. Compounds of Formula I above wherein:

W is O;

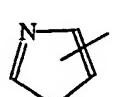
- 5 R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;
 R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl;
 R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl;
 C₁-C₆ haloalkyl; C₁-C₆ alkoxy; or C₁-C₆ haloalkoxy;
 Y is -O-; -CH=CH-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -CH₂O-N=C(R⁷)-;
 10 -C(R⁷)=N-O-; -CH₂OC(O)NH-; or a direct bond;
 R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ alkynyl; or
 cyano;
 Z is C₁-C₁₀ alkyl optionally substituted with R⁸; or C₃-C₈ cycloalkyl or
 phenyl, each optionally substituted with one of R⁹, R¹⁰, or both R⁹
 15 and R¹⁰; or Z is



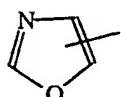
Z-1



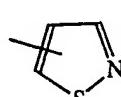
Z-2



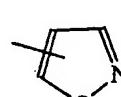
Z-3



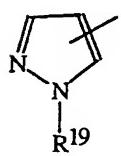
Z-4



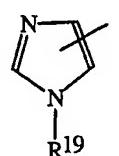
Z-5



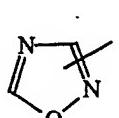
Z-6



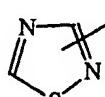
Z-7



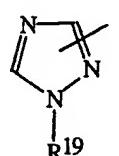
Z-8



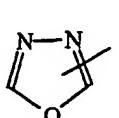
Z-9



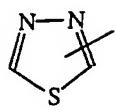
Z-10



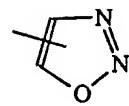
Z-11



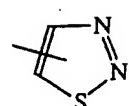
Z-12



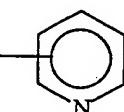
Z-13



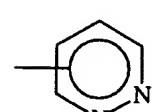
Z-14



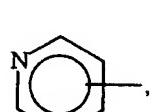
Z-15



Z-16

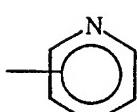


Z-17

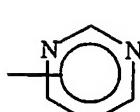


Z-18

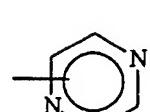
5



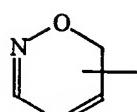
Z-19



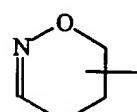
Z-20



Z-21



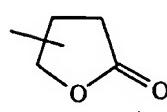
Z-22



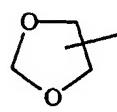
Z-23



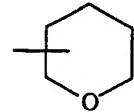
Z-24



Z-25

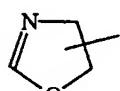


Z-26

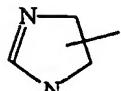


Z-27

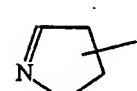
10



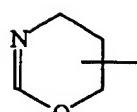
Z-28



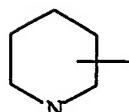
Z-29



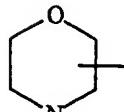
Z-30



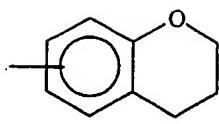
Z-31



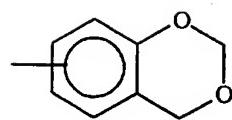
Z-32



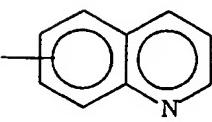
Z-33



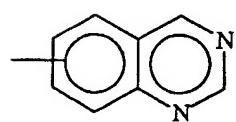
Z-34



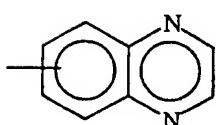
Z-35



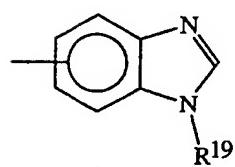
Z-36



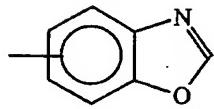
Z-37



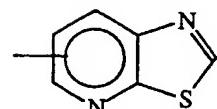
Z-38



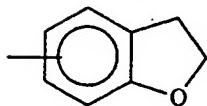
Z-39



Z-40

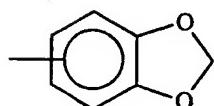


Z-41

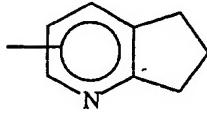


10

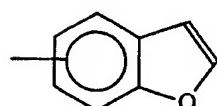
Z-42



Z-43

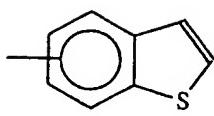


Z-44

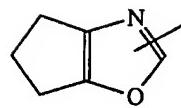


Z-45

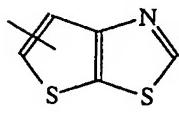
9



Z-46

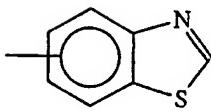


Z-47



Z-48

or

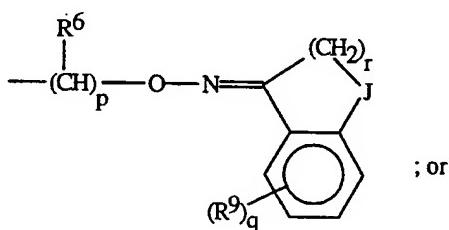


Z-49

5 each group optionally substituted with one R⁹, R¹⁰, or both R⁹ and R¹⁰;

or

R³, Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R⁴; or Y and Z are taken together to form



10

R⁸ is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; or R⁸ is phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

15

R⁹ is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; cyano; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); or N(C₁-C₆ alkyl)₂; or R⁹ is C₃-C₆ cycloalkyl, phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²; and

20

R¹⁹ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano.

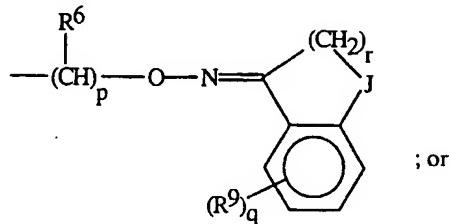
Preferred 2. Compounds of Preferred 1 wherein:

25

Z is phenyl or Z-1 to Z-21, each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or

10

Y and Z are taken together to form

J is -CH₂- or -CH₂CH₂-;

p is 0; and

r is 1.

5

Preferred 3. Compounds of Preferred 2 wherein:

A is O; N; NR⁵; or CR¹⁴;X is OR¹;R¹ is C₁-C₃ alkyl;

10

R² is H or C₁-C₂ alkyl;R³ and R⁴ are each H;Y is -O-; -CH=CH-; -CH₂O-; -OCH₂-; -CH₂O-N=C(R⁷)-; or
-CH₂OC(=O)NH-;R⁷ is H; C₁-C₃ alkyl; or C₁-C₃ haloalkyl; and

15

Z is phenyl, pyridinyl, pyrimidinyl, or thiienyl, each optionally substituted
with one of R⁹, R¹⁰, or both R⁹ and R¹⁰.

Preferred 4. Compounds of Preferred 3 wherein:

A is O or NR⁵;

G is C;

20

Y is -O-; -CH₂O-; -OCH₂-; or -CH₂O-N=C(R⁷)-; andR⁷ is H; C₁-C₂ alkyl; or C₁-C₂ haloalkyl.

Preferred 5. Compounds of Preferred 3 wherein:

A is N or CR¹⁴;

G is N;

25

Y is -O-; -CH₂O-; -OCH₂-; or -CH₂O-N=C(R⁷)-; andR⁷ is H; C₁-C₂ alkyl; or C₁-C₂ haloalkyl.

Preferred 6. Compounds of Preferred 4 wherein:

R¹ is methyl;R² is methyl; and

30

Z is phenyl optionally substituted with one of R⁹, R¹⁰, or both R⁹ and
R¹⁰.

Preferred 7. Compounds of Preferred 5 wherein:

R¹ is methyl;

R^2 is methyl; and

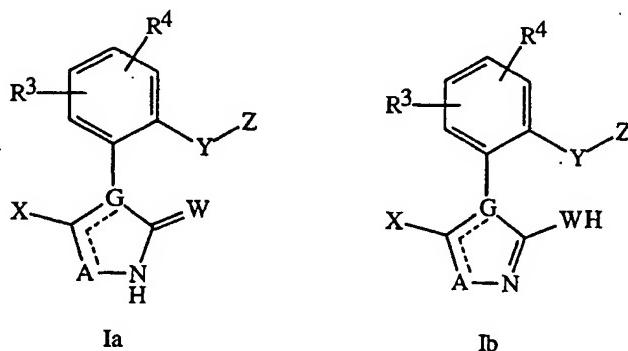
Z is phenyl optionally substituted with one of R^9 , R^{10} , or both R^9 and R^{10} .

It is recognized that some reagents and reaction conditions described below for 5 preparing compounds of Formula I may not be compatible with some functionalities claimed for R^1 , R^2 , R^3 , R^4 , A, G, W, X, Y, and Z. In these cases, the incorporation of protection/deprotection sequences into the synthesis may be necessary in order to obtain the desired products. The cases in which protecting groups are necessary, and which protecting group to use, will be apparent to one skilled in chemical synthesis.

10 In the following description of the preparation of compounds of Formula I, compounds denoted as Formula Ia through Ik are various subsets of the compounds of Formula I. All substituents for compounds of Formula Ia through Ik and Formulae 1-39 are as defined above for Formula I except where indicated otherwise.

15 Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active than the others and how to separate said stereoisomers. Accordingly, the present invention comprises mixtures, individual stereoisomers, and optically active mixtures of compounds of Formula I as well as agriculturally suitable salts thereof.

20 One skilled in the art will recognize that some compounds of Formula I can exist in one or more tautomeric forms. For example, a compound of Formula I wherein R^2 is H may exist as tautomer Ia or Ib, or both Ia and Ib. The present invention comprises all tautomeric forms of compounds of Formula I.



25

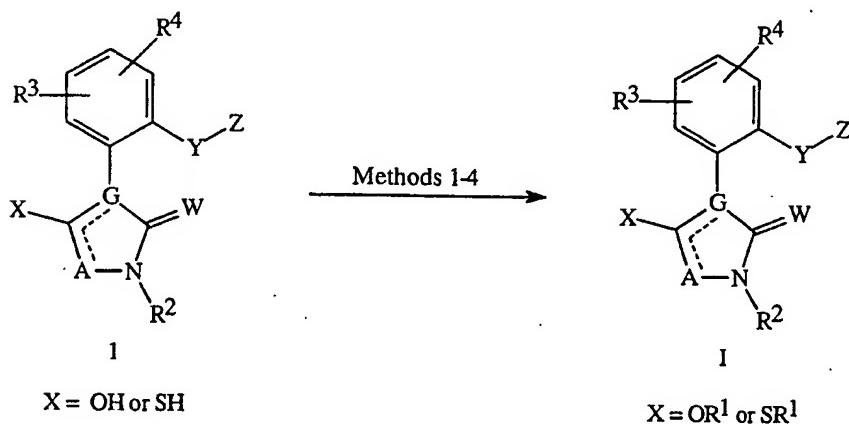
DETAILED DESCRIPTION OF THE INVENTION

The compounds of Formula I can be prepared as described below in Procedures 1) to 5). Procedures 1) to 4) describe syntheses involving construction of the amide ring after the formation of the aryl moiety. Procedure 5) describes syntheses of the aryl 30 moiety with the amide ring already in place.

1) Alkylation Procedures

The compounds of Formula I are prepared by treating compounds of Formula I-1 with an appropriate alkyl transfer reagent in an inert solvent with or without additional acidic or basic reagents or other reagents (Scheme 1). Suitable solvents are selected from the group consisting of polar aprotic solvents such as acetonitrile, dimethylformamide or dimethylsulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; and halocarbons such as dichloromethane or chloroform.

Scheme 1



Method 1: Q-CH=N₂ (Q = H or (CH₃)₃Si)

Method 2: $\text{Cl}_3\text{C}-\overset{\text{NH}}{\underset{3}{\text{C}}}(\text{OR}^1)$; Lewis acid

Method 3: $(R^1)_3O^+BF_4^-$

Method 4: $(R^1)_2SO_4$; R^1OSO_2Q ; or $R^1\text{-hal}$;
optional base

(hal = F, Cl, Br, or I)
(Q = C₁-C₆ alkyl, C₁-C₆ haloalkyl)

10

For example, compounds of Formula I can be prepared by the action of diazoalkane reagents of Formula 2 such as diazomethane ($Q = H$) or trimethylsilyldiazomethane ($Q = (CH_3)_3Si$) on compounds of dicarbonyl compounds of Formula 1 (Method 1). Use of trimethylsilyldiazomethane requires a protic cosolvent such as methanol. For examples of these procedures, see *Chem. Pharm. Bull.*, (1984), 32, 3759.

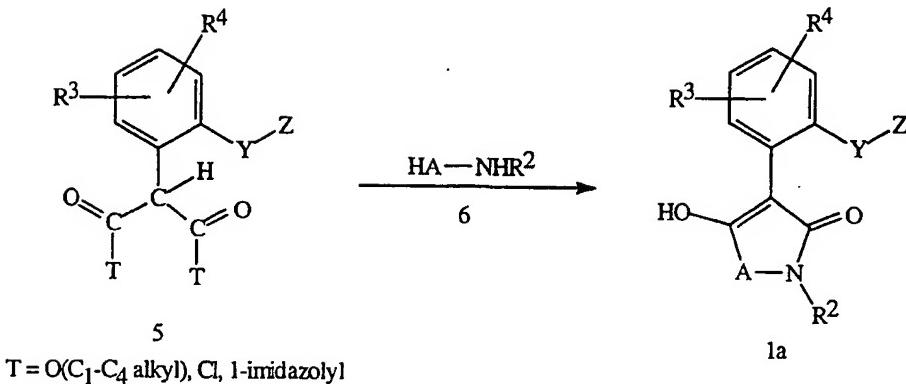
As indicated in Method 2, compounds of Formula I can also be prepared by contacting carbonyl compounds of Formula 1 with alkyl trichloroacetimidates of Formula 3 and a Lewis acid catalyst. Suitable Lewis acids include trimethylsilyl triflate and tetrafluoroboric acid. The alkyl trichloroacetimidates can be prepared from the 5 appropriate alcohol and trichloroacetonitrile as described in the literature (J. Danklmaier and H. Höning, *Synth. Commun.*, (1990), 20, 203).

Compounds of Formula I can also be prepared from compounds of Formula 1 by treatment with a trialkyloxonium tetrafluoroborate (i.e., Meerwein's salt) of Formula 4 (Method 3). The use of trialkyloxonium salts as powerful alkylating agents is well 10 known in the art (see U. Schöllkopf, U. Groth, C. Deng, *Angew. Chem., Int. Ed. Engl.*, (1981), 20, 798).

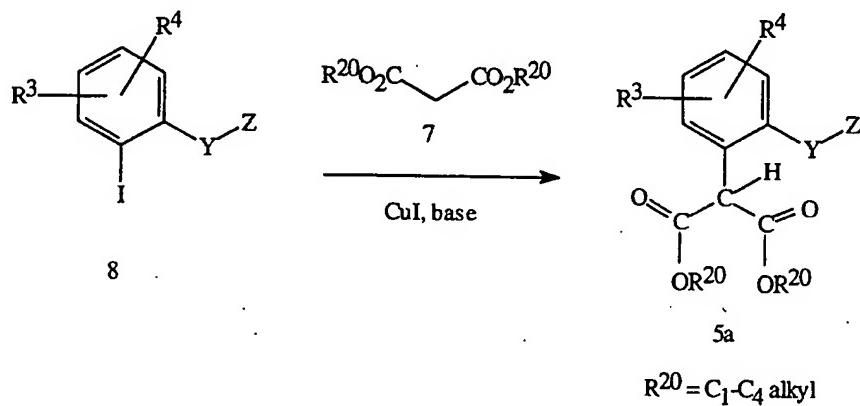
Other alkylating agents which can convert carbonyl compounds of Formula 1 to compounds of Formula I are dialkyl sulfates such as dimethyl sulfate, haloalkyl sulfonates such as methyl trifluoromethanesulfonate, and alky halides such as iodomethane and 15 propargyl bromide (Method 4). These alkylations can be conducted with or without additional base. Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), and triethylenediamine. See R. E. Benson, T. L. Cairns, *J. Am. Chem. Soc.*, 20 (1948), 70, 2115 for alkylation examples using agents of this type.

Compounds of Formula 1a (compounds of Formula 1 wherein G = C, W = O and X = OH) can be prepared by condensation of malonates or malonate derivatives of Formula 5 with an ambident nucleophile of Formula 6 (Scheme 2). The nucleophiles of Formula 6 are *N*-substituted hydroxylamines ($\text{HO}-\text{NHR}^2$) and substituted hydrazines (HN(R^5)- NHR^2). Examples of such nucleophiles are *N*-methylhydroxylamine and 25 methylhydrazine. The preparation of the malonate esters of Formula 5 can be prepared by methods described hereinafter. The esters of Formula 5 can also be activated by first hydrolyzing the ester to form the corresponding carboxylic acid, and then converting the acid into the acid chloride ($T = \text{Cl}$) using thionyl chloride or oxalyl chloride, or into the 30 acyl imidazole ($T = 1\text{-imidazolyl}$) by treating with 1,1'-carbonyldiimidazole.

14

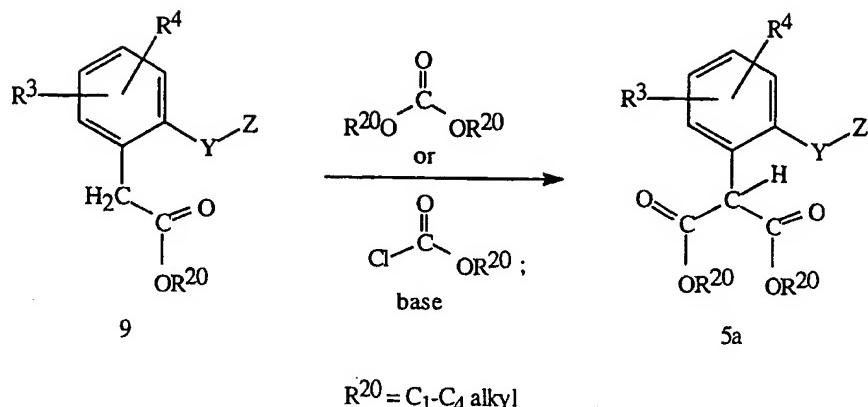
Scheme 2

Esters of Formula 5a can be prepared from copper (I)-catalyzed reaction of malonate esters of Formula 7 with substituted iodobenzenes of Formula 8 according to methods adapted from A. Osuka, T. Kobayashi and H. Suzuki, *Synthesis*, (1983), 67, and illustrated in Scheme 3.

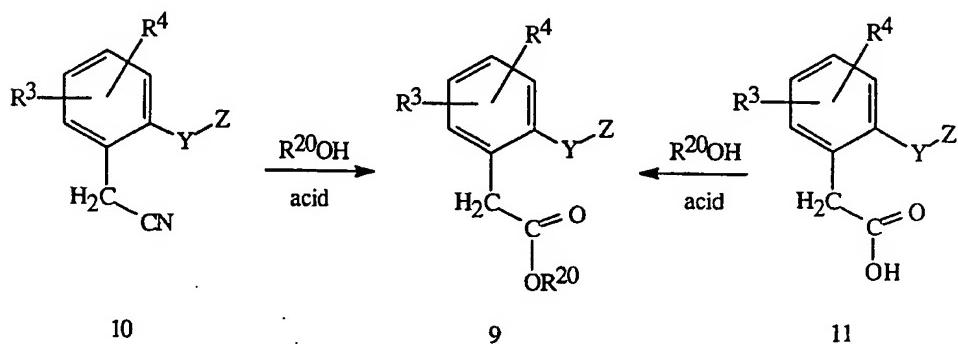
Scheme 3

Additionally, the malonate esters of Formula 5a can be prepared by treating phenyl acetic acid esters of Formula 9 with a dialkyl carbonate or alkyl chloroformate in the presence of a suitable base such as, but not limited to, sodium metal and sodium hydride (Scheme 4). For example, see *J. Am. Chem. Soc.*, (1928), 50, 2758.

15

Scheme 4

Esters of Formula 9 can be prepared from acid-catalyzed alcoholysis of phenyl acetonitriles of Formula 10 or esterification of phenyl acetic acids of Formula 11 as illustrated in Scheme 5 (see *Org. Synth.*, Coll. Vol. I, (1941), 270).

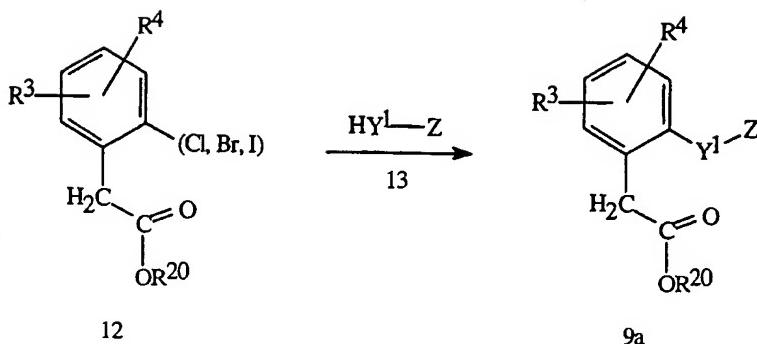
Scheme 5

$\text{R}^{20} = \text{C}_1\text{-C}_4 \text{ alkyl}$

Phenyl acetic acid esters of Formula 9a can also be prepared by 10 copper (II)-catalyzed condensation of phenyl halides of Formula 12 with compounds of Formula 13 as described in EP-A-307,103 and illustrated below in Scheme 6.

16

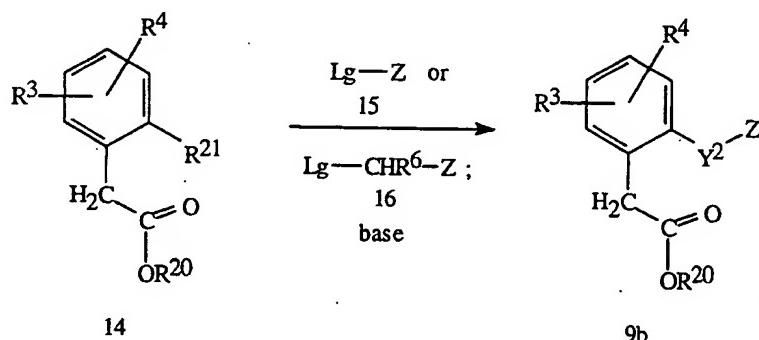
Scheme 6



$R^{20} = C_1-C_4$ alkyl
 $Y^1 = O, S, OCHR^6, SCHR^6, O-N=C(R^7)$

Some esters of Formula 9 (Formula 9b) can also be prepared by forming the Y² bridge using conventional nucleophilic substitution chemistry (Scheme 7). Displacement of an appropriate leaving group (Lg) in electrophiles of Formula 15 or 16 with a nucleophilic ester of Formula 14 affords compounds of Formula 9b. A base, for example sodium hydride, is used to generate the corresponding alkoxide or thioalkoxide of the compound of Formula 14.

Scheme 7

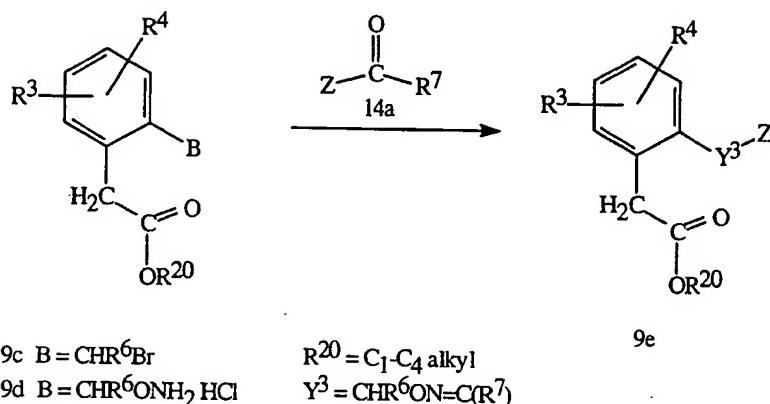


$$\begin{aligned}
 R^{20} &= C_1\text{-}C_4 \text{ alkyl} \\
 R^{21} &= OH, SH, CHR^6OH, CHR^6SH \\
 Y^2 &= O, S, OCHR^6, SCHR^6, CHR^6O, CHR^6S \\
 Lg &= Br, Cl, I, OSO_2CH_3, OSO_2-(1-Me-Pt)
 \end{aligned}$$

10

Some esters of Formula 9 (Formula 9e) can also be prepared by forming the Y³ bridge from substituted hydroxylamine 9d and carbonyl compounds 14a. The hydroxylamine 9d is in turn prepared from esters 9c. This method has been described in EP-600,835 and illustrated in Scheme 8.

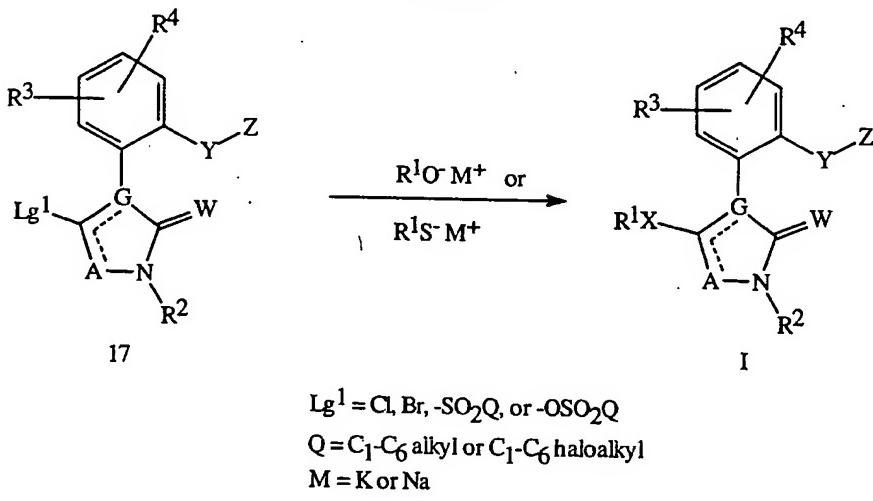
17

Scheme 8

2) Displacement and Conjugate Addition/Elimination Procedures

Compounds of Formula I can also be prepared by reaction of Formula 17
 5 compounds with alkali metal alkoxides ($R^1O^-M^+$) or alkali metal thioalkoxides ($R^1S^-M^+$) in a suitable solvent (Scheme 9). The leaving group Lg^1 in the amides of Formula 17 are any group known in the art to undergo a displacement reaction of this type. Examples of suitable leaving groups include chlorine, bromine, and sulfonyl and sulfonate groups. Examples of suitable inert solvents are dimethylformamide or dimethylsulfoxide.

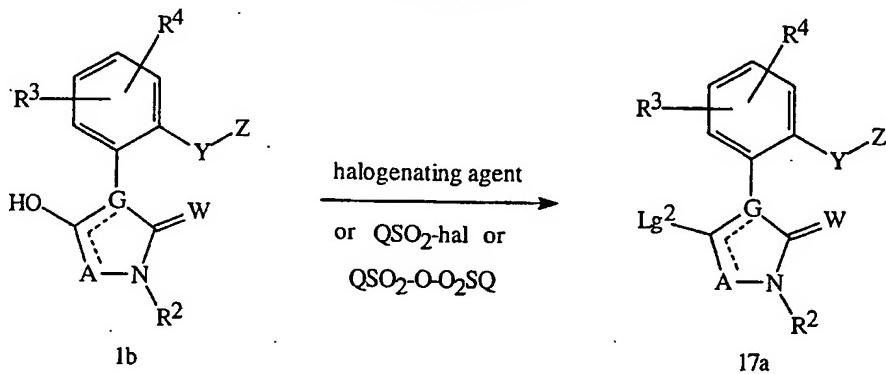
10

Scheme 9

Compounds of Formula 17a can be prepared from compounds of Formula 1b
 (compounds of Formula 1 wherein X is OH) by reaction with halogenating agents such
 15 as thionyl chloride or phosphorus oxybromide to form the corresponding
 β-halo-substituted derivatives (Scheme 10). Alternatively, compounds of Formula 1b
 can be treated with an alkylsulfonyl halide or haloalkylsulfonyl anhydride, such as

methane sulfonyl chloride, *p*-toluenesulfonyl chloride, and trifluoromethanesulfonyl anhydride, to form the corresponding β -alkylsulfonate of Formula 17a. The reaction with the sulfonyl halides may be performed in the presence of a suitable base (e.g., triethylamine).

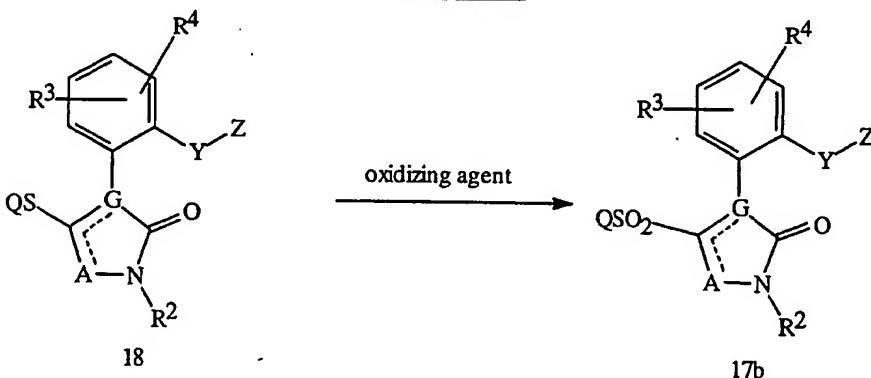
5

Scheme 10

$Lg^2 = Cl, Br, or -OSO_2Q$
 $Q = C_1-C_6$ alkyl or C_1-C_6 haloalkyl
 $hal = Br, Cl$ or F

As illustrated in Scheme 11, sulfonyl compounds of Formula 17b can be prepared by oxidation of the corresponding thio compound of Formula 18 using well-known methods for the oxidation of sulfur (see Schrenk, K. In *The Chemistry of Sulphones and Sulphoxides*; Patai, S. et al., Eds.; Wiley: New York, 1988). Suitable oxidizing reagents include meta-chloro-peroxybenzoic acid, hydrogen peroxide and Oxone® (KHSO₅).

10

Scheme 11

$Q = C_1-C_6$ alkyl or C_1-C_6 haloalkyl

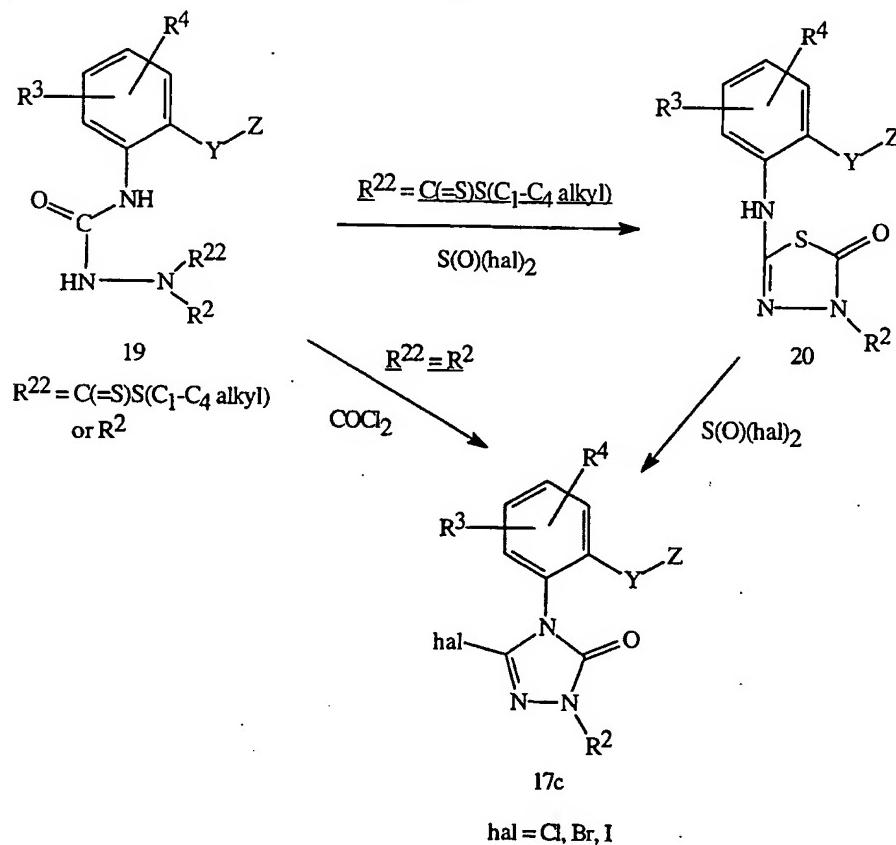
Alternatively, halo-compounds of Formula 17c (compounds of Formula 17a wherein A = N, G = N, and W = O) can be prepared from hydrazides of Formula 19 as illustrated in Scheme 12. When R²² = C(=S)S(C₁-C₄ alkyl), the diacyl compound of Formula 19 is treated with excess thionyl halide, for example excess thionyl chloride.

15

The product formed first is the ring-closed compound of Formula 20 which can be isolated or converted *in situ* to the compound of Formula 17c; see P. Molina, A. Tárraga, A. Espinosa, *Synthesis*, (1989), 923 for a description of this process.

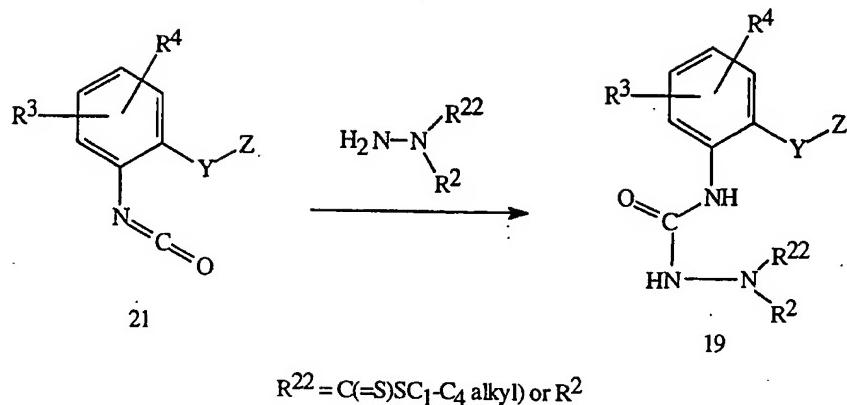
- Alternatively, when $R^{22} = R^2$ as defined above, the hydrazide of Formula 19 is cyclized with phosgene to form the cyclic urea of Formula 17c wherein hal = Cl. This procedure is described in detail in *J. Org. Chem.*, (1989), 54, 1048.

Scheme 12

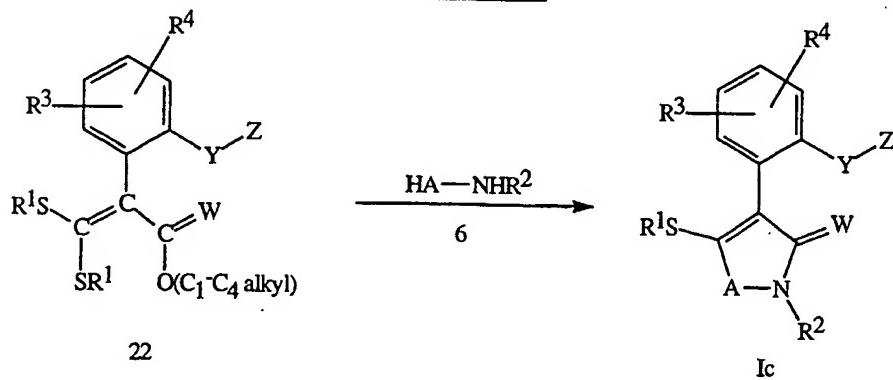


- 10 The hydrazides of Formula 19 can be prepared as illustrated in Scheme 13. Condensation of the isocyanate of Formula 21 with the hydrazine of Formula $H_2NNR^2R^{22}$ in an inert solvent such as tetrahydrofuran affords the hydrazide.

20

Scheme 13 $R^{22} = C(=S)SC_1-C_4 \text{ alkyl or } R^2$ 3) Conjugate Addition/Cyclization Procedures

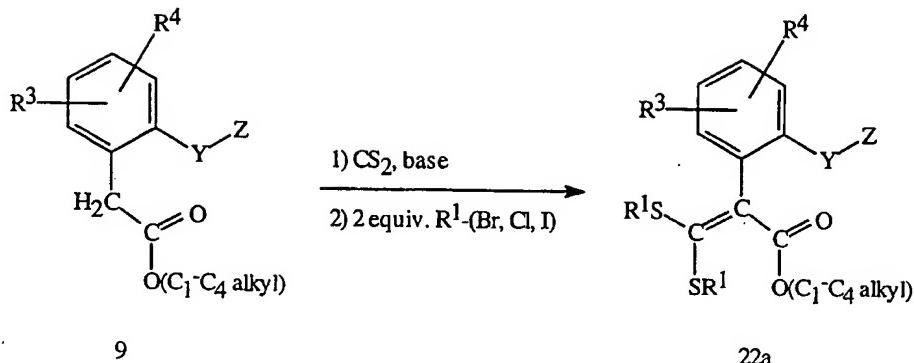
- In addition to the methods disclosed above, compounds of Formula I wherein
 5 X = SR¹ and G = C (Formula Ic) can be prepared by treating a ketenedithioacetal of
 Formula 22 with an ambident nucleophile of Formula 6 (Scheme 14). The nucleophiles
 of Formula 6 are described above.

Scheme 14

10

Ketene dithioacetals of Formula 22a can be prepared by condensing phenyl acetic acid esters of Formula 9 with carbon disulfide in the presence of a suitable base, followed by reaction with two equivalents of an R¹-halide, such as iodomethane or propargyl bromide (Scheme 15).

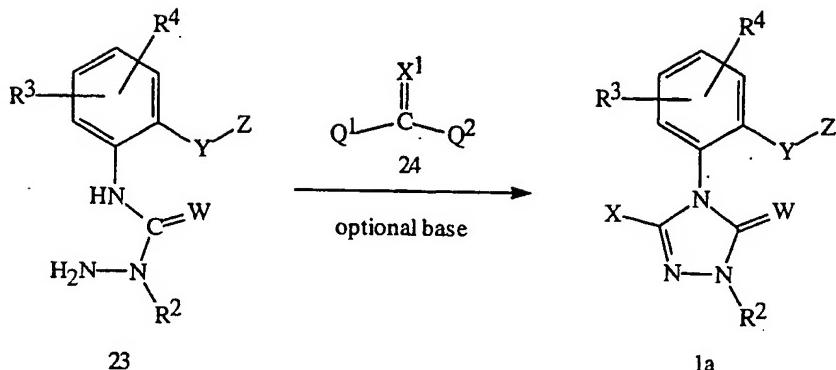
Scheme 15



Compounds of Formula 1a (compounds of Formula 1 wherein A = N, G = N) can be prepared by condensation of *N*-amino-ureas of Formula 23 with a carbonylating agent of Formula 24 (Scheme 16). The carbonylating agents of Formula 24 are carbonyl or

- 5 thiocarbonyl transfer reagents such as phosgene, thiophosgene, diphosgene ($\text{ClC}(=\text{O})\text{OCCl}_3$), triphosgene ($\text{Cl}_3\text{COC}(=\text{O})\text{OCCl}_3$), *N,N'*-carbonyldiimidazole, *N,N'*-thiocarbonyldiimidazole, and 1,1'-carbonyldi(1,2,4-triazole). Alternatively, the compounds of Formula 24 can be alkyl chloroformates or dialkyl carbonates. Some of these carbonylating reactions may require the addition of a base to effect reaction.

10 Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), or triethylenediamine. Suitable solvents include polar aprotic solvents such as acetonitrile, dimethylformamide, or dimethylsulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; or halocarbons such as dichloromethane or chloroform. The reaction temperature can vary between 0°C and 150°C and the reaction time can be from 1 to 72 hours depending on the choice of base, solvent, temperature, and substrates.

Scheme 16

Q^1 and Q^2 are independently Cl, $OCCl_3$, $O(C_1-C_4$ alkyl), 1-imidazolyl, 1,2,4-triazolyl

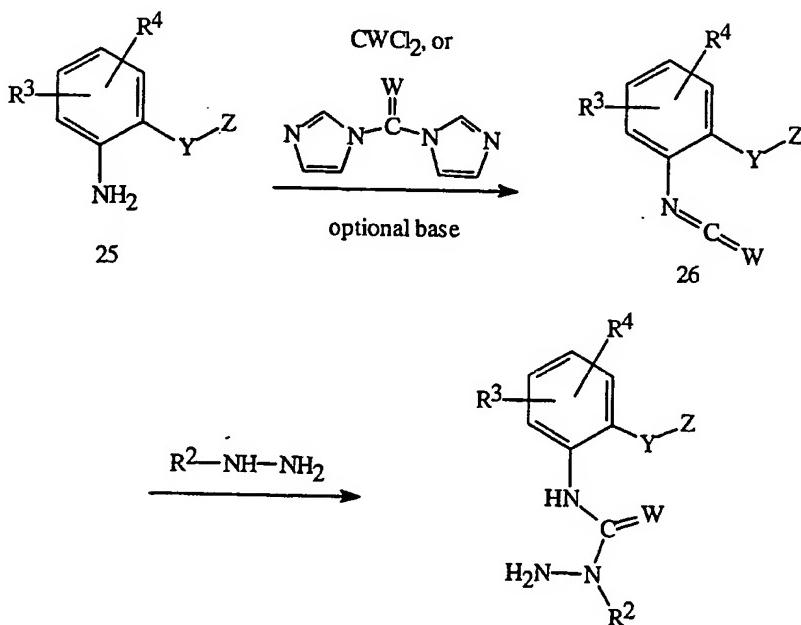
X = OH or SH

X^1 = O or S

N-Amino-ureas of Formula 23 can be prepared as illustrated in Scheme 17.

Treatment of an aniline of Formula 25 with phosgene, thiophosgene,

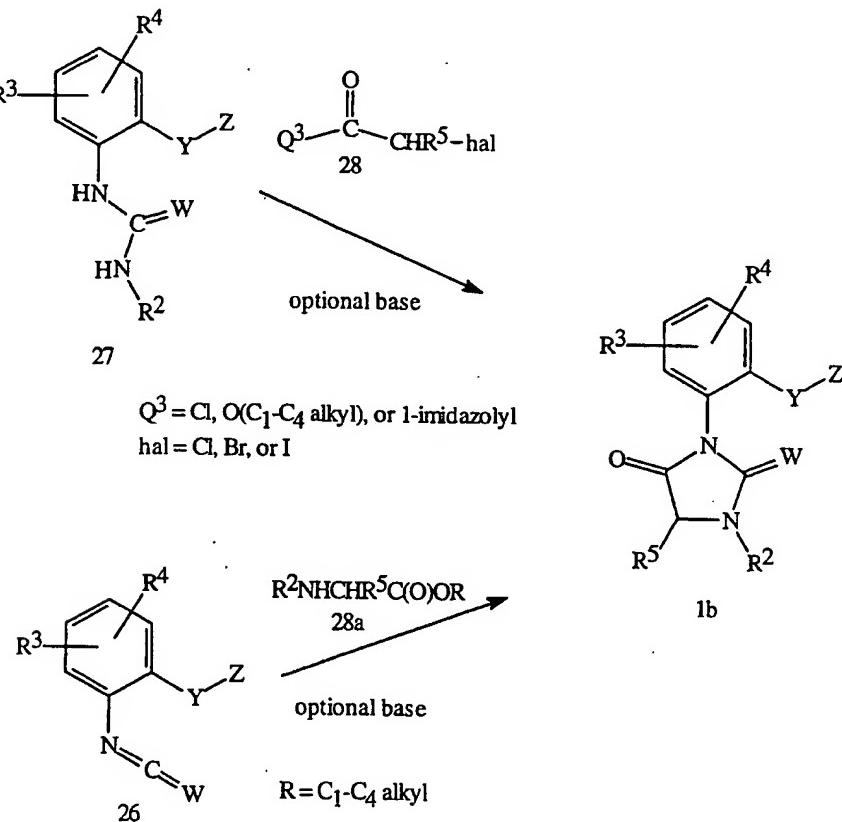
- 5 N,N' -carbonyldiimidazole, or N,N' -thiocarbonyldiimidazole produces the isocyanate or isothiocyanate of Formula 26. A base can be added for reactions with phosgene or thiophosgene. Subsequent treatment of the iso(thio)cyanate with an R^2 -substituted hydrazine produces the *N*-amino-urea of Formula 23.

Scheme 17

Compounds of Formula 1b (compounds of Formula 1 wherein A = CR⁵, G = N, and X = O) can be prepared by either method illustrated in Scheme 18. Ureas of Formula 27 are reacted with activated 2-halocarboxylic acid derivatives such as 2-halocarboxylic acid chlorides, 2-halocarboxylic acid esters or 2-haloacyl imidazoles.

- 5 The initial acylation on the aniline nitrogen is followed by an intramolecular displacement of the 2-halo group to effect cyclization. Base may be added to accelerate the acylation and/or the subsequent cyclization. Suitable bases include triethylamine and sodium hydride. Alternatively, Formula 1b compounds can be prepared by reaction of Formula 26 isocyanates with Formula 28a esters. As described above, base may be added to
- 10 accelerate the reaction and subsequent cyclization to Formula 1b compounds.

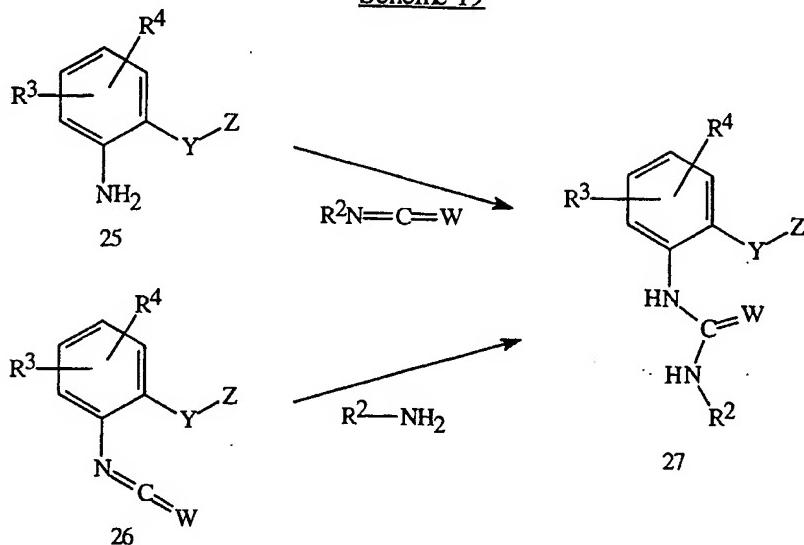
Scheme 18



- The ureas of Formula 27 can be prepared by either of the methods illustrated in Scheme 19. The anilines of Formula 25 can be contacted with an isocyanate or isothiocyanate of Formula R²N=C=W as described above. Alternatively, an isocyanate or isothiocyanate of Formula 26 can be condensed with an amine of Formula R²-NH₂ to form the urea. The anilines and iso(thio)cyanates of Formulae 25 and 26, respectively, are commercially available or prepared by well-known methods. For example, isothiocyanates can be prepared by methods described in *J. Heterocycl. Chem.*, (1990),
- 15

27, 407. Isocyanates can be prepared as described in March, *J. Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 944, 1166.

Scheme 19

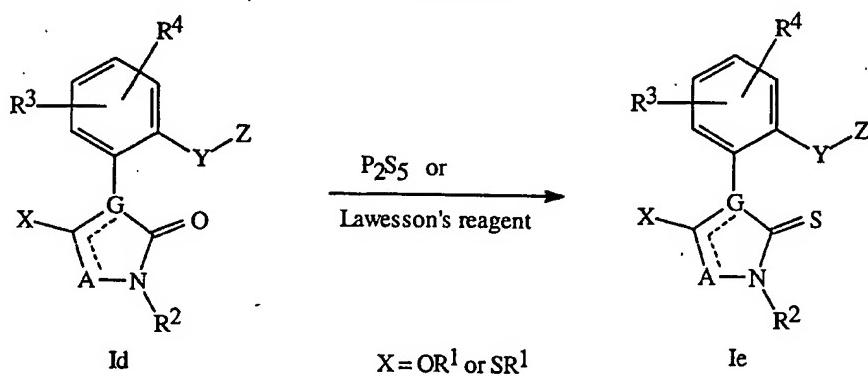


5

4) Thionation Procedures

Compounds of Formula Ie, compounds of Formula I wherein W = S, can be prepared by treating compounds of Formula Id (I wherein W = O) with thionating reagents such as P₂S₅ or Lawesson's reagent [2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide] as illustrated in Scheme 20 (see *Bull. Soc. Chim. Belg.*, (1978), 87, 229; and *Tetrahedron Lett.*, (1983), 24, 3815).

Scheme 20

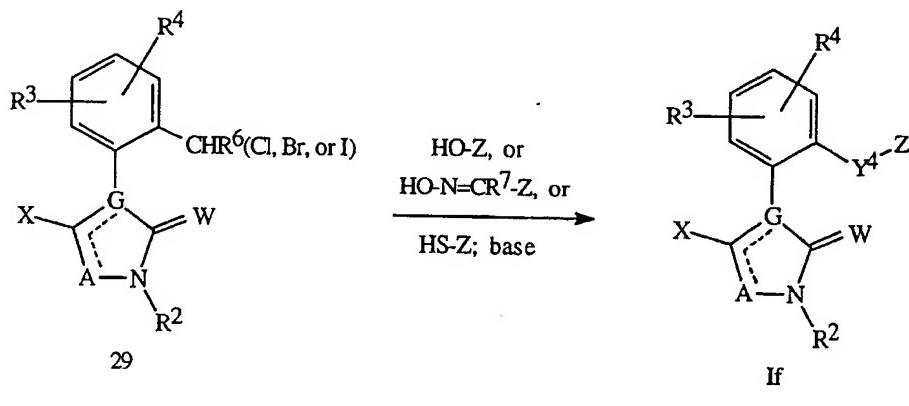


15 5) Aryl Moiety Synthesis Procedures

Compounds of Formula If (compounds of Formula I wherein Y is CHR⁶O, CHR⁶S, or CHR⁶O-N=CR⁷) can be prepared by contacting benzyl halides of Formula 29

with various nucleophiles (Scheme 21). The appropriate alcohol or thiol is treated with a base, for example sodium hydride, to form the corresponding alkoxide or thioalkoxide which acts as the nucleophile.

Scheme 21



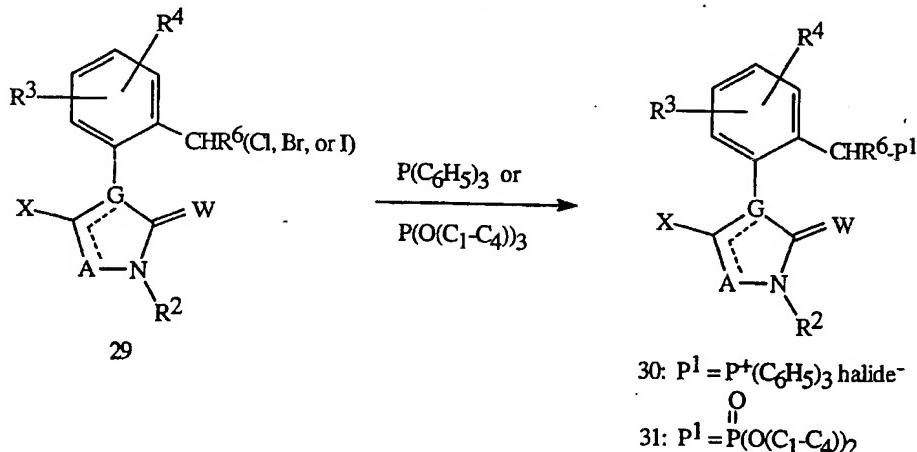
5



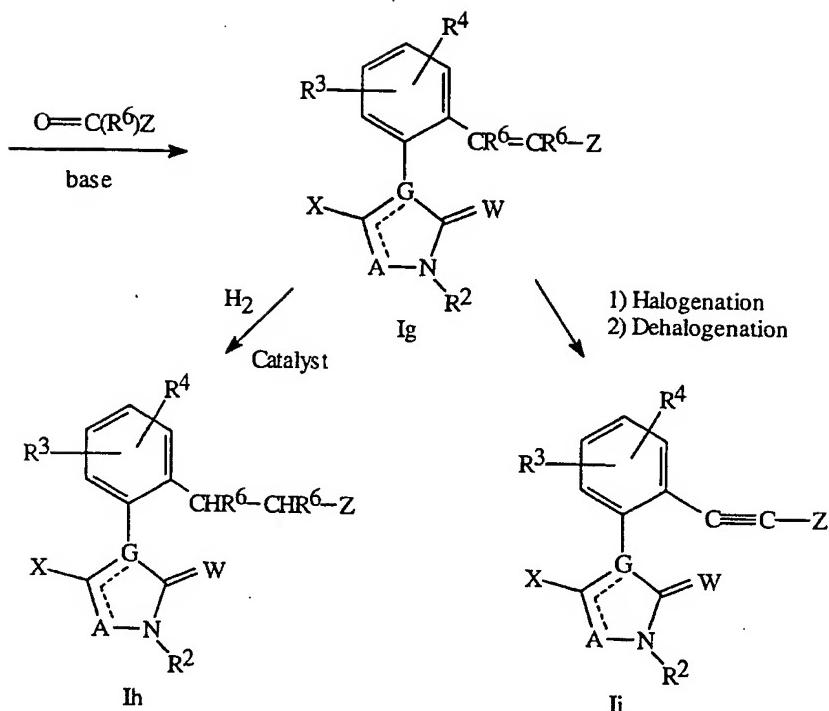
Benzyl halides of Formula 29 can be prepared by radical halogenation of the corresponding alkyl compound (i.e., H instead of halogen in Formula 29), or by acidic cleavage of the corresponding methylether (i.e., OMe instead of halogen in Formula 29).

10 Compounds of Formula I wherein Y is CR⁶=CR⁶ and CHR⁶-CHR⁶ (Formula Ig and Ih, respectively) can be prepared as illustrated in Scheme 22. Treatment of the benzyl halides of Formula 29 with triphenylphosphine or a trialkylphosphite produces the corresponding phosphonium salt (Formula 30) or phosphonate (Formula 31), respectively. Condensation of the phosphorus compound with a base and a carbonyl 15 compound of Formula Z(R⁶)C=O affords the olefin of Formula Ig.

Scheme 22



26

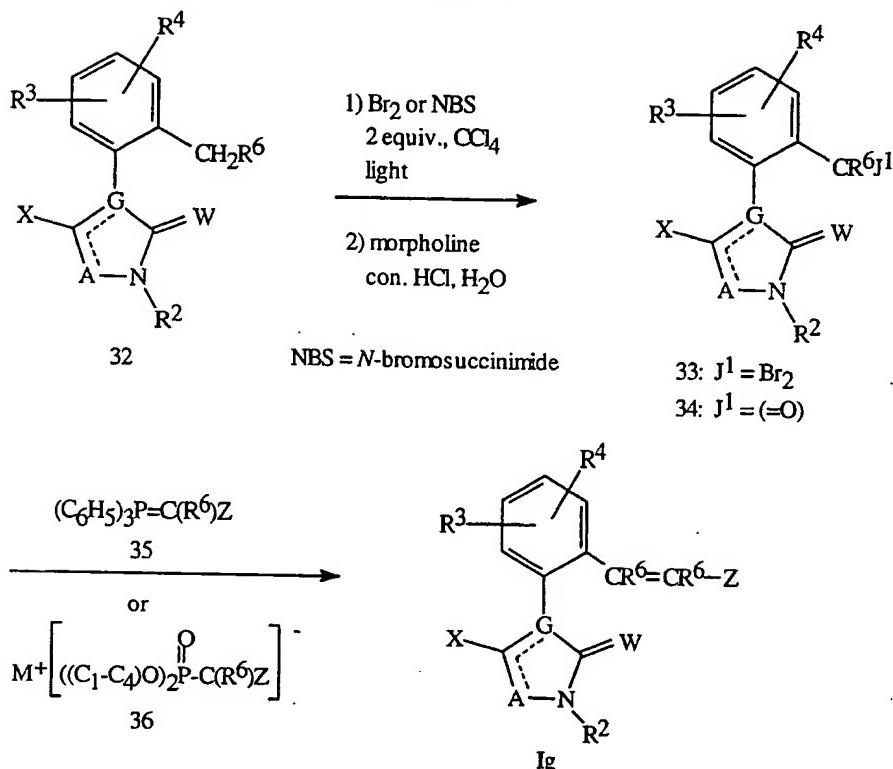


The olefins of Formula Ig can be converted to the saturated compounds of Formula Ih by hydrogenation over a metal catalyst such palladium on carbon as is well-known in the art (Rylander, *Catalytic Hydrogenation in Organic Synthesis*; Academic: New York, 1979).

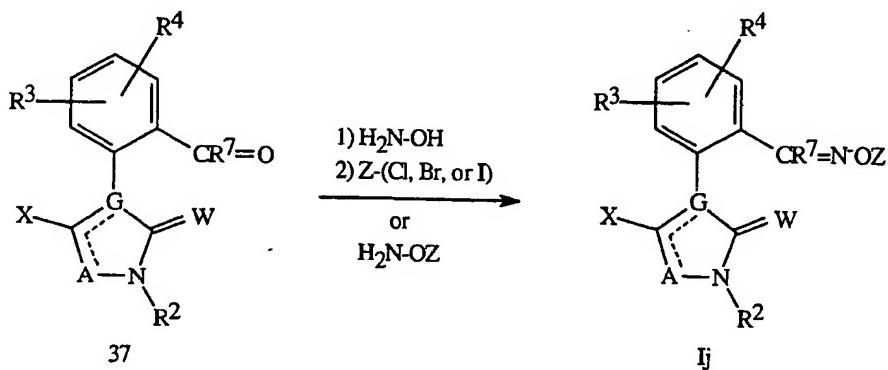
Formula II alkynes can be prepared by halogenation/dehalogenation of Formula Ig olefins using procedures well-known in the art (March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), p 924). Additionally, Formula II alkynes can be prepared by well-known reaction of aromatic halides with alkyne derivatives in the presence of catalysts such as nickel or palladium (see *J. Organomet. Chem.*, (1975), 93 253-257).

The olefin of Formula Ig can also be prepared by reversing the reactivity of the reactants in the Wittig or Horner-Emmons condensation. For example, 2-alkylphenyl derivatives of Formula 31 can be converted into the corresponding dibromo-compound of Formula 33 as illustrated in Scheme 23 (see *Synthesis*, (1988), 330). The dibromo-compound can be hydrolyzed to the carbonyl compound of Formula 34, which in turn can be condensed with a phosphorus-containing nucleophile of Formula 35 or 36 to afford the olefin of Formula Ig.

27

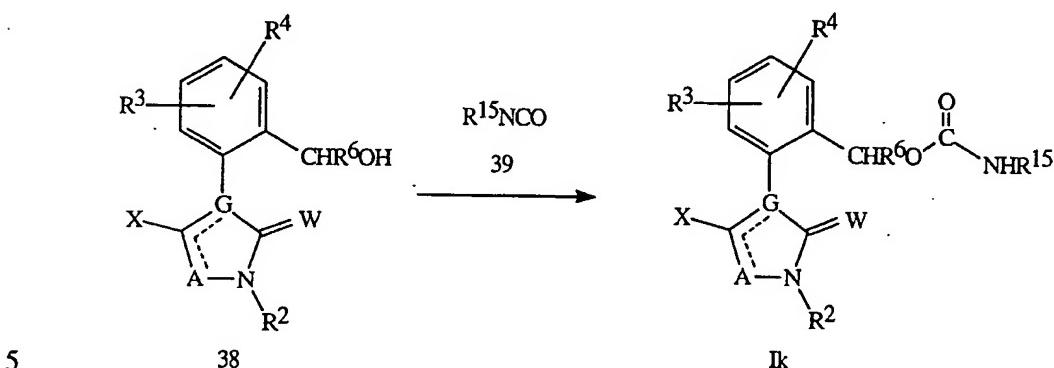
Scheme 23

Oximes of Formula Ij (Formula I wherein Y is $\text{C}(R^7)=\text{N-O}$) can be prepared from carbonyl compounds of Formula 37 by condensation with hydroxylamine, followed by 5 O -alkylation with electrophiles of Formula Z-(Cl, Br, or I) (Scheme 24). Alternatively, the O -substituted hydroxylamine can be condensed with the carbonyl compound of Formula 37 to yield oximes of Formula Ij directly.

Scheme 24

Carbamates of Formula I_k can be prepared by reacting benzyl alcohols of Formula 38 with isocyanates of Formula 39 (Scheme 25). A base such as triethylamine can be added to catalyze the reaction.

Scheme 25



The following Examples are representative of the production of the novel cyclic amides of Formula I. ¹H NMR Spectra are reported in ppm downfield from tetramethylsilane; s = singlet, d = doublet, t = triplet, dt = doublet of triplets, td = triplet of doublets, m = multiplet.

EXAMPLE 1

Step A: Preparation of Methyl 2-(3-methoxyphenoxy)phenylacetate

(2-Chlorophenyl)acetic acid (60 g), 3-methoxyphenol (87 g), potassium carbonate (97.2 g) and copper (I) chloride (0.6 g) were combined and mechanically stirred to give a thick brown suspension. The suspension was heated for 4.5 h, then cooled to 70°C and 10 mL of *N,N*-dimethylformamide was added. The mixture was poured into ice water and acidified with concentrated aqueous HCl. The mixture was extracted with diethyl ether and the combined extracts were washed with water (4 times) dried (MgSO₄), filtered and concentrated under reduced pressure to provide 122 g of an oil. The crude material was dissolved in 73 mL of methanol and then 2.1 mL of concentrated sulfuric acid was added. The mixture was heated at reflux for 4 h. The mixture was poured into ice water and extracted with diethyl ether. The combined organic phases were washed with 10% aqueous NaOH solution (2 times), then water (4 times), then brine. The organic phase was dried (MgSO₄), filtered and concentrated under reduced pressure to yield 46.4 g (48%) of the title material of Step A as a reddish oil. ¹H NMR (CDCl₃): δ 6.45-7.4 (m, 8H), 3.76 (s, 3H), 3.69 (s, 2H), 3.62 (s, 3H).

Step B: Preparation of Dimethyl [2-(3-methoxyphenoxy)phenyl]propanedioate

Methyl 2-(3-methoxyphenoxy)phenylacetate (6.81 g) was dissolved in 11 mL of dimethyl carbonate and 600 mg of sodium was added. The mixture was heated at reflux

for 10 h, then cooled. The reaction mixture was quenched with water, acidified with concentrated aqueous HCl and extracted with dichloromethane. The combined organic extracts were dried (MgSO_4), filtered and concentrated under reduced pressure to give an oil. The desired material was separated from unreacted starting material by flash chromatography (4:1 hexane: ethyl acetate as eluant) to yield after concentration, 3.54 g (43%) of the title compound of Step B. ^1H NMR (CDCl_3): δ 7.46 (dd, $J=1.5, 7.5\text{Hz}$, 1H), 7.29 (t, $J=8\text{Hz}$, 1H), 7.2 (m, 2H), 6.92 (d, $J=8\text{Hz}$, 1H), 6.65 (td, $J=1.5, 7.5\text{Hz}$, 1H), 6.5 (m, 2H), 5.14 (s, 1H), 3.77 (s, 3H), 3.73 (s, 6H).

Step C: Preparation of 5-Hydroxy-4-[2-(3-methoxyphenoxy)phenyl]-2-methyl-3(2H)-isoxazolone

N-Methylhydroxylamine hydrochloride (2.79 g) was dissolved in 20 mL of methanol at reflux. The solution was cooled and treated with a solution of 3.76 g potassium hydroxide in 15 mL of methanol. The precipitated potassium chloride was removed by filtration and a solution of 3.54 g of dimethyl [2-(3-methoxyphenoxy)-phenyl]propanedioate in 25 mL of methanol was added dropwise. The mixture was stirred at room temperature overnight. The reaction mixture was concentrated under vacuum to a volume of about 30 mL and acidified with concentrated aqueous HCl, with cooling. The solvents were removed under reduced pressure and the residue was partitioned between water and dichloromethane. The combined organic phases were dried (MgSO_4), filtered and concentrated under reduced pressure to yield 2.95 g (88%) of the title compound of Step C. ^1H NMR (CDCl_3): δ 7.2-7.4 (m, 3H), 7.12 (dt, $J=1, 7.5\text{Hz}$, 1H), 6.81 (d, $J=8.5\text{Hz}$, 1H), 6.72 (d, $J=8\text{Hz}$, 1H), 6.6 (m, 2H), 4.43 (s, 1H), 3.77 (s, 3H), 3.28 (s, 3H).

Step D: Preparation of 5-Methoxy-4-[2-(3-methoxyphenoxy)phenyl]-2-methyl-3(2H)-isoxazolone

5-Hydroxy-4-[2-(3-methoxyphenoxy)phenyl]-2-methyl-3(2H)-isoxazolone (2.5 g) was dissolved in 3 mL of methanol and 15 mL of toluene and cooled in an icebath. Trimethylsilyldiazomethane (5 mL of a 2.0 M solution in hexane) was added dropwise. Gas evolution was observed. The resulting yellow solution was stirred at room temperature overnight. The solvents were removed under reduced pressure and the residue was purified by flash chromatography (1:1 hexane:ethyl acetate as eluant). The second eluting component was collected to yield 950 mg (36%) of the title compound of Step D. ^1H NMR (CDCl_3): δ 7.51 (dd, $J=1.7, 7.5\text{Hz}$, 1H), 7.27 (dt, $J=1.7, 7.5\text{Hz}$, 1H), 7.17 (m, 2H), 6.97 (dd, $J=1, 8\text{Hz}$, 1H), 6.5 (m, 3H), 3.92 (s, 3H), 3.74 (s, 3H), 3.33 (s, 3H).

EXAMPLE 2

Step A: Preparation of 1-(Bromomethyl)-2-iodobenzene

To a solution of 2-iodobenzyl alcohol (50 g) in diethyl ether (500 mL), cooled in an ice-water bath, was added dropwise phosphorus tribromide (28 mL). The reaction

mixture was chilled in a refrigerator for 3.5 h, then quenched by slow addition of methanol (50 mL). The mixture was washed with water, then saturated sodium bicarbonate, then water (100 mL each). The organic phase was dried ($MgSO_4$), filtered and concentrated under reduced pressure to a white solid, which was triturated in hexane and collected by filtration to yield 58 g (91%) of the title material of Step A as a solid, mp 55-57°C.

Step B: Preparation of 1-Iodo-2-[(2-methylphenoxy)methyl]benzene

Sodium hydride (60% oil dispersion) (7.8 g) was added portionwise to a ice-water cooled solution of *o*-cresol (21.1 g) in tetrahydrofuran (500 mL). The mixture was stirred 20 minutes and then 1-(bromomethyl)-2-iodobenzene (58 g) was added. The mixture was warmed to 60°C for 16 h. Additional sodium hydride (2 g) was added and the reaction mixture heated for an additional 3 h. The reaction mixture was cooled and carefully quenched with water and extracted with ethyl acetate (2 X 250 mL). The combined organic extracts were dried ($Mg SO_4$), filtered and concentrated under reduced pressure to an oil, which was triturated with cold hexane to provide a solid which was collected by filtration to yield 59.1 g (94%) of the title compound of Step B as a white solid, mp 106-108°C.

Step C: Preparation of Dimethyl [2-[(2-methylphenoxy)methyl]phenyl]propanedioate

To a suspension of sodium hydride (60% oil dispersion) (15.4 g) in 90 mL of 1,3-dimethyl-3,4,5,6-tetrahydro-2 [1H]-pyrimidinone (DMPU), cooled in an ice-water bath, was added dropwise a solution of dimethyl malonate (44 mL) in DMPU (150 mL). The mixture was stirred 20 minutes after the addition was completed, and then 1-iodo-2-[(2-methylphenoxy)methyl]benzene (62.5 g) and cuprous iodide (73.3 g) were added. The resulting mixture was stirred at 100°C for 5 h, then stirred at 25°C overnight. The mixture was diluted with 1 N. HCl (~150 mL) and extracted with diethyl ether (3 X 400 mL). The combined organic extracts were dried ($Mg SO_4$), filtered and concentrated under reduced pressure to a semi-solid, which was purified by flash chromatography on silica gel (5:2 hexane: ethyl acetate as eluant). The major material was collected and concentrated to a white solid, which was triturated in hexane and collected by filtration to yield 56.9 g (79%) of the title compound of Step C as a white solid, mp 99-103°C.

Step D: Preparation of 5-Hydroxy-4-[2-[(2-methylphenoxy)methyl]phenyl]-3(2H)-isoxazolone

To a solution of *N*-methylhydroxylamine hydrochloride (34.7 g) in methanol (120 mL), cooled in an ice-water bath, was added dropwise a solution of potassium hydroxide (46.6 g) in methanol (80 mL). After the addition was complete, the mixture was stirred 10 minutes. The potassium chloride precipitate was removed by filtration and a solution of dimethyl [2-[(2-methylphenoxy)methyl]phenyl]propanedioate (44 g) in 100 mL of methanol was added to the *N*-methyl-hydroxylamine solution. The mixture

was stirred for 3 days and then cooled in an ice-water bath. Concentrated HCl (15 mL) was added and the solid was removed by filtration. The solvent was removed under vacuum and the residue diluted with ~100 mL of water and then extracted with dichloromethane (3 X 150 mL), then ethyl acetate (3 X 100 mL). The combined organic extracts were dried ($Mg SO_4$), filtered and concentrated under reduced pressure to yield 31.3 g (75%) of the title compound of Step D as a semi-solid. 1H NMR ($DMSO-d_6$): δ 7.4 (m,2H), 7.15 (m,2H), 7.10 (m,2H), 6.8 (m,2H), 5.16 (s,2H), 2.9 (s,3H), 2.23 (s,3H).

5 **Step E: Preparation of 5-Methoxy-2-methyl-4-[2-[(2-methylphenoxy)methyl]phenyl]-3(2H)-isoxazolone**

10 5-Hydroxy-4-[2-[(2-methylphenoxy)methyl]phenyl]-3(2H)-isoxazolone (31.3 g) was dissolved in 330 mL of 10:1 toluene:methanol and cooled in an ice-water bath. Trimethylsilyl-diazomethane (~2M in hexane) (55 mL) was added dropwise. Gas evolution was observed. The yellow solution was stirred at 25°C for 2 h. The solution was diluted with 100 mL of water and extracted with ethyl acetate (4 X 100 mL). The 15 combined organic extracts were dried ($Mg SO_4$), filtered and concentrated under reduced pressure to yield an oil, which was purified by flash chromatography (silica gel; 1:1 hexane:ethyl acetate as eluant). The second eluting component was collected to yield 4.35 g (13%) of the title compound of Step E as a white solid, mp 90-92°C. 1H NMR ($CDCl_3$) δ 7.61(d,1H), 7.35(m,3H), 7.12(m,2H), 6.84(m,2H), 5.12(s,2H), 3.96(s,3H), 20 3.41(s,3H), 2.24(s, 3H).

EXAMPLE 3

Step A Preparation of 1-Methyl-N-(2-phenoxyphenyl)hydrazinecarboxamide

25 2-Phenoxyaniline (5.57 g) and triethylamine (4.2 mL) were dissolved in 100 mL of 1,2-dichloroethane. Triphosgene ($Cl_3COC(=O)OCOCl_3$, 2.97 g) was added and a precipitate formed. The mixture was heated to reflux and the solid redissolved. After 5.5 h, the solution was cooled and 1.6 mL of methyl hydrazine was added and a new precipitate formed. The mixture was stirred at room temperature overnight. The solvent was removed and the residue was partitioned between ethyl acetate and 1N aqueous HCl solution. The organic phases were dried ($MgSO_4$), filtered, and concentrated under 30 reduced pressure. The residue was purified by flash chromatography (1:1 hexane: ethyl acetate as eluant). The second-least polar component was collected, the eluant was removed under reduced pressure, and the residue was triturated with hexane to afford 3.86 g (50%) of the title compound of Step A, m.p. 117-119°C.

Step B Preparation of 2-Methyl-4-(2-phenoxyphenyl)-5-thioxo-1,2,4-triazolidin-3-one

35 A solution of 1.54 g of 1-methyl-N-(2-phenoxyphenyl)hydrazinecarboxamide in 50 mL of tetrahydrofuran, cooled in an ice bath, was treated with 0.46 mL of thiophosgene, and then 1.68 mL of triethylamine. A precipitate formed and the mixture was stirred at ambient temperature overnight. The precipitate was removed by filtration

and washed with tetrahydrofuran. The combined filtrate and washings were concentrated under reduced pressure to afford 1.8 g of an amber glassy oil. The crude material was used in the next step without further purification. ^1H NMR (CDCl_3): δ 6.8-7.4 (m, 9H), 3.57 (s, 3H).

5 Step C Preparation of 2,4-Dihydro-2-methyl-5-(methylthio)-4-(2-phenoxyphenyl)-3H-1,2,4-triazol-3-one

A solution of 900 mg of crude 2-methyl-4-(2-phenoxyphenyl)-5-thioxo-1,2,4-triazolidin-3-one in 50 mL of tetrahydrofuran was treated with 150 mg of sodium hydride (60% oil dispersion). After 5 minutes, 0.5 mL of iodomethane was added, and 10 the mixture was stirred at ambient temperature overnight. The solid was removed by filtration and the filtrate concentrated to an oil. The oil was partitioned between ether and 1N hydrochloric acid solution. The organic phases were dried (MgSO_4), filtered and concentrated under reduced pressure. The residue was triturated in hexane/*n*-butyl chloride to afford 530 mg (56%) of the title compound of Step C, m.p. 129-130°C.

15 EXAMPLE 4

Step A: Preparation of 2,2-Dimethyl-N-(2-methylphenyl)hydrazine carboxamide

o-Tolyl isocyanate (10.0 g) was dissolved in 75 mL toluene under N_2 . The solution was cooled to 5°C and to this was slowly added a solution in toluene of 1,1-dimethylhydrazine (5.7 mL). After addition, the ice-bath was removed and the 20 resulting slurry allowed to stir an additional 10 minutes. The solid was filtered off rinsing successively with hexane, a small amount of 20% diethylether/hexane, then hexanes again. This afforded 11.1 g (77%) of the title compound of Step A. ^1H NMR (CDCl_3) δ 8.1 (bs, 1H), 7.94 (d, 1H), 7.21-7.15 (m, 3H), 6.99 (t, 1H), 5.23 (bs, 1H), 2.63 (s, 6H), 2.27 (s, 3H).

25 Step B: Preparation of 5-Chloro 2,4-dihydro-2-methyl-4-(2-methylphenyl)-3H-1,2,4-triazol-3-one

To a solution of 11.1 g 2,2-dimethyl-N-(2-methylphenyl)hydrazine carboxamide dissolved in 600 mL methylene chloride under N_2 was added 17.1 g triphosgene. The solution was heated at reflux overnight, cooled, then concentrated under reduced 30 pressure. The resulting residue was dissolved in ethyl acetate and washed with water, then saturated aqueous NaCl . The organic phase was dried (MgSO_4), filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (30-50% ethyl acetate/hexanes as eluent) to afford 8.25 g (64%) of the title compound of Step B. ^1H NMR (CDCl_3) δ 7.42-7.30 (m, 3H), 7.17 (d, 1H), 3.54 (s, 3H), 2.22 (s, 3H).

35 Step C: Preparation of 2,4-Dihydro-5-methoxy-2-methyl-4-(2-methylphenyl)-3H-1,2,4-triazol-3-one

8.25 g 5-chloro-2,4-dihydro-2-methyl-4-(2-methylphenyl)-3H-1,2,4-triazol-3-one was dissolved in 80 mL 1:1 dimethoxyethane/methanol under N_2 . 14.0 mL sodium

methoxide (30% solution in methanol) was added and the solution was heated at reflux for 3 h. The mixture was allowed to cool, diluted with ethyl acetate, washed with water, then saturated aqueous NaCl. The combined organic extracts were dried ($MgSO_4$), filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (50-70% ethyl acetate/hexanes as eluent) and triturated with 50% diethylether/hexanes to afford 6.7 g of the title compound of Step C (95% pure).

5 1H NMR ($CDCl_3$) δ 7.35-7.27 (m,3H), 7.18 (d,1H), 3.94 (s,3H), 3.46 (s,3H), 2.22 (s,3H).

10 **Step D: Preparation of 4-[2-(Bromomethyl)phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one**

To a solution/suspension of 6.7 g 2,4-dihydro-5-methoxy-2-methyl-4-(2-methylphenyl)-3H-1,2,4-triazol-3-one dissolved in 95 mL carbon tetrachloride under N_2 was added *N*-bromosuccinimide (6.53 g) followed by a catalytic amount of benzoyl peroxide. The solution was heated at reflux for 2 h. Another 1.63 g *N*-bromosuccinimide and a catalytic amount of benzoyl peroxide were added and the solution was heated at reflux for an hour. After cooling, methylene chloride was added and the organic layer was washed successively with water, then 0.1 N sodium thiosulfate solution, then saturated aqueous NaCl. The combined organic extracts were dried ($MgSO_4$), filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (3-10% diethylether/methylene chloride as eluent) to afford 3.12 g of the title compound of Step D. 1H NMR ($CDCl_3$) δ 7.5 (m,1H), 7.44 (m,2H), 7.22 (m,1H), 4.60 (d,1H), 4.36 (d,1H), 3.96 (s,3H), 3.47 (s,3H).

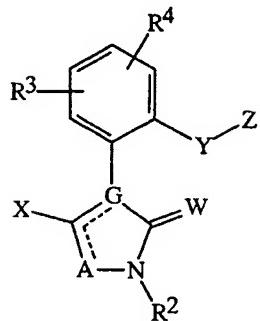
20 **Step E: Preparation of 2,4-Dihydro-5-methoxy-2-methyl-4-[2-[(phenylmethylene)-amine]oxy]methyl]phenyl]-3H-1,2,4-triazol-3-one**

25 0.40 g 4-[2-(bromomethyl)phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one was dissolved in approximately 5 mL *N,N*-dimethylformamide under N_2 and to this was added 0.20 g acetophenone oxime, followed by 0.07 g of 60% sodium hydride. The solution was allowed to stir 4 h at room temperature then was diluted with ethyl acetate, washed with water, then saturated aqueous NaCl. The organic phase was dried ($MgSO_4$), filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (60% ethyl acetate/hexanes as eluent) to afford 0.38 g of the title compound of Step E. 1H NMR ($CDCl_3$) δ 7.6 (m,3H), 7.44 (m,2H), 7.35 (m,3H), 7.25 (m,1H), 5.26 (d,1H), 5.22 (d,1H), 3.88 (s,3H), 3.40 (s,3H), 2.20 (s,3H).

30 By the general procedures described herein, or through obvious modifications thereof, the compound of the Tables 1-26 can be prepared.

35 The following abbreviations are used in the Tables which follow. All alkyl groups are the normal isomers unless indicated otherwise.

n = normal	MeO = methoxy	MeS = methylthio
i = iso	Pr = propyl	Bu = butyl
Me = methyl	CN = cyano	Ph = phenyl
Et = ethyl	c = cyclo	NO ₂ = nitro

Table 1

Compounds of Formula I wherein: G = C, W = O, R³ = R⁴ = H, Y = CH₂ON=C(CH₃)₂, Z = 3-CF₃-Ph,
the floating double bond is attached to G, and

R² = Me

X	A	X	A	X	A	X	A
MeO	O	MeS	O	MeO	S	MeS	S
EtO	O	EtS	O	EtO	S	EtS	S
n-PrO	O	n-PrS	O	n-PrO	S	n-PrS	S
H ₂ C=CHCH ₂ O	O	H ₂ C=CHCH ₂ S	O	H ₂ C=CHCH ₂ O	S	H ₂ C=CHCH ₂ S	S
HC≡CCH ₂ O	O	HC≡CCH ₂ S	O	HC≡CCH ₂ O	S	HC≡CCH ₂ S	S
CF ₃ O	O	CF ₃ S	O	CF ₃ O	S	CF ₃ S	S
(c-propyl)O	O	(c-propyl)S	O	(c-propyl)O	S	(c-propyl)S	S

R² = Et

X	A	X	A	X	A	X	A
MeO	O	MeS	O	MeO	S	MeS	S
EtO	O	EtS	O	EtO	S	EtS	S
n-PrO	O	n-PrS	O	n-PrO	S	n-PrS	S
H ₂ C=CHCH ₂ O	O	H ₂ C=CHCH ₂ S	O	H ₂ C=CHCH ₂ O	S	H ₂ C=CHCH ₂ S	S
HC≡CCH ₂ O	O	HC≡CCH ₂ S	O	HC≡CCH ₂ O	S	HC≡CCH ₂ S	S
CF ₃ O	O	CF ₃ S	O	CF ₃ O	S	CF ₃ S	S
(c-propyl)O	O	(c-propyl)S	O	(c-propyl)O	S	(c-propyl)S	S

R²=n-Pr

X	A	X	A	X	A	X	A
MeO	O	MeS	O	MeO	S	MeS	S
EtO	O	EtS	O	EtO	S	EtS	S
n-PrO	O	n-PrS	O	n-PrO	S	n-PrS	S
H ₂ C=CHCH ₂ O	O	H ₂ C=CHCH ₂ S	O	H ₂ C=CHCH ₂ O	S	H ₂ C=CHCH ₂ S	S
HC≡CCH ₂ O	O	HC≡CCH ₂ S	O	HC≡CCH ₂ O	S	HC≡CCH ₂ S	S
CF ₃ O	O	CF ₃ S	O	CF ₃ O	S	CF ₃ S	S
(c-propyl)O	O	(c-propyl)S	O	(c-propyl)O	S	(c-propyl)S	S

R²=H

X	A	X	A	X	A	X	A
MeO	O	MeS	O	MeO	S	MeS	S
EtO	O	EtS	O	EtO	S	EtS	S
n-PrO	O	n-PrS	O	n-PrO	S	n-PrS	S
H ₂ C=CHCH ₂ O	O	H ₂ C=CHCH ₂ S	O	H ₂ C=CHCH ₂ O	S	H ₂ C=CHCH ₂ S	S
HC≡CCH ₂ O	O	HC≡CCH ₂ S	O	HC≡CCH ₂ O	S	HC≡CCH ₂ S	S
CF ₃ O	O	CF ₃ S	O	CF ₃ O	S	CF ₃ S	S
(c-propyl)O	O	(c-propyl)S	O	(c-propyl)O	S	(c-propyl)S	S

R²=Me

X	A	X	A	X	A	X	A
MeO	NH	MeS	NH	MeO	NMe	MeS	NMe
EtO	NH	EtS	NH	EtO	NMe	EtS	NMe
n-PrO	NH	n-PrS	NH	n-PrO	NMe	n-PrS	NMe
H ₂ C=CHCH ₂ O	NH	H ₂ C=CHCH ₂ S	NH	H ₂ C=CHCH ₂ O	NMe	H ₂ C=CHCH ₂ S	NMe
HC≡CCH ₂ O	NH	HC≡CCH ₂ S	NH	HC≡CCH ₂ O	NMe	HC≡CCH ₂ S	NMe
CF ₃ O	NH	CF ₃ S	NH	CF ₃ O	NMe	CF ₃ S	NMe
(c-propyl)O	NH	(c-propyl)S	NH	(c-propyl)O	NMe	(c-propyl)S	NMe

R²=H

X	A	X	A	X	A	X	A
MeO	NH	MeS	NH	MeO	NMe	MeS	NMe
EtO	NH	EtS	NH	EtO	NMe	EtS	NMe
n-PrO	NH	n-PrS	NH	n-PrO	NMe	n-PrS	NMe
H ₂ C=CHCH ₂ O	NH	H ₂ C=CHCH ₂ S	NH	H ₂ C=CHCH ₂ O	NMe	H ₂ C=CHCH ₂ S	NMe
HC≡CCH ₂ O	NH	HC≡CCH ₂ S	NH	HC≡CCH ₂ O	NMe	HC≡CCH ₂ S	NMe

CF_3O	NH	CF_3S	NH	CF_3O	NMe	CF_3S	NMe
$(\text{c-propyl})\text{O}$	NH	$(\text{c-propyl})\text{S}$	NH	$(\text{c-propyl})\text{O}$	NMe	$(\text{c-propyl})\text{S}$	NMe

Table 2

Compounds of Formula I wherein: G = N, W = O, R³ = R⁴ = H, Y = $\text{CH}_2\text{ON}=\text{C}(\text{CH}_3)$, Z = 3-CF₃-Ph,
the floating double bond is attached to A, and

R² = Me

X	A	X	A	X	A	X	A
MeO	N	MeS	N	MeO	CH	MeO	CH
EtO	N	EtS	N	EtO	CH	EtO	CH
n-PrO	N	n-PrS	N	n-PrO	CH	n-PrO	CH
$\text{H}_2\text{C}=\text{CHCH}_2\text{O}$	N	$\text{H}_2\text{C}=\text{CHCH}_2\text{S}$	N	$\text{H}_2\text{C}=\text{CHCH}_2\text{O}$	CH	$\text{H}_2\text{C}=\text{CHCH}_2\text{O}$	CH
$\text{HC}\equiv\text{CCH}_2\text{O}$	N	$\text{HC}\equiv\text{CCH}_2\text{S}$	N	$\text{HC}\equiv\text{CCH}_2\text{O}$	CH	$\text{HC}\equiv\text{CCH}_2\text{O}$	CH
CF ₃ O	N	CF ₃ S	N	CF ₃ O	CH	CF ₃ O	CH
$(\text{c-propyl})\text{O}$	N	$(\text{c-propyl})\text{S}$	N	$(\text{c-propyl})\text{O}$	CH	$(\text{c-propyl})\text{O}$	CH

R² = Et

X	A	X	A	X	A	X	A
MeO	N	MeS	N	MeO	CH	MeO	CH
EtO	N	EtS	N	EtO	CH	EtO	CH
n-PrO	N	n-PrS	N	n-PrO	CH	n-PrO	CH
$\text{H}_2\text{C}=\text{CHCH}_2\text{O}$	N	$\text{H}_2\text{C}=\text{CHCH}_2\text{S}$	N	$\text{H}_2\text{C}=\text{CHCH}_2\text{O}$	CH	$\text{H}_2\text{C}=\text{CHCH}_2\text{O}$	CH
$\text{HC}\equiv\text{CCH}_2\text{O}$	N	$\text{HC}\equiv\text{CCH}_2\text{S}$	N	$\text{HC}\equiv\text{CCH}_2\text{O}$	CH	$\text{HC}\equiv\text{CCH}_2\text{O}$	CH
CF ₃ O	N	CF ₃ S	N	CF ₃ O	CH	CF ₃ O	CH
$(\text{c-propyl})\text{O}$	N	$(\text{c-propyl})\text{S}$	N	$(\text{c-propyl})\text{O}$	CH	$(\text{c-propyl})\text{O}$	CH

R² = n-Pr

X	A	X	A	X	A	X	A
MeO	N	MeS	N	MeO	CH	MeO	CH
EtO	N	EtS	N	EtO	CH	EtO	CH
n-PrO	N	n-PrS	N	n-PrO	CH	n-PrO	CH
$\text{H}_2\text{C}=\text{CHCH}_2\text{O}$	N	$\text{H}_2\text{C}=\text{CHCH}_2\text{S}$	N	$\text{H}_2\text{C}=\text{CHCH}_2\text{O}$	CH	$\text{H}_2\text{C}=\text{CHCH}_2\text{O}$	CH
$\text{HC}\equiv\text{CCH}_2\text{O}$	N	$\text{HC}\equiv\text{CCH}_2\text{S}$	N	$\text{HC}\equiv\text{CCH}_2\text{O}$	CH	$\text{HC}\equiv\text{CCH}_2\text{O}$	CH
CF ₃ O	N	CF ₃ S	N	CF ₃ O	CH	CF ₃ O	CH
$(\text{c-propyl})\text{O}$	N	$(\text{c-propyl})\text{S}$	N	$(\text{c-propyl})\text{O}$	CH	$(\text{c-propyl})\text{O}$	CH

R²=H

X	A	X	A	X	A	X	A
MeO	N	MeS	N	MeO	CH	MeO	CH
EtO	N	EtS	N	EtO	CH	EtO	CH
n-PrO	N	n-PrS	N	n-PrO	CH	n-PrO	CH
H ₂ C=CHCH ₂ O	N	H ₂ C=CHCH ₂ S	N	H ₂ C=CHCH ₂ O	CH	H ₂ C=CHCH ₂ O	CH
HC≡CCH ₂ O	N	HC≡CCH ₂ S	N	HC≡CCH ₂ O	CH	HC≡CCH ₂ O	CH
CF ₃ O	N	CF ₃ S	N	CF ₃ O	CH	CF ₃ O	CH
(c-propyl)O	N	(c-propyl)S	N	(c-propyl)O	CH	(c-propyl)O	CH

R²=Me

X	A	X	A	X	A	X	A
MeO	CMe	MeS	CMe	MeO	CEt	MeO	CEt
EtO	CMe	EtS	CMe	EtO	CEt	EtO	CEt
n-PrO	CMe	n-PrS	CMe	n-PrO	CEt	n-PrO	CEt
H ₂ C=CHCH ₂ O	CMe	H ₂ C=CHCH ₂ S	CMe	H ₂ C=CHCH ₂ O	CEt	H ₂ C=CHCH ₂ O	CEt
HC≡CCH ₂ O	CMe	HC≡CCH ₂ S	CMe	HC≡CCH ₂ O	CEt	HC≡CCH ₂ O	CEt
CF ₃ O	CMe	CF ₃ S	CMe	CF ₃ O	CEt	CF ₃ O	CEt
(c-propyl)O	CMe	(c-propyl)S	CMe	(c-propyl)O	CEt	(c-propyl)O	CEt

R²=H

X	A	X	A	X	A	X	A
MeO	CEt	MeS	CEt	MeO	CMe	MeO	CMe
EtO	CEt	EtS	CEt	EtO	CMe	EtO	CMe
n-PrO	CEt	n-PrS	CEt	n-PrO	CMe	n-PrO	CMe
H ₂ C=CHCH ₂ O	CEt	H ₂ C=CHCH ₂ S	CEt	H ₂ C=CHCH ₂ O	CMe	H ₂ C=CHCH ₂ O	CMe
HC≡CCH ₂ O	CEt	HC≡CCH ₂ S	CEt	HC≡CCH ₂ O	CMe	HC≡CCH ₂ O	CMe
CF ₃ O	CEt	CF ₃ S	CEt	CF ₃ O	CMe	CF ₃ O	CMe
(c-propyl)O	CEt	(c-propyl)S	CEt	(c-propyl)O	CMe	(c-propyl)O	CMe

Table 3

Compounds of Formula I wherein: G = C, W = O, R³ = R⁴ = H, Y = CH₂O, Z = 2-Me-Ph,
the floating double bond is attached to G, and

R²=Me

X	A	X	A	X	A	X	A
MeO	O	MeS	O	MeO	S	MeS	S
EtO	O	EtS	O	EtO	S	EtS	S
n-PrO	O	n-PrS	O	n-PrO	S	n-PrS	S

$H_2C=CHCH_2O$	O	$H_2C=CHCH_2S$	O	$H_2C=CHCH_2O$	S	$H_2C=CHCH_2S$	S	
$HC\equiv CCH_2O$	O	$HC\equiv CCH_2S$	O	$HC\equiv CCH_2O$	S	$HC\equiv CCH_2S$	S	
CF_3O	O	CF_3S	O	CF_3O	S	CF_3S	S	
(c-propyl)O	O	(c-propyl)S	O	(c-propyl)O	S	(c-propyl)S	S	

R²=Et

X	A	X	A	X	A	X	A
MeO	O	MeS	O	MeO	S	MeS	S
EtO	O	EtS	O	EtO	S	EtS	S
n-PrO	O	n-PrS	O	n-PrO	S	n-PrS	S
$H_2C=CHCH_2O$	O	$H_2C=CHCH_2S$	O	$H_2C=CHCH_2O$	S	$H_2C=CHCH_2S$	S
$HC\equiv CCH_2O$	O	$HC\equiv CCH_2S$	O	$HC\equiv CCH_2O$	S	$HC\equiv CCH_2S$	S
CF_3O	O	CF_3S	O	CF_3O	S	CF_3S	S
(c-propyl)O	O	(c-propyl)S	O	(c-propyl)O	S	(c-propyl)S	S

R²=n-Pr

X	A	X	A	X	A	X	A
MeO	O	MeS	O	MeO	S	MeS	S
EtO	O	EtS	O	EtO	S	EtS	S
n-PrO	O	n-PrS	O	n-PrO	S	n-PrS	S
$H_2C=CHCH_2O$	O	$H_2C=CHCH_2S$	O	$H_2C=CHCH_2O$	S	$H_2C=CHCH_2S$	S
$HC\equiv CCH_2O$	O	$HC\equiv CCH_2S$	O	$HC\equiv CCH_2O$	S	$HC\equiv CCH_2S$	S
CF_3O	O	CF_3S	O	CF_3O	S	CF_3S	S
(c-propyl)O	O	(c-propyl)S	O	(c-propyl)O	S	(c-propyl)S	S

R²=H

X	A	X	A	X	A	X	A
MeO	O	MeS	O	MeO	S	MeS	S
EtO	O	EtS	O	EtO	S	EtS	S
n-PrO	O	n-PrS	O	n-PrO	S	n-PrS	S
$H_2C=CHCH_2O$	O	$H_2C=CHCH_2S$	O	$H_2C=CHCH_2O$	S	$H_2C=CHCH_2S$	S
$HC\equiv CCH_2O$	O	$HC\equiv CCH_2S$	O	$HC\equiv CCH_2O$	S	$HC\equiv CCH_2S$	S
CF_3O	O	CF_3S	O	CF_3O	S	CF_3S	S
(c-propyl)O	O	(c-propyl)S	O	(c-propyl)O	S	(c-propyl)S	S

R²=Me

X	A	X	A	X	A	X	A
MeO	NH	MeS	NH	MeO	NMe	MeS	NMe
EtO	NH	EtS	NH	EtO	NMe	EtS	NMe
n-PrO	NH	n-PrS	NH	n-PrO	NMe	n-PrS	NMe
H ₂ C=CHCH ₂ O	NH	H ₂ C=CHCH ₂ S	NH	H ₂ C=CHCH ₂ O	NMe	H ₂ C=CHCH ₂ S	NMe
HC≡CCH ₂ O	NH	HC≡CCH ₂ S	NH	HC≡CCH ₂ O	NMe	HC≡CCH ₂ S	NMe
CF ₃ O	NH	CF ₃ S	NH	CF ₃ O	NMe	CF ₃ S	NMe
(c-propyl)O	NH	(c-propyl)S	NH	(c-propyl)O	NMe	(c-propyl)S	NMe

R²=H

X	A	X	A	X	A	X	A
MeO	NH	MeS	NH	MeO	NMe	MeS	NMe
EtO	NH	EtS	NH	EtO	NMe	EtS	NMe
n-PrO	NH	n-PrS	NH	n-PrO	NMe	n-PrS	NMe
H ₂ C=CHCH ₂ O	NH	H ₂ C=CHCH ₂ S	NH	H ₂ C=CHCH ₂ O	NMe	H ₂ C=CHCH ₂ S	NMe
HC≡CCH ₂ O	NH	HC≡CCH ₂ S	NH	HC≡CCH ₂ O	NMe	HC≡CCH ₂ S	NMe
CF ₃ O	NH	CF ₃ S	NH	CF ₃ O	NMe	CF ₃ S	NMe
(c-propyl)O	NH	(c-propyl)S	NH	(c-propyl)O	NMe	(c-propyl)S	NMe

Table 4

Compounds of Formula I wherein: G = N, W = O, R³ = R⁴ = H, Y = CH₂O, Z = 2-Me-Ph, the floating double bond is attached to A, and

R²=Me

X	A	X	A	X	A	X	A
MeO	N	MeS	N	MeO	CH	MeO	CH
EtO	N	EtS	N	EtO	CH	EtO	CH
n-PrO	N	n-PrS	N	n-PrO	CH	n-PrO	CH
H ₂ C=CHCH ₂ O	N	H ₂ C=CHCH ₂ S	N	H ₂ C=CHCH ₂ O	CH	H ₂ C=CHCH ₂ O	CH
HC≡CCH ₂ O	N	HC≡CCH ₂ S	N	HC≡CCH ₂ O	CH	HC≡CCH ₂ O	CH
CF ₃ O	N	CF ₃ S	N	CF ₃ O	CH	CF ₃ O	CH
(c-propyl)O	N	(c-propyl)S	N	(c-propyl)O	CH	(c-propyl)O	CH

R²=Et

X	A	X	A	X	A	X	A
MeO	N	MeS	N	MeO	CH	MeO	CH
EtO	N	EtS	N	EtO	CH	EtO	CH

n-PrO	N	n-PrS	N	n-PrO	CH	n-PrO	CH
H ₂ C=CHCH ₂ O	N	H ₂ C=CHCH ₂ S	N	H ₂ C=CHCH ₂ O	CH	H ₂ C=CHCH ₂ O	CH
HC≡CCH ₂ O	N	HC≡CCH ₂ S	N	HC≡CCH ₂ O	CH	HC≡CCH ₂ O	CH
CF ₃ O	N	CF ₃ S	N	CF ₃ O	CH	CF ₃ O	CH
(c-propyl)O	N	(c-propyl)S	N	(c-propyl)O	CH	(c-propyl)O	CH

R²=n-Pr

X	A	X	A	X	A	X	A
MeO	N	MeS	N	MeO	CH	MeO	CH
EtO	N	EtS	N	EtO	CH	EtO	CH
n-PrO	N	n-PrS	N	n-PrO	CH	n-PrO	CH
H ₂ C=CHCH ₂ O	N	H ₂ C=CHCH ₂ S	N	H ₂ C=CHCH ₂ O	CH	H ₂ C=CHCH ₂ O	CH
HC≡CCH ₂ O	N	HC≡CCH ₂ S	N	HC≡CCH ₂ O	CH	HC≡CCH ₂ O	CH
CF ₃ O	N	CF ₃ S	N	CF ₃ O	CH	CF ₃ O	CH
(c-propyl)O	N	(c-propyl)S	N	(c-propyl)O	CH	(c-propyl)O	CH

R²=H

X	A	X	A	X	A	X	A
MeO	N	MeS	N	MeO	CH	MeO	CH
EtO	N	EtS	N	EtO	CH	EtO	CH
n-PrO	N	n-PrS	N	n-PrO	CH	n-PrO	CH
H ₂ C=CHCH ₂ O	N	H ₂ C=CHCH ₂ S	N	H ₂ C=CHCH ₂ O	CH	H ₂ C=CHCH ₂ O	CH
HC≡CCH ₂ O	N	HC≡CCH ₂ S	N	HC≡CCH ₂ O	CH	HC≡CCH ₂ O	CH
CF ₃ O	N	CF ₃ S	N	CF ₃ O	CH	CF ₃ O	CH
(c-propyl)O	N	(c-propyl)S	N	(c-propyl)O	CH	(c-propyl)O	CH

R²=Me

X	A	X	A	X	A	X	A
MeO	CMe	MeS	CMe	MeO	CEt	MeO	CEt
EtO	CMe	EtS	CMe	EtO	CEt	EtO	CEt
n-PrO	CMe	n-PrS	CMe	n-PrO	CEt	n-PrO	CEt
H ₂ C=CHCH ₂ O	CMe	H ₂ C=CHCH ₂ S	CMe	H ₂ C=CHCH ₂ O	CEt	H ₂ C=CHCH ₂ O	CEt
HC≡CCH ₂ O	CMe	HC≡CCH ₂ S	CMe	HC≡CCH ₂ O	CEt	HC≡CCH ₂ O	CEt
CF ₃ O	CMe	CF ₃ S	CMe	CF ₃ O	CEt	CF ₃ O	CEt
(c-propyl)O	CMe	(c-propyl)S	CMe	(c-propyl)O	CEt	(c-propyl)O	CEt

R²=H

X	A	X	A	X	A	X	A
MeO	CEt	MeS	CEt	MeO	CMe	MeO	CMe
EtO	CEt	EtS	CEt	EtO	CMe	EtO	CMe
n-PrO	CEt	n-PrS	CEt	n-PrO	CMe	n-PrO	CMe
H ₂ C=CHCH ₂ O	CEt	H ₂ C=CHCH ₂ S	CEt	H ₂ C=CHCH ₂ O	CMe	H ₂ C=CHCH ₂ O	CMe
HC≡CCH ₂ O	CEt	HC≡CCH ₂ S	CEt	HC≡CCH ₂ O	CMe	HC≡CCH ₂ O	CMe
CF ₃ O	CEt	CF ₃ S	CEt	CF ₃ O	CMe	CF ₃ O	CMe
(c-propyl)O	CEt	(c-propyl)S	CEt	(c-propyl)O	CMe	(c-propyl)O	CMe

Table 5

Compounds of Formula I wherein: G = C, W = S, R³ = R⁴ = H, Y = CH₂ON=C(CH₃), Z = 3-CF₃-Ph,
the floating double bond is attached to G, and

R²=Me

X	A	X	A	X	A	X	A
MeO	O	MeS	O	MeO	S	MeS	S
EtO	O	EtS	O	EtO	S	EtS	S
n-PrO	O	n-PrS	O	n-PrO	S	n-PrS	S
H ₂ C=CHCH ₂ O	O	H ₂ C=CHCH ₂ S	O	H ₂ C=CHCH ₂ O	S	H ₂ C=CHCH ₂ S	S
HC≡CCH ₂ O	O	HC≡CCH ₂ S	O	HC≡CCH ₂ O	S	HC≡CCH ₂ S	S
CF ₃ O	O	CF ₃ S	O	CF ₃ O	S	CF ₃ S	S
MeO	NH	MeO	NMe	MeO	NEt	MeS	NPr

Table 6

Compounds of Formula I wherein: A = N, G = N, W = S, R³ = R⁴ = H, Y = CH₂ON=C(Me),
Z = 3-CF₃-Ph, the floating double bond is attached to A, and

R²=Me

X	X	X	X
MeO	EtO	n-PrO	H ₂ C=CHCH ₂ O
HC≡CCH ₂ O	CF ₃ O	OCF ₂ H	OCH ₂ CF ₃
(c-propyl)O	MeS	EtS	n-PrS
H ₂ C=CHCH ₂ S	HC≡CCH ₂ S	CF ₃ S	(c-propyl)S

Table 7

Compounds of Formula I wherein: G = C, W = S, R³ = R⁴ = H, Y = CH₂O, Z = 2-Me-Ph, the floating double bond is attached to G, and

R² = Me

X	A	X	A	X	A	X	A
MeO	O	MeS	O	MeO	S	MeS	S
EtO	O	EtS	O	EtO	S	EtS	S
n-PrO	O	n-PrS	O	n-PrO	S	n-PrS	S
H ₂ C=CHCH ₂ O	O	H ₂ C=CHCH ₂ S	O	H ₂ C=CHCH ₂ O	S	H ₂ C=CHCH ₂ S	S
HC≡CCH ₂ O	O	HC≡CCH ₂ S	O	HC≡CCH ₂ O	S	HC≡CCH ₂ S	S
CF ₃ O	O	CF ₃ S	O	CF ₃ O	S	CF ₃ S	S
MeO	NH	MeO	NMe	MeO	NEt	MeS	NPr

Table 8

Compounds of Formula I wherein: A = N, G = N, W = S, R³ = R⁴ = H, Y = CH₂O, Z = 2-Me-Ph, the floating double bond is attached to A, and

R² = Me

X	X	X	X
MeO	EtO	n-PrO	H ₂ C=CHCH ₂ O
HC≡CCH ₂ O	CF ₃ O	OCF ₂ H	OCH ₂ CF ₃
(c-propyl)O	MeS	EtS	n-PrS
H ₂ C=CHCH ₂ S	HC≡CCH ₂ S	CF ₃ S	(c-propyl)S

Table 9

Compounds of Formula I wherein: G = C, A = W = O, X = MeO, R² = Me, Y = CH₂ON=C(Me), Z = 3-CF₃-Ph, the floating double bond is attached to G, and

R ³	R ⁴	R ³	R ⁴	R ³	R ⁴
3-F	H	5-NO ₂	H	3-F	5-F
5-F	H	6-Me	H	3-Cl	5-Cl
3-Cl	H	3-Me	H	4-Me	5-Cl
4-Cl	H	4-MeO	H	3-F	5-CF ₃
5-Br	H	5-CF ₃ O	H	3-Cl	5-NO ₂
4-CF ₃	H	5-allyl	H	6-CF ₃ O	H
5-CN	H	4-propargyl	H	5-Pr	H

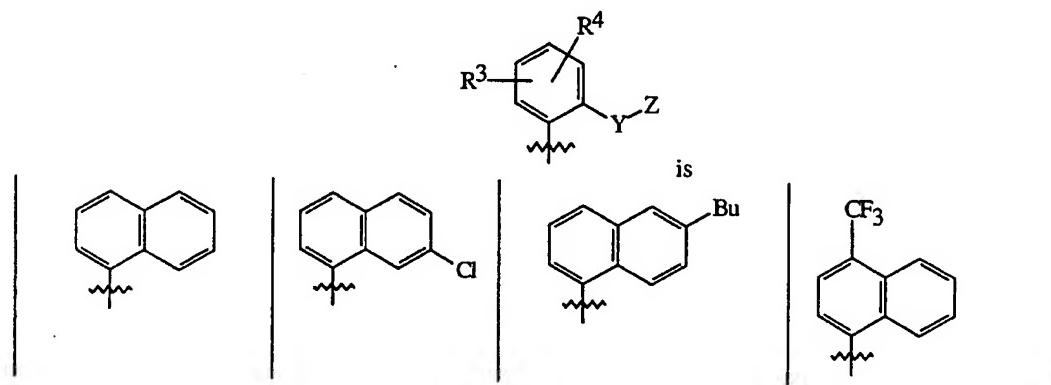
Table 10

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R² = Me, Y = CH₂ON=C(Me), Z = 3-CF₃-Ph, the floating double bond is attached to A, and

<u>R</u> ³	<u>R</u> ⁴	<u>R</u> ³	<u>R</u> ⁴	<u>R</u> ³	<u>R</u> ⁴
3-F	H	5-NO ₂	H	3-F	5-F
5-F	H	6-Me	H	3-Cl	5-Cl
3-Cl	H	3-Me	H	4-Me	5-Cl
4-Cl	H	4-MeO	H	3-F	5-CF ₃
5-Br	H	5-CF ₃ O	H	3-Cl	5-NO ₂
4-CF ₃	H	5-allyl	H	6-CF ₃ O	H
5-CN	H	4-propargyl	H	5-Pr	H

Table 11

Compounds of Formula I wherein: A = O, G = C, W = O, X = MeO, R² = Me, the floating double bond is attached to G, and

Table 12

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R² = Me, the floating double bond is attached to A, and

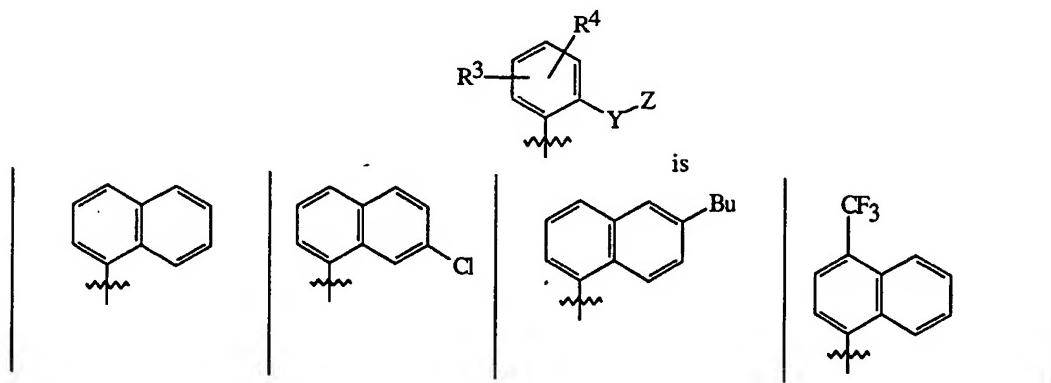


Table 13

Compounds of Formula I wherein: G = C, W = O, X = MeO, R² = Me, R³ = R⁴ = H, Z = Ph,
the floating double bond is attached to G, and

A = O

<u>Y</u>	<u>Y</u>	<u>Y</u>	<u>Y</u>	<u>Y</u>
S	CH ₂ CH ₂	CH(Me)O	SCH ₂	C(Me)=N-O
CH=CH	CH(Me)CH ₂	OCH ₂	SCH(Me)	O-N=CH
C(Me)=CH	CH ₂ CH(Me)	OCH(Me)	CH ₂ O-N=CH	O-N=C(Me)
CH=C(Me)	CH(Me)CH(Me)	CH ₂ S	CH ₂ O-N=C(Me)	CH ₂ OC(=O)
C(Me)=C(Me)	CH ₂ O	CH(Me)S	CH=N-O	CH(Me)OC(=O)
direct bond	C≡C			

A = S

<u>Y</u>	<u>Y</u>	<u>Y</u>	<u>Y</u>	<u>Y</u>
S	CH ₂ CH ₂	CH(Me)O	SCH ₂	C(Me)=N-O
CH=CH	CH(Me)CH ₂	OCH ₂	SCH(Me)	O-N=CH
C(Me)=CH	CH ₂ CH(Me)	OCH(Me)	CH ₂ O-N=CH	O-N=C(Me)
CH=C(Me)	CH(Me)CH(Me)	CH ₂ S	CH ₂ O-N=C(Me)	CH ₂ OC(=O)
C(Me)=C(Me)	CH ₂ O	CH(Me)S	CH=N-O	CH(Me)OC(=O)
direct bond	C≡C			

A = NMe

<u>Y</u>	<u>Y</u>	<u>Y</u>	<u>Y</u>	<u>Y</u>
S	CH ₂ CH ₂	CH(Me)O	SCH ₂	C(Me)=N-O
CH=CH	CH(Me)CH ₂	OCH ₂	SCH(Me)	O-N=CH
C(Me)=CH	CH ₂ CH(Me)	OCH(Me)	CH ₂ O-N=CH	O-N=C(Me)
CH=C(Me)	CH(Me)CH(Me)	CH ₂ S	CH ₂ O-N=C(Me)	CH ₂ OC(=O)
C(Me)=C(Me)	CH ₂ O	CH(Me)S	CH=N-O	CH(Me)OC(=O)
direct bond	C≡C			

Table 14

Compounds of Formula I wherein: G = N, W = O, X = MeO, R² = Me, R³ = R⁴ = H, Z = Ph,
the floating double bond is attached to A, and

A = N

<u>Y</u>	<u>Y</u>	<u>Y</u>	<u>Y</u>	<u>Y</u>
S	CH ₂ CH ₂	CH(Me)O	SCH ₂	C(Me)=N-O
CH=CH	CH(Me)CH ₂	OCH ₂	SCH(Me)	O-N=CH
C(Me)=CH	CH ₂ CH(Me)	OCH(Me)	CH ₂ O-N=CH	O-N=C(Me)

CH=C(Me)	CH(Me)CH(Me)	CH ₂ S	CH ₂ O-N=C(Me)	CH ₂ OC(=O)
C(Me)=C(Me)	CH ₂ O	CH(Me)S	CH=N-O	CH(Me)OC(=O)
direct bond	C≡C			

A = S

<u>Y</u>	<u>Y</u>	<u>Y</u>	<u>Y</u>	<u>Y</u>
S	CH ₂ CH ₂	CH(Me)O	SCH ₂	C(Me)=N-O
CH=CH	CH(Me)CH ₂	OCH ₂	SCH(Me)	O-N=CH
C(Me)=CH	CH ₂ CH(Me)	OCH(Me)	CH ₂ O-N=CH	O-N=C(Me)
CH=C(Me)	CH(Me)CH(Me)	CH ₂ S	CH ₂ O-N=C(Me)	CH ₂ OC(=O)
C(Me)=C(Me)	CH ₂ O	CH(Me)S	CH=N-O	CH(Me)OC(=O)
direct bond	C≡C			

A = NMe

<u>Y</u>	<u>Y</u>	<u>Y</u>	<u>Y</u>	<u>Y</u>
S	CH ₂ CH ₂	CH(Me)O	SCH ₂	C(Me)=N-O
CH=CH	CH(Me)CH ₂	OCH ₂	SCH(Me)	O-N=CH
C(Me)=CH	CH ₂ CH(Me)	OCH(Me)	CH ₂ O-N=CH	O-N=C(Me)
CH=C(Me)	CH(Me)CH(Me)	CH ₂ S	CH ₂ O-N=C(Me)	CH ₂ OC(=O)
C(Me)=C(Me)	CH ₂ O	CH(Me)S	CH=N-O	CH(Me)OC(=O)
direct bond	C≡C			

Table 15

Compounds of Formula I wherein: G = C, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to G, and

Y = O, A = O

<u>Z</u>	<u>Z</u>	<u>Z</u>	<u>Z</u>
hexyl	4-octenyl	3-pentynyl	4-PhO-2-pyridinyl
PhO(CH ₂) ₃	PhCH=CHCH ₂	PhC≡CCH ₂	(c-propyl)CH ₂
2-Br-Ph	2-Me-Ph	2-Et-Ph	6-(2-CN-PhO)-4-pyrimidinyl
2-CN-Ph	2-F-Ph	2-Cl-Ph	6-PhO-4-pyrimidinyl
2,4-diCl-Ph	2-Me-4-Cl-Ph	2,4,6-triCl-Ph	4-EtO-2-pyrimidinyl
2-CF ₃ -Ph	4-Ph-Ph	3-PhO-Ph	3-(4-pyrimidinyloxy)-Ph
2-I-Ph	3-(2-Cl-PhO)-Ph	3-(2-Et-PhO)-Ph	4-(2-thienyl)Ph
c-hexyl	3,5-diCl-Ph	6-Ph-2-pyridinyl	3-(2-pyridinyloxy)Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	6-PhO-4-pyridinyl	3-pyridinyl
PhCH ₂ CH ₂	2-MeO-Ph	3-thienyloxy-Ph	4-(3-Cl-2-pyridinyloxy)-Ph
(2-CN-Ph)CH ₂	2,6-diMeO-Ph	3-(4-CF ₃ -PhO)-Ph	4-(PhO)-c-hexyl

CF ₃ CH ₂	3-(2-CN-PhO)-Ph	3-(2-Me-PhO)-Ph	5-PhO-2-pyrimidinyl
2-MeS-Ph	5-PhO-3-pyridinyl	5-PhO-2-pyridinyl	6-(2-NO ₂ -PhO)-4-pyrimidinyl
i-Bu	6-Me-2-pyridinyl	6-PhO-2-pyridinyl	6-(2-Cl-PhO)-4-pyrimidinyl
2-CF ₃ O-Ph	3-CF ₃ O-Ph	6-CF ₃ -2-pyridinyl	6-(2-CF ₃ -PhO)-4-pyrimidinyl
4-Me-Ph	4-Br-Ph	6-PhO-3-pyridinyl	4,6-diMeO-2-pyrimidinyl
4-Cl-Ph	3-Et-Ph	2-pyrimidinyl	4,6-diMe-2-pyrimidinyl
3-Me-Ph	4-Et-Ph	4-pyrimidinyl	6-CF ₃ -4-pyrimidinyl
3-CF ₃ -Ph	4-MeO-Ph	4-MeO-2-pyrimidinyl	4-CF ₃ -2-pyridinyl
3-Cl-2-Me-Ph	4-t-Bu-Ph	4-Me-2-pyrimidinyl	4-CF ₃ -2-pyrimidinyl
3-t-Bu-Ph	4-CN-Ph	6-MeO-4-pyrimidinyl	2-pyridinyl
3-NO ₂ -Ph	4-NO ₂ -Ph	2-Ph-4-thiazolyl	6-CF ₃ -2-pyrazinyl
3-F-Ph	4-F-Ph	3-MeO-6-pyridazinyl	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	3-Ph-Ph	5-Me-2-furanyl	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	2,5-diMe-3-thienyl	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	3-OCF ₂ H-Ph	6-Me-2-pyridinyl
3-EtO-Ph	3-MeS-Ph	4-OCF ₂ H-Ph	

Y = CH₂O, A = O

Z	Z	Z	Z
hexyl	4-octenyl	3-pentynyl	4-PhO-2-pyridinyl
PhO(CH ₂) ₃	PhCH=CHCH ₂	PhC≡CCH ₂	(c-propyl)CH ₂
2-Br-Ph	2-Me-Ph	2-Et-Ph	6-(2-CN-PhO)-4-pyrimidinyl
2-CN-Ph	2-F-Ph	2-Cl-Ph	6-PhO-4-pyrimidinyl
2,4-diCl-Ph	2-Me-4-Cl-Ph	2,4,6-triCl-Ph	4-EtO-2-pyrimidinyl
2-CF ₃ -Ph	4-Ph-Ph	3-PhO-Ph	3-(4-pyrimidinyl)-Ph
2-I-Ph	3-(2-Cl-PhO)-Ph	3-(2-Et-PhO)-Ph	4-(2-thienyl)Ph
c-hexyl	3,5-diCl-Ph	6-Ph-2-pyridinyl	3-(2-pyridinyl)-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	6-PhO-4-pyridinyl	3-pyridinyl
PhCH ₂ CH ₂	2-MeO-Ph	3-thienyloxy-Ph	4-(3-Cl-2-pyridinyl)-Ph
(2-CN-Ph)CH ₂	2,6-diMeO-Ph	3-(4-CF ₃ -PhO)-Ph	4-(PhO)-c-hexyl
CF ₃ CH ₂	3-(2-CN-PhO)-Ph	3-(2-Me-PhO)-Ph	5-PhO-2-pyrimidinyl
2-MeS-Ph	5-PhO-3-pyridinyl	5-PhO-2-pyridinyl	6-(2-NO ₂ -PhO)-4-pyrimidinyl
i-Bu	6-Me-2-pyridinyl	6-PhO-2-pyridinyl	6-(2-Cl-PhO)-4-pyrimidinyl
2-CF ₃ O-Ph	3-CF ₃ O-Ph	6-CF ₃ -2-pyridinyl	6-(2-CF ₃ -PhO)-4-pyrimidinyl
4-Me-Ph	4-Br-Ph	6-PhO-3-pyridinyl	4,6-diMeO-2-pyrimidinyl
4-Cl-Ph	3-Et-Ph	2-pyrimidinyl	4,6-diMe-2-pyrimidinyl
3-Me-Ph	4-Et-Ph	4-pyrimidinyl	6-CF ₃ -4-pyrimidinyl
3-CF ₃ -Ph	4-MeO-Ph	4-MeO-2-pyrimidinyl	4-CF ₃ -2-pyridinyl

3-Cl-2-Me-Ph	4-t-Bu-Ph	4-Me-2-pyrimidinyl	4-CF ₃ -2-pyrimidinyl
3-t-Bu-Ph	4-CN-Ph	6-MeO-4-pyrimidinyl	2-pyridinyl
3-NO ₂ -Ph	4-NO ₂ -Ph	2-Ph-4-thiazolyl	6-CF ₃ -2-pyrazinyl
3-F-Ph	4-F-Ph	3-MeO-6-pyridazinyl	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	3-Ph-Ph	5-Me-2-furanyl	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	2,5-diMe-3-thienyl	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	3-OCF ₂ H-Ph	6-Me-2-pyridinyl
3-EtO-Ph	3-MeS-Ph	4-OCF ₂ H-Ph	

Y = O, A = NMe

Z	Z	Z	Z
hexyl	4-octenyl	3-pentynyl	4-PhO-2-pyridinyl
PhO(CH ₂) ₃	PhCH=CHCH ₂	PhC≡CCH ₂	(c-propyl)CH ₂
2-Br-Ph	2-Me-Ph	2-Et-Ph	6-(2-CN-PhO)-4-pyrimidinyl
2-CN-Ph	2-F-Ph	2-Cl-Ph	6-PhO-4-pyrimidinyl
2,4-diCl-Ph	2-Me-4-Cl-Ph	2,4,6-triCl-Ph	4-EtO-2-pyrimidinyl
2-CF ₃ -Ph	4-Ph-Ph	3-PhO-Ph	3-(4-pyrimidinylloxy)-Ph
2-I-Ph	3-(2-Cl-PhO)-Ph	3-(2-Et-PhO)-Ph	4-(2-thienyl)Ph
c-hexyl	3,5-diCl-Ph	6-Ph-2-pyridinyl	3-(2-pyridinylloxy)Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	6-PhO-4-pyridinyl	3-pyridinyl
PhCH ₂ CH ₂	2-MeO-Ph	3-thienyloxy-Ph	4-(3-Cl-2-pyridinylloxy)-Ph
(2-CN-Ph)CH ₂	2,6-diMeO-Ph	3-(4-CF ₃ -PhO)-Ph	4-(PhO)-c-hexyl
CF ₃ CH ₂	3-(2-CN-PhO)-Ph	3-(2-Me-PhO)-Ph	5-PhO-2-pyrimidinyl
2-MeS-Ph	5-PhO-3-pyridinyl	5-PhO-2-pyridinyl	6-(2-NO ₂ -PhO)-4-pyrimidinyl
i-Bu	6-Me-2-pyridinyl	6-PhO-2-pyridinyl	6-(2-Cl-PhO)-4-pyrimidinyl
2-CF ₃ O-Ph	3-CF ₃ O-Ph	6-CF ₃ -2-pyridinyl	6-(2-CF ₃ -PhO)-4-pyrimidinyl
4-Me-Ph	4-Br-Ph	6-PhO-3-pyridinyl	4,6-diMeO-2-pyrimidinyl
4-Cl-Ph	3-Et-Ph	2-pyrimidinyl	4,6-diMe-2-pyrimidinyl
3-Me-Ph	4-Et-Ph	4-pyrimidinyl	6-CF ₃ -4-pyrimidinyl
3-CF ₃ -Ph	4-MeO-Ph	4-MeO-2-pyrimidinyl	4-CF ₃ -2-pyridinyl
3-Cl-2-Me-Ph	4-t-Bu-Ph	4-Me-2-pyrimidinyl	4-CF ₃ -2-pyrimidinyl
3-t-Bu-Ph	4-CN-Ph	6-MeO-4-pyrimidinyl	2-pyridinyl
3-NO ₂ -Ph	4-NO ₂ -Ph	2-Ph-4-thiazolyl	6-CF ₃ -2-pyrazinyl
3-F-Ph	4-F-Ph	3-MeO-6-pyridazinyl	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	3-Ph-Ph	5-Me-2-furanyl	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	2,5-diMe-3-thienyl	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	3-OCF ₂ H-Ph	6-Me-2-pyridinyl
3-EtO-Ph	3-MeS-Ph	4-OCF ₂ H-Ph	

$Y = CH_2O$, $A = NMe$

Z	Z	Z	Z
hexyl	4-octenyl	3-pentynyl	4-PhO-2-pyridinyl
PhO(CH ₂) ₃	PhCH=CHCH ₂	PhC≡CCH ₂	(c-propyl)CH ₂
2-Br-Ph	2-Me-Ph	2-Et-Ph	6-(2-CN-PhO)-4-pyrimidinyl
2-CN-Ph	2-F-Ph	2-Cl-Ph	6-PhO-4-pyrimidinyl
2,4-diCl-Ph	2-Me-4-Cl-Ph	2,4,6-triCl-Ph	4-EtO-2-pyrimidinyl
2-CF ₃ -Ph	4-Ph-Ph	3-PhO-Ph	3-(4-pyrimidinyl)-Ph
2-I-Ph	3-(2-Cl-PhO)-Ph	3-(2-Et-PhO)-Ph	4-(2-thienyl)Ph
c-hexyl	3,5-diCl-Ph	6-Ph-2-pyridinyl	3-(2-pyridinyl)-Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	6-PhO-4-pyridinyl	3-pyridinyl
PhCH ₂ CH ₂	2-MeO-Ph	3-thienyloxy-Ph	4-(3-Cl-2-pyridinyl)-Ph
(2-CN-Ph)CH ₂	2,6-diMeO-Ph	3-(4-CF ₃ -PhO)-Ph	4-(PhO)-c-hexyl
CF ₃ CH ₂	3-(2-CN-PhO)-Ph	3-(2-Me-PhO)-Ph	5-PhO-2-pyrimidinyl
2-MeS-Ph	5-PhO-3-pyridinyl	5-PhO-2-pyridinyl	6-(2-NO ₂ -PhO)-4-pyrimidinyl
i-Bu	6-Me-2-pyridinyl	6-PhO-2-pyridinyl	6-(2-Cl-PhO)-4-pyrimidinyl
2-CF ₃ O-Ph	3-CF ₃ O-Ph	6-CF ₃ -2-pyridinyl	6-(2-CF ₃ -PhO)-4-pyrimidinyl
4-Me-Ph	4-Br-Ph	6-PhO-3-pyridinyl	4,6-diMeO-2-pyrimidinyl
4-Cl-Ph	3-Et-Ph	2-pyrimidinyl	4,6-diMe-2-pyrimidinyl
3-Me-Ph	4-Et-Ph	4-pyrimidinyl	6-CF ₃ -4-pyrimidinyl
3-CF ₃ -Ph	4-MeO-Ph	4-MeO-2-pyrimidinyl	4-CF ₃ -2-pyridinyl
3-Cl-2-Me-Ph	4-t-Bu-Ph	4-Me-2-pyrimidinyl	4-CF ₃ -2-pyrimidinyl
3-t-Bu-Ph	4-CN-Ph	6-MeO-4-pyrimidinyl	2-pyridinyl
3-NO ₂ -Ph	4-NO ₂ -Ph	2-Ph-4-thiazolyl	6-CF ₃ -2-pyrazinyl
3-F-Ph	4-F-Ph	3-MeO-6-pyridazinyl	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	3-Ph-Ph	5-Me-2-furanyl	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	2,5-diMe-3-thienyl	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	3-OCF ₂ H-Ph	6-Me-2-pyridinyl
3-EtO-Ph	3-MeS-Ph	4-OCF ₂ H-Ph	

Table 16

Compounds of Formula I wherein: A = O, G = C, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to G, and

 $Y = CH_2ON=C(CH_3)_2$

Z	Z	Z	Z
hexyl	4-octenyl	3-pentynyl	4-PhO-2-pyridinyl
PhO(CH ₂) ₃	PhCH=CHCH ₂	PhC≡CCH ₂	(c-propyl)CH ₂

2-Br-Ph	2-Me-Ph	2-Et-Ph	6-(2-CN-PhO)-4-pyrimidinyl
2-CN-Ph	2-F-Ph	2-Cl-Ph	6-PhO-4-pyrimidinyl
2,4-diCl-Ph	2-Me-4-Cl-Ph	2,4,6-triCl-Ph	4-EtO-2-pyrimidinyl
2-CF ₃ -Ph	4-Ph-Ph	3-PhO-Ph	3-(4-pyrimidinyloxy)-Ph
2-I-Ph	3-(2-Cl-PhO)-Ph	3-(2-Et-PhO)-Ph	4-(2-thienyl)Ph
c-hexyl	3,5-diCl-Ph	6-Ph-2-pyridinyl	3-(2-pyridinyloxy)Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	6-PhO-4-pyridinyl	3-pyridinyl
PhCH ₂ CH ₂	2-MeO-Ph	3-thienyloxy-Ph	4-(3-Cl-2-pyridinyloxy)-Ph
(2-CN-Ph)CH ₂	2,6-diMeO-Ph	3-(4-CF ₃ -PhO)-Ph	4-(PhO)-c-hexyl
CF ₃ CH ₂	3-(2-CN-PhO)-Ph	3-(2-Me-PhO)-Ph	5-PhO-2-pyrimidinyl
2-MeS-Ph	5-PhO-3-pyridinyl	5-PhO-2-pyridinyl	6-(2-NO ₂ -PhO)-4-pyrimidinyl
i-Bu	6-Me-2-pyridinyl	6-PhO-2-pyridinyl	6-(2-Cl-PhO)-4-pyrimidinyl
2-CF ₃ O-Ph	3-CF ₃ O-Ph	6-CF ₃ -2-pyridinyl	6-(2-CF ₃ -PhO)-4-pyrimidinyl
4-Me-Ph	4-Br-Ph	6-PhO-3-pyridinyl	4,6-diMeO-2-pyrimidinyl
4-Cl-Ph	3-Et-Ph	2-pyrimidinyl	4,6-diMe-2-pyrimidinyl
3-Me-Ph	4-Et-Ph	4-pyrimidinyl	6-CF ₃ -4-pyrimidinyl
3-CF ₃ -Ph	4-MeO-Ph	4-MeO-2-pyrimidinyl	4-CF ₃ -2-pyridinyl
3-Cl-2-Me-Ph	4-t-Bu-Ph	4-Me-2-pyrimidinyl	4-CF ₃ -2-pyrimidinyl
3-t-Bu-Ph	4-CN-Ph	6-MeO-4-pyrimidinyl	2-pyridinyl
3-NO ₂ -Ph	4-NO ₂ -Ph	2-Ph-4-thiazolyl	6-CF ₃ -2-pyrazinyl
3-F-Ph	4-F-Ph	3-MeO-6-pyridazinyl	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	3-Ph-Ph	5-Me-2-furanyl	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	2,5-diMe-3-thienyl	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	3-OCF ₂ H-Ph	6-Me-2-pyridinyl
3-EtO-Ph	3-MeS-Ph	4-OCF ₂ H-Ph	

Table 17

Compounds of Formula I wherein: A = NMe, G = C, W = O, X = MeO, R² = Me, R³ = R⁴ = H,

the floating double bond is attached to G, and

Y ≡ CH₂ON=C(CH₃)₂.

Z	Z	Z	Z
hexyl	4-octenyl	3-pentynyl	4-PhO-2-pyridinyl
PhO(CH ₂) ₃	PhCH=CHCH ₂	PhC≡CCH ₂	(c-propyl)CH ₂
2-Br-Ph	2-Me-Ph	2-Et-Ph	6-(2-CN-PhO)-4-pyrimidinyl
2-CN-Ph	2-F-Ph	2-Cl-Ph	6-PhO-4-pyrimidinyl
2,4-diCl-Ph	2-Me-4-Cl-Ph	2,4,6-triCl-Ph	4-EtO-2-pyrimidinyl
2-CF ₃ -Ph	4-Ph-Ph	3-PhO-Ph	3-(4-pyrimidinyloxy)-Ph
2-I-Ph	3-(2-Cl-PhO)-Ph	3-(2-Et-PhO)-Ph	4-(2-thienyl)Ph

c-hexyl	3,5-diCl-Ph	6-Ph-2-pyridinyl	3-(2-pyridinyloxy)Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	6-PhO-4-pyridinyl	3-pyridinyl
PhCH ₂ CH ₂	2-MeO-Ph	3-thienyloxy-Ph	4-(3-Cl-2-pyridinyloxy)-Ph
(2-CN-Ph)CH ₂	2,6-diMeO-Ph	3-(4-CF ₃ -PhO)-Ph	4-(PhO)-c-hexyl
CF ₃ CH ₂	3-(2-CN-PhO)-Ph	3-(2-Me-PhO)-Ph	5-PhO-2-pyrimidinyl
2-MeS-Ph	5-PhO-3-pyridinyl	5-PhO-2-pyridinyl	6-(2-NO ₂ -PhO)-4-pyrimidinyl
i-Bu	6-Me-2-pyridinyl	6-PhO-2-pyridinyl	6-(2-Cl-PhO)-4-pyrimidinyl
2-CF ₃ O-Ph	3-CF ₃ O-Ph	6-CF ₃ -2-pyridinyl	6-(2-CF ₃ -PhO)-4-pyrimidinyl
4-Me-Ph	4-Br-Ph	6-PhO-3-pyridinyl	4,6-diMeO-2-pyrimidinyl
4-Cl-Ph	3-Et-Ph	2-pyrimidinyl	4,6-diMe-2-pyrimidinyl
3-Me-Ph	4-Et-Ph	4-pyrimidinyl	6-CF ₃ -4-pyrimidinyl
3-CF ₃ -Ph	4-MeO-Ph	4-MeO-2-pyrimidinyl	4-CF ₃ -2-pyridinyl
3-Cl-2-Me-Ph	4-t-Bu-Ph	4-Me-2-pyrimidinyl	4-CF ₃ -2-pyrimidinyl
3-t-Bu-Ph	4-CN-Ph	6-MeO-4-pyrimidinyl	2-pyridinyl
3-NO ₂ -Ph	4-NO ₂ -Ph	2-Ph-4-thiazolyl	6-CF ₃ -2-pyrazinyl
3-F-Ph	4-F-Ph	3-MeO-6-pyridazinyl	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	3-Ph-Ph	5-Me-2-furanyl	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	2,5-diMe-3-thienyl	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	3-OCF ₂ H-Ph	6-Me-2-pyridinyl
3-EtO-Ph	3-MeS-Ph	4-OCF ₂ H-Ph	

Table 18

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to A, and
Y = CH₂ON=C(CH₃)₂.

Z	Z	Z	Z
hexyl	4-octenyl	3-pentynyl	4-PhO-2-pyridinyl
PhO(CH ₂) ₃	PhCH=CHCH ₂	PhC≡CCH ₂	(c-propyl)CH ₂
2-Br-Ph	2-Me-Ph	2-Et-Ph	6-(2-CN-PhO)-4-pyrimidinyl
2-CN-Ph	2-F-Ph	2-Cl-Ph	6-PhO-4-pyrimidinyl
2,4-diCl-Ph	2-Me-4-Cl-Ph	2,4,6-triCl-Ph	4-EtO-2-pyrimidinyl
2-CF ₃ -Ph	4-Ph-Ph	3-PhO-Ph	3-(4-pyrimidinyloxy)-Ph
2-I-Ph	3-(2-Cl-PhO)-Ph	3-(2-Et-PhO)-Ph	4-(2-thienyl)Ph
c-hexyl	3,5-diCl-Ph	6-Ph-2-pyridinyl	3-(2-pyridinyloxy)Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	6-PhO-4-pyridinyl	3-pyridinyl
PhCH ₂ CH ₂	2-MeO-Ph	3-thienyloxy-Ph	4-(3-Cl-2-pyridinyloxy)-Ph
(2-CN-Ph)CH ₂	2,6-diMeO-Ph	3-(4-CF ₃ -PhO)-Ph	4-(PhO)-c-hexyl
CF ₃ CH ₂	3-(2-CN-PhO)-Ph	3-(2-Me-PhO)-Ph	5-PhO-2-pyrimidinyl

2-MeS-Ph	5-PhO-3-pyridinyl	5-PhO-2-pyridinyl	6-(2-NO ₂ -PhO)-4-pyrimidinyl
i-Bu	6-Me-2-pyridinyl	6-PhO-2-pyridinyl	6-(2-Cl-PhO)-4-pyrimidinyl
2-CF ₃ O-Ph	3-CF ₃ O-Ph	6-CF ₃ -2-pyridinyl	6-(2-CF ₃ -PhO)-4-pyrimidinyl
4-Me-Ph	4-Br-Ph	6-PhO-3-pyridinyl	4,6-diMeO-2-pyrimidinyl
4-Cl-Ph	3-Et-Ph	2-pyrimidinyl	4,6-diMe-2-pyrimidinyl
3-Me-Ph	4-Et-Ph	4-pyrimidinyl	6-CF ₃ -4-pyrimidinyl
3-CF ₃ -Ph	4-MeO-Ph	4-MeO-2-pyrimidinyl	4-CF ₃ -2-pyridinyl
3-Cl-2-Me-Ph	4-t-Bu-Ph	4-Me-2-pyrimidinyl	4-CF ₃ -2-pyrimidinyl
3-t-Bu-Ph	4-CN-Ph	6-MeO-4-pyrimidinyl	2-pyridinyl
3-NO ₂ -Ph	4-NO ₂ -Ph	2-Ph-4-thiazolyl	6-CF ₃ -2-pyrazinyl
3-F-Ph	4-F-Ph	3-MeO-6-pyridazinyl	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	3-Ph-Ph	5-Me-2-furanyl	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	2,5-diMe-3-thienyl	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	3-OCF ₂ H-Ph	6-Me-2-pyridinyl
3-EtO-Ph	3-MeS-Ph	4-OCF ₂ H-Ph	

Y = CH₂S

Z	Z	Z	Z
hexyl	4-octenyl	3-pentynyl	4-PhO-2-pyridinyl
PhO(CH ₂) ₃	PhCH=CHCH ₂	PhC≡CCH ₂	(c-propyl)CH ₂
2-Br-Ph	2-Me-Ph	2-Et-Ph	6-(2-CN-PhO)-4-pyrimidinyl
2-CN-Ph	2-F-Ph	2-Cl-Ph	6-PhO-4-pyrimidinyl
2,4-diCl-Ph	2-Me-4-Cl-Ph	2,4,6-triCl-Ph	4-EtO-2-pyrimidinyl
2-CF ₃ -Ph	4-Ph-Ph	3-PhO-Ph	3-(4-pyrimidinyloxy)-Ph
2-I-Ph	3-(2-Cl-PhO)-Ph	3-(2-Et-PhO)-Ph	4-(2-thienyl)Ph
c-hexyl	3,5-diCl-Ph	6-Ph-2-pyridinyl	3-(2-pyridinyloxy)Ph
4-NO ₂ -Ph	3,5-diCF ₃ -Ph	6-PhO-4-pyridinyl	3-pyridinyl
PhCH ₂ CH ₂	2-MeO-Ph	3-thienyloxy-Ph	4-(3-Cl-2-pyridinyloxy)-Ph
(2-CN-Ph)CH ₂	2,6-diMeO-Ph	3-(4-CF ₃ -PhO)-Ph	4-(PhO)-c-hexyl
CF ₃ CH ₂	3-(2-CN-PhO)-Ph	3-(2-Me-PhO)-Ph	5-PhO-2-pyrimidinyl
2-MeS-Ph	5-PhO-3-pyridinyl	5-PhO-2-pyridinyl	6-(2-NO ₂ -PhO)-4-pyrimidinyl
i-Bu	6-Me-2-pyridinyl	6-PhO-2-pyridinyl	6-(2-Cl-PhO)-4-pyrimidinyl
2-CF ₃ O-Ph	3-CF ₃ O-Ph	6-CF ₃ -2-pyridinyl	6-(2-CF ₃ -PhO)-4-pyrimidinyl
4-Me-Ph	4-Br-Ph	6-PhO-3-pyridinyl	4,6-diMeO-2-pyrimidinyl
4-Cl-Ph	3-Et-Ph	2-pyrimidinyl	4,6-diMe-2-pyrimidinyl
3-Me-Ph	4-Et-Ph	4-pyrimidinyl	6-CF ₃ -4-pyrimidinyl
3-CF ₃ -Ph	4-MeO-Ph	4-MeO-2-pyrimidinyl	4-CF ₃ -2-pyridinyl
3-Cl-2-Me-Ph	4-t-Bu-Ph	4-Me-2-pyrimidinyl	4-CF ₃ -2-pyrimidinyl

3-t-Bu-Ph	4-CN-Ph	6-MeO-4-pyrimidinyl	2-pyridinyl
3-NO ₂ -Ph	4-NO ₂ -Ph	2-Ph-4-thiazolyl	6-CF ₃ -2-pyrazinyl
3-F-Ph	4-F-Ph	3-MeO-6-pyridazinyl	5-CF ₃ -3-pyridinyl
4-CF ₃ -Ph	3-Ph-Ph	5-Me-2-furanyl	3-MeO-2-pyridinyl
3,4-diCl-Ph	3,4-diMe-Ph	2,5-diMe-3-thienyl	5-CN-2-pyridinyl
3,4-diCF ₃ -Ph	3,5-diMe-Ph	3-OCF ₂ H-Ph	6-Me-2-pyridinyl
3-EtO-Ph	3-MeS-Ph	4-OCF ₂ H-Ph	

Table 19

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to A, and

Y = CH₂ON=C(H)

Z	Z	Z	Z
2-Me-Ph	3-Me-Ph	3-CF ₃ -Ph	3-Cl-Ph
4-Cl-Ph	4-CF ₃ -Ph	2,5-diMe-Ph	3,5-diCl-Ph

Table 20

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, Z = 3-CF₃-Ph, R² = Me, R³ = R⁴ = H, the floating double bond is attached to A, and

Y = CH₂ON=C(R⁷)

R ⁷	R ⁷	R ⁷	R ⁷
CF ₃	OCH ₂ CF ₃	Et	n-Pr
Cl	MeO	EtO	MeS

Table 21

Compounds of Formula I wherein: A = O, G = C, W = O, X = MeO, R³ = R⁴ = H, Y = CH₂ON=C(R⁷), the floating double bond is attached to G, and

R² = Me

R ⁷	Z	R ⁷	Z
c-propyl	3,4-(OCH ₂ CH ₂ O)-Ph	c-propyl	3,4-(OCHFCF ₂ O)-Ph
c-propyl	3,4-(OCF ₂ O)-Ph	c-propyl	Ph
c-propyl	4-CF ₃ -Ph	c-propyl	3-CF ₃ -Ph
c-propyl	4-Cl-Ph	c-propyl	3-Cl-Ph
c-propyl	2-Me-Ph	c-propyl	3-OCF ₃ -Ph
CF ₃	3,4-(OCH ₂ CH ₂ O)-Ph	CF ₃	3,4-(OCHFCF ₂ O)-Ph
CF ₃	3,4-(OCF ₂ O)-Ph	CF ₃	Ph
CF ₃	4-CF ₃ -Ph	CF ₃	3-CF ₃ -Ph
CF ₃	4-Cl-Ph	CF ₃	3-Cl-Ph

CF ₃	2-Me-Ph	CF ₃	3-OCF ₃ -Ph
Et	3,4-(OCH ₂ CH ₂ O)-Ph	Et	3,4-(OCHFCF ₂ O)-Ph
Et	3,4-(OCF ₂ O)-Ph	Et	Ph
Et	4-CF ₃ -Ph	Et	3-CF ₃ -Ph
Et	4-Cl-Ph	Et	3-Cl-Ph
Et	2-Me-Ph	Et	3-OCF ₃ -Ph

Table 22Compounds of Formula I wherein: A = NMe, G = C, W = O, X = MeO, R³ = R⁴ = H,Y = CH₂ON=C(R⁷), the floating double bond is attached to G, andR² = Me

<u>R⁷</u>	<u>Z</u>	<u>R⁷</u>	<u>Z</u>
c-propyl	3,4-(OCH ₂ CH ₂ O)-Ph	c-propyl	3,4-(OCHFCF ₂ O)-Ph
c-propyl	3,4-(OCF ₂ O)-Ph	c-propyl	Ph
c-propyl	4-CF ₃ -Ph	c-propyl	3-CF ₃ -Ph
c-propyl	4-Cl-Ph	c-propyl	3-Cl-Ph
c-propyl	2-Me-Ph	c-propyl	3-OCF ₃ -Ph
CF ₃	3,4-(OCH ₂ CH ₂ O)-Ph	CF ₃	3,4-(OCHFCF ₂ O)-Ph
CF ₃	3,4-(OCF ₂ O)-Ph	CF ₃	Ph
CF ₃	4-CF ₃ -Ph	CF ₃	3-CF ₃ -Ph
CF ₃	4-Cl-Ph	CF ₃	3-Cl-Ph
CF ₃	2-Me-Ph	CF ₃	3-OCF ₃ -Ph
Et	3,4-(OCH ₂ CH ₂ O)-Ph	Et	3,4-(OCHFCF ₂ O)-Ph
Et	3,4-(OCF ₂ O)-Ph	Et	Ph
Et	4-CF ₃ -Ph	Et	3-CF ₃ -Ph
Et	4-Cl-Ph	Et	3-Cl-Ph
Et	2-Me-Ph	Et	3-OCF ₃ -Ph

Table 23Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R³ = R⁴ = H,Y = CH₂ON=C(R⁷), the floating double bond is attached to A, andR² = Me

<u>R⁷</u>	<u>Z</u>	<u>R⁷</u>	<u>Z</u>
c-propyl	3,4-(OCH ₂ CH ₂ O)-Ph	c-propyl	3,4-(OCHFCF ₂ O)-Ph
c-propyl	3,4-(OCF ₂ O)-Ph	c-propyl	Ph
c-propyl	4-CF ₃ -Ph	c-propyl	3-CF ₃ -Ph
c-propyl	4-Cl-Ph	c-propyl	3-Cl-Ph
c-propyl	2-Me-Ph	c-propyl	3-OCF ₃ -Ph

CF ₃	3,4-(OCH ₂ CH ₂ O)-Ph	CF ₃	3,4-(OCHFCF ₂ O)-Ph
CF ₃	3,4-(OCF ₂ O)-Ph	CF ₃	Ph
CF ₃	4-CF ₃ -Ph	CF ₃	3-CF ₃ -Ph
CF ₃	4-Cl-Ph	CF ₃	3-Cl-Ph
CF ₃	2-Me-Ph	CF ₃	3-OCF ₃ -Ph
Et	3,4-(OCH ₂ CH ₂ O)-Ph	Et	3,4-(OCHFCF ₂ O)-Ph
Et	3,4-(OCF ₂ O)-Ph	Et	Ph
Et	4-CF ₃ -Ph	Et	3-CF ₃ -Ph
Et	4-Cl-Ph	Et	3-Cl-Ph
Et	2-Me-Ph	Et	3-OCF ₃ -Ph

Table 24

Compounds of Formula I wherein: A = O, G = C, W = O, X = MeO, R³ = R⁴ = H, the floating double bond is attached to G, and

R² = Me

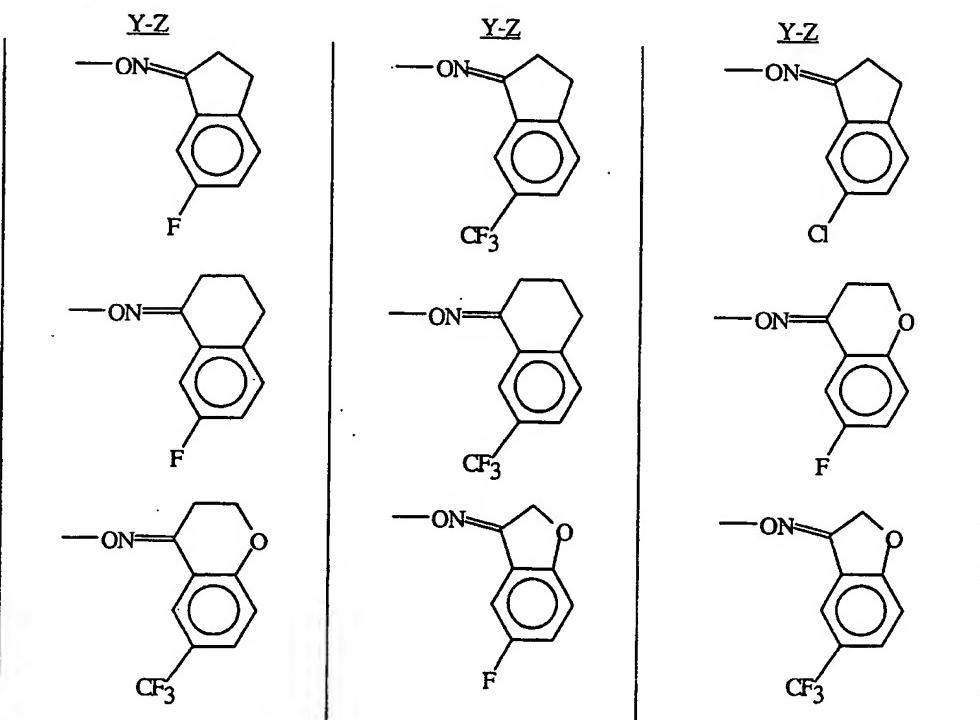
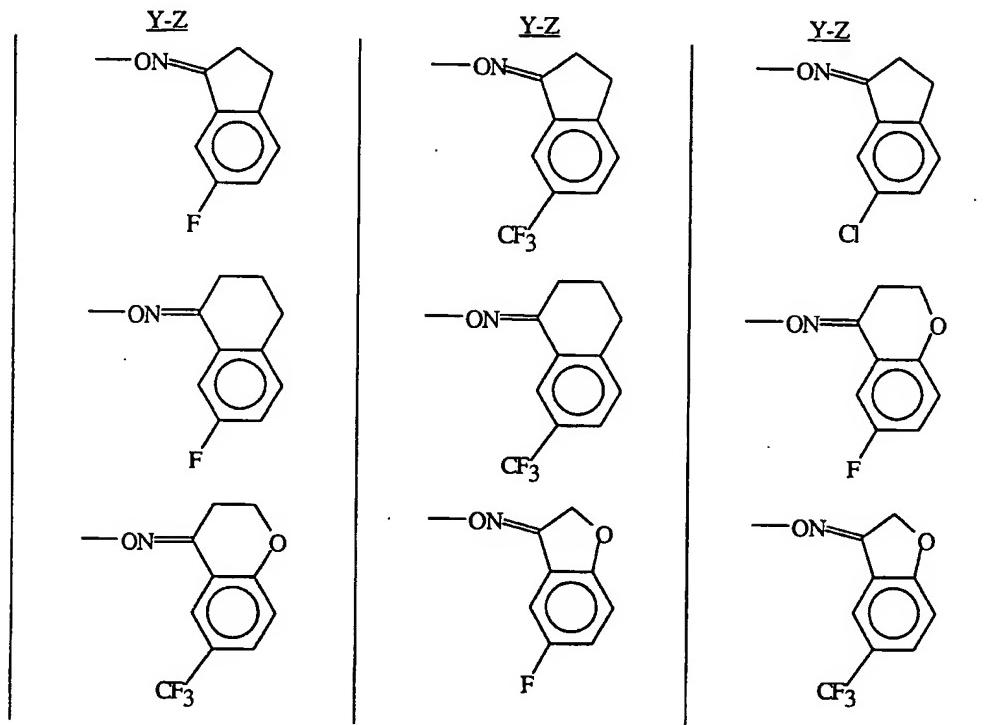
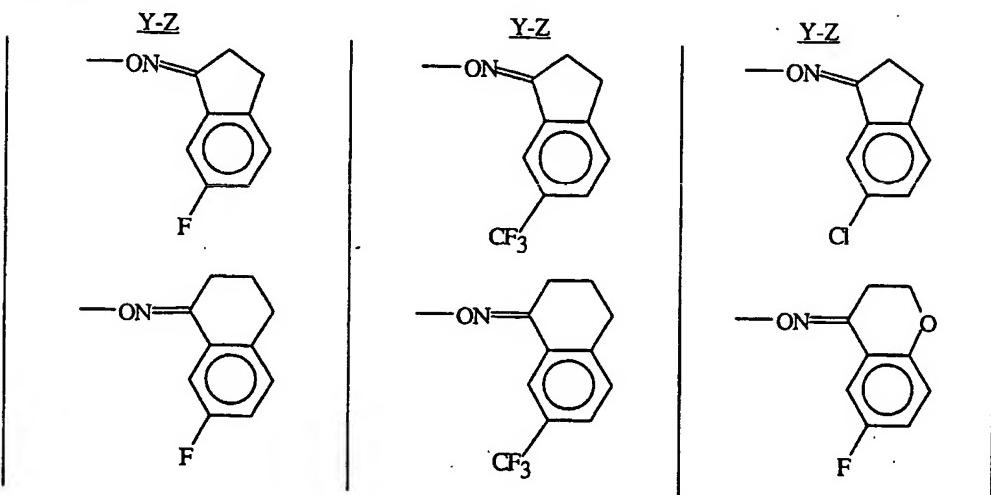


Table 25

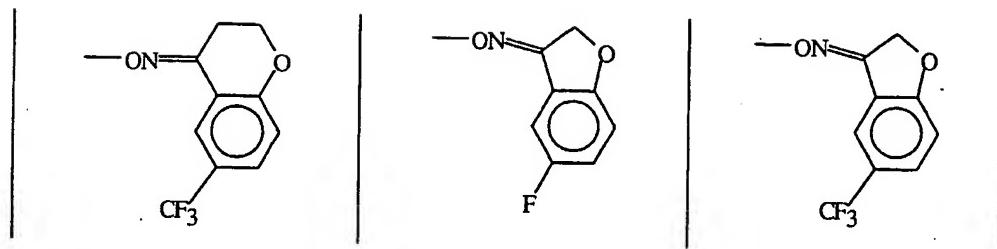
Compounds of Formula I wherein: A = NMe, G = C, W = O, X = MeO, R³ = R⁴ = H,
the floating double bond is attached to G, and
R² = Me

Table 26

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R³ = R⁴ = H, the
floating double bond is attached to A, and

R² = Me

56

Formulation/Utility

Compounds of this invention will generally be used in formulation with an agriculturally suitable composition. The fungicidal compositions of the present invention comprise an effective amount of at least one compound of Formula I as defined above 5 and at least one of (a) a surfactant, (b) an organic solvent, and (c) at least one solid or liquid diluent. Useful formulations can be prepared in conventional ways. They include dusts, granules, pellets, solutions, suspensions, emulsions, wettable powders, emulsifiable concentrates, dry flowables and the like. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per 10 hectare. High strength compositions are primarily used as intermediates for further formulation. The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 weight percent.

	Weight Percent		
	<u>Active Ingredient</u>	<u>Diluent</u>	<u>Surfactant</u>
Wettable Powders	5-90	0-74	1-10
Oil Suspensions, Emulsions, Solutions, (including Emulsifiable Concentrates)	5-50	40-95	0-15
Dusts Granules, Baits and Pellets	1-25 0.01-99	70-99 5-99.99	0-5 0-15
High Strength Compositions	90-99	0-10	0-2

15 Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents and solvents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, (1950). *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia 20 of Surface Active Agents*, Chemical Publ. Co., Inc., New York, (1964), list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth, and the like.

Methods for formulating such compositions are well known. Solutions are prepared by simply mixing the ingredients. Fine solid compositions are made by blending and, usually, grinding as in a hammer mill or fluid energy mill. Water-dispersible granules can be produced by agglomerating a fine powder composition; see for example, 5 Cross et al., *Pesticide Formulations*, Washington, D.C., (1988), pp 251-259. Suspensions are prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be made by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-148, *Perry's Chemical Engineer's Handbook*, 10 4th Ed., McGraw-Hill, New York, (1963), pp 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in DE 3,246,493.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10 through 41; U.S. 3,309,192, Col. 5, 15 line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, (1961), pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, (1989).

20 In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound 1 refers to the compound in Index Table A hereinafter.

Example A

Wettable Powder

25	Compound 1	65.0%
	dodecylphenol polyethylene glycol ether	2.0%
	sodium ligninsulfonate	4.0%
	sodium silicoaluminate	6.0%
	montmorillonite (calcined)	23.0%.

Example B

Granule

30	Compound 1	10.0%
	attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No.	
35	25-50 sieves)	90.0%.

Example C

Extruded Pellet

Compound 1	25.0%
------------	-------

anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkynaphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%.

5

Example DEmulsifiable Concentrate

Compound 1	20.0%
blend of oil soluble sulfonates	
and polyoxyethylene ethers	10.0%
isophorone	70.0%.

The compounds of this invention are useful as plant disease control agents. The present invention therefore further comprises a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, an effective amount of a compound of Formula I or a fungicidal composition containing said compound. The compounds and compositions of this invention provide control of diseases caused by a broad spectrum of fungal plant pathogens in the Basidiomycete, Ascomycete, Oomycete and Deuteromycete classes. They are effective in controlling a broad spectrum of plant diseases, particularly foliar pathogens of ornamental, vegetable, field, cereal, and fruit crops. These pathogens include *Plasmopara viticola*, *Phytophthora infestans*, *Peronospora tabacina*, *Pseudoperonospora cubensis*, *Pythium aphanidermatum*, *Alternaria brassicae*, *Septoria nodorum*, *Cercosporidium personatum*, *Cercospora arachidicola*, *Pseudocercosporella herpotrichoides*, *Cercospora beticola*, *Botrytis cinerea*, *Monilinia fructicola*, *Pyricularia oryzae*, *Podosphaera leucotricha*, *Venturia inaequalis*, *Erysiphe graminis*, *Uncinula necatur*, *Puccinia recondita*, *Puccinia graminis*, *Hemileia vastatrix*, *Puccinia striiformis*, *Puccinia arachidis*, *Rhizoctonia solani*, *Sphaerotheca fuliginea*, *Fusarium oxysporum*, *Verticillium dahliae*, *Pythium aphanidermatum*, *Phytophthora megasperma* and other genera and species closely related to these pathogens.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, semiochemicals, repellants, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of other agricultural protectants with which compounds of this invention can be formulated are: insecticides such as acephate, avermectin B, azinphosmethyl, bifenthrin, biphenate, buprofezin, carbofuran, chlordimeform, chlorpyrifos, cyfluthrin, deltamethrin, diazinon, diflubenzuron, dimethoate, esfenvalerate, fenpropathrin, fenvalerate, fipronil, flucythrinate, flufenprox, fluvalinate, fonophos, isofenphos, malathion, metaldehyde, metha-midophos, methidathion, methomyl,

methoprene, methoxychlor, monocrotophos, oxamyl, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, terbufos, tetrachlorvinphos, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as benomyl, blasticidin S, bromuconazole, captafol, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil, cyproconazole, dichloran, diclobutrazol, diclomezine, difenoconazole, diniconazole, dodine, edifenphos, epoxyconazole fenarimol, fenbuconazole, fenpropidine, fenpropimorph, fluquinconazole, flusilazol, flutolanil, flutriafol, folpet, furalaxyd, hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, mancozeb, manebe, mepronil, metalaxyl, metconazole, myclobutanil, neo-asozin, oxadixyl, penconazole, pencycuron, phosethyl-Al, probenazole, prochloraz, propiconazole, pyrifenoxy, pyroquilon, sulfur, tebuconazole, tetriconazole, thiabendazole, thiophanate-methyl, thiuram, triadimefon, triadimenol, tricyclazole, uniconazole, validamycin and vinclozolin; nematocides such as aldoxycarb, fenamiphos and fosthietan; bactericides such as oxytetracycline, streptomycin and tribasic copper sulfate; acaricides such as amitraz, binapacryl, chlorobenzilate, cyhexatin, dicofol, dienochlor, fenbutatin oxide, hexythiazox, oxythioquinox, propargite and tebufenpyrad; and biological agents such as *Bacillus thuringiensis* and baculovirus.

In certain instances, combinations with other fungicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Plant disease control is ordinarily accomplished by applying an effective amount of a compound of this invention either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling.

Rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than 1 g/ha to 5,000 g/ha of active ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from 0.1 to 10 g per kilogram of seed.

The following TESTS demonstrate the control efficacy of compounds of this invention on specific pathogens. The pathogen control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-D for compound descriptions.

Test compounds were first dissolved in acetone in an amount equal to 3% of the final volume and then suspended at a concentration of 200 ppm in purified water containing 250 ppm of the surfactant Trem® 014 (polyhydric alcohol esters). The resulting test suspensions were then used in the following tests.

5

TEST A

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore dust of *Erysiphe graminis f. sp. tritici*, (the causal agent of wheat powdery mildew) and incubated in a growth chamber at 20°C for 7 days, after which disease ratings were made.

10

TEST B

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore suspension of *Puccinia recondita* (the causal agent of wheat leaf rust) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 6 days, after which disease ratings were made.

15

TEST C

The test suspension was sprayed to the point of run-off on rice seedlings. The following day the seedlings were inoculated with a spore suspension of *Pyricularia oryzae* (the causal agent of rice blast) and incubated in a saturated atmosphere at 27°C for 24 h, and then moved to a growth chamber at 30°C for 5 days, after which disease ratings were made.

20

TEST D

The test suspension was sprayed to the point of run-off on tomato seedlings. The following day the seedlings were inoculated with a spore suspension of *Phytophthora infestans* (the causal agent of potato and tomato late blight) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

25

TEST E

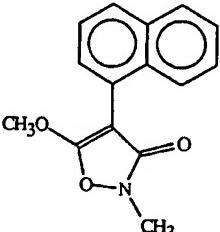
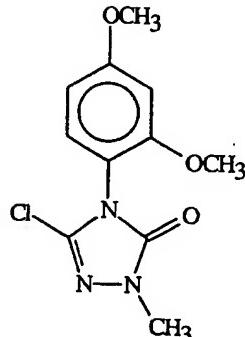
The test suspension was sprayed to the point of run-off on grape seedlings. The following day the seedlings were inoculated with a spore suspension of *Plasmopara viticola* (the causal agent of grape downy mildew) and incubated in a saturated atmosphere at 20°C for 24 h, moved to a growth chamber at 20°C for 6 days, and then incubated in a saturated atmosphere at 20°C for 24 h, after which disease ratings were made.

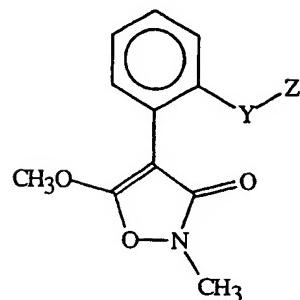
30

TEST F

The test suspension was sprayed to the point of run-off on cucumber seedlings. The following day the seedlings were inoculated with a spore suspension of *Botrytis cinerea* (the causal agent of gray mold on many crops) and incubated in a saturated atmosphere at 20°C for 48 h, and moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

INDEX TABLE A

<u>Compound</u>		<u>m.p. (°C)</u>
1		117-120
178		140-142

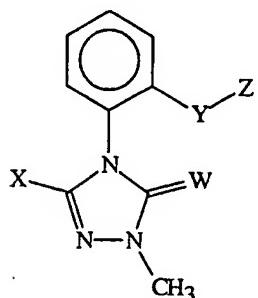
INDEX TABLE B

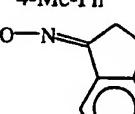
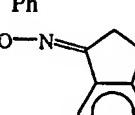
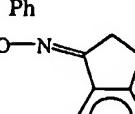
<u>Compound</u>	<u>Y</u>	<u>Z</u>	<u>m.p. (°C)</u>
2	O	2-Me-Ph	oil*
3	O	CH ₂ -Ph	oil*
4	-	Me	oil*
5	CH ₂ O	2-Me-Ph	oil*
122	CH ₂ ON=C(Me)	3-CF ₃ -Ph	59-61
123	CH ₂ ON=C(Me)	4-CF ₃ -Ph	oil*
124	CH ₂ ON=C(Me)	Me	71-73
125	CH ₂ ON=C(Me)	3-Cl-Ph	oil*
126	CH ₂ ON=C(Me)	3-Br-Ph	oil*
127	CH ₂ ON=C(Me)	4-Cl-Ph	oil*
128	CH ₂ ON=C(Me)	4-Br-Ph	oil*
129	CH ₂ ON=C(Me)	4-F-Ph	oil*
130	CH ₂ ON=C(Me)	4-MeO-Ph	oil*
131	CH ₂ ON=C(Me)	3-CN-Ph	oil*
132	CH ₂ ON=C(Me)	4-CN-Ph	oil*
133	CH ₂ ON=C(Me)	4-Me-Ph	oil*
134	CH ₂ ON=C(Me)	4-Cl-3-Me-Ph	oil*
135	CH ₂ ON=C(Me)	3,4-(OCH ₂ O)-Ph	oil*
136	CH ₂ ON=C(Me)	3,4-diMe-Ph	oil*
137	CH ₂ ON=C(Me)	3,4-diCl-Ph	oil*
138	CH ₂ ON=C(Me)	4-Ph-Ph	oil*
139	CH ₂ ON=C(Me)	3-i-Bu-Ph	oil*
140	CH ₂ ON=C(Me)	3,5-diCF ₃ -Ph	oil*
141	CH ₂ ON=C(Me)	3-MeO-Ph	oil*
142	CH ₂ ON=C(Me)	3-Ph-Ph	oil*
143	CH ₂ ON=C(Me)	4-PhO-Ph	oil*
144	CH ₂ ON=C(Me)	2-pyridinyl	oil*

145	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-Me ₂ N-Ph	oil*
146	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-CF ₃ O-Ph	oil*
147	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-(4-MeO-PhO)-Ph	oil*
148	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-CF ₃ -2-pyridinyl	94-96
149	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	5-Cl-2-thienyl	123-125
150	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-Me-2-thienyl	130-132
151	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-thienyl	124-126
152	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-thienyl	129-131
153	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-PhO-Ph	oil*
154	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3- <i>i</i> -PrO-Ph	oil*
155	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3,5-diCl-Ph	oil*
156	$\text{CH}_2\text{ON}=\text{C}(\text{Et})$	3-CF ₃ -Ph	oil*
157	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	<i>c</i> -hexyl	oil*
158	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4- <i>t</i> -Bu- <i>c</i> -hexyl	oil*
159	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-(3-CF ₃ -Ph)-Ph	oil*
160	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-(3-CF ₃ -PhO)-Ph	oil*
161	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-F-5-CF ₃ -Ph	oil*
162	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3,5-diMe-Ph	oil*
163	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-benzofuranyl	101-104
164	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	5-Me-2-furanyl	oil*
165	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4,6-diMe-2-pyridinyl	oil*
166	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4- <i>c</i> -hexyl-Ph	oil*
167	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-quinolinyl	134-136
168	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-Me-2-Ph-5-pyrimidinyl	oil*
169	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	benzo[<i>b</i>]thiophen-3-yl	oil*
170	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	5-(3-CF ₃ -Ph)-2-thienyl	135-138
171	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3,5-diBr-Ph	oil*
172	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-F-3-CF ₃ -Ph	oil*
173	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-Cl-6-MeO-4-pyridinyl	oil*
174	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4,5-diMe-2-thiazolyl	76-78
175	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	1-Me-3-indolyl	114-116
176	$\text{CH}_2\text{ON}=\text{C}(\text{OMe})$	3,5-diCl-Ph	oil*
177	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-Et-Ph	oil*

* See Index Table D for ¹H NMR data.

INDEX TABLE C



<u>Cmpd</u>	<u>W</u>	<u>X</u>	<u>Y</u>	<u>Z</u>	<u>m.p. (°C)</u>
6	O	MeS	O	Ph	129-130
7	O	MeO	O	Me	123-126
8	O	MeO	-	Me	95-97
9	O	MeS	-	Me	95-97
10	O	Cl	-	Me	99-100
11	O	MeO	O	Ph	88-91
12	O	Cl	O	2-Me-Ph	88-96
13	O	MeO	CH ₂ O	2-Me-Ph	110-113
14	O	EtO	CH ₂ O	2-Me-Ph	oil*
15	O	MeS	CH ₂ O	2-Me-Ph	80-88
16	O	OCH ₂ C≡CH	CH ₂ O	2-Me-Ph	122-130
17	O	Cl	CH ₂ ON=C(Me)	4-Me-Ph	oil*
18	O	MeO	CH ₂ ON=C(Me)	4-Me-Ph	116-118
19	O	MeS	CH ₂ ON=C(Me)	4-Me-Ph	oil*
20	O	Cl			oil
21	S	MeS	O	Ph	oil*
22	O	MeO			126-130
23	O	Cl	CH ₂ ON=C(H)	Ph	oil*
24	O	MeS			oil*

25	O	Cl	CH ₂ O	3-(OPh)-Ph	oil*
26	O	MeO	CH ₂ O	3-(OPh)-Ph	oil*
27	O	MeO	CH ₂ ON=C(H)	Ph	101-104
28	O	MeS	CH ₂ O	3-(OPh)-Ph	95-100
29	O	Cl	CH ₂ S	2-Me-Ph	106-109
30	O	MeO	CH ₂ S	2-Me-Ph	115-118
31	O	MeS	CH ₂ S	2-Me-Ph	82-86
32	O	Cl	CH ₂ S	2-benzthiazole	95-97
33	O	MeO	C≡C	Ph	164-166
34	O	MeO	CH ₂ ON=C(Me)	4-Br-Ph	115-120
35	O	Cl	CH ₂ ON=C(Me)	4-Br-Ph	gum*
36	O	Cl	CH ₂ O	3-(benzoyl)-Ph	oil*
37	O	MeS	CH ₂ ON=C(Me)	4-Br-Ph	117-122
38	O	MeO	CH ₂ O	3-(benzoyl)-Ph	oil*
39	O	Cl	CH=NOCH ₂	4-Cl-Ph	oil*
40	O	Cl	CH ₂ ON=C(Me)	3-piperonyl	oil*
41	O	MeO	CH=NOCH ₂	4-Cl-Ph	oil*
42	O	MeO	CH ₂ ON=C(Me)	3-piperonyl	oil*
43	O	Cl	O	4-(6-OPh)-1,3-pyrimidine	oil*
44	O	MeO	CH ₂ S	2-benzthiazole	95-97
45	O	MeO	CH ₂ ON=C(Me)	2-Me-Ph	oil*
46	O	MeO	CH ₂ ON=C(Me)	4-CF ₃ -Ph	138-144
47	O	MeO	CH ₂ ON=C(Me)	Ph	oil*
48	O	MeO	CH ₂ ON=C(Me)	Ph	oil*
49	O	MeO	CH ₂ ON=C(Me)	3-Me-Ph	oil*
50	O	MeO	CH ₂ ON=C(Me)	4-MeO-Ph	oil*
51	O	MeO	CH ₂ ON=C(Me)	3-Cl-Ph	oil*
52	O	MeO	CH=NOCH(Me)	Ph	oil*
53	O	MeO	CH=NOCH ₂	2-Me-Ph	oil*
54	O	Cl	O	Ph	solid*
55	O	Cl	-	CH ₂ Cl:CH ₂ Br(60:40)	solid*
56	O	MeO	-	CH ₂ Br	solid*
57	O	Cl	O	Me	152-154
58	O	Cl	CH ₂ ON=C(Me)	4-CF ₃ -Ph	111-118
59	O	MeO	CH ₂ ON=C(Me)	3-CF ₃ -Ph	103.5-105.5
60	O	MeS	CH ₂ ON=C(Me)	4-CF ₃ -Ph	oil*
61	O	MeO	CH ₂ ON=C(CF ₃)	3-CF ₃ -Ph	oil*

62	O	MeO	O	6-(2-CN-PhO)-4-pyrimidinyl	solid/gum*
63	O	MeO	O	6-Cl-4-pyrimidinyl	133-136
64	O	MeO	O	6-(2-Me-PhO)-4-pyrimidinyl	solid/gum*
65	O	MeO	O	6-PhO-4-pyrimidinyl	gum*
66	O	MeO	CH ₂ ON=C(Me)	2-pyridinyl	122-124
67	O	Cl	CH ₂ ON=C(Me)	4-pyridinyl	153-155
68	O	MeO	CH ₂ O	2,5-diMe-Ph	130-135
69	O	MeO	CH ₂ ON=C(Me)	4- <i>t</i> -Bu-Ph	gum*
70	O	MeO	CH ₂ ON=C(Me)	3,4-diMe-Ph	gum*
71	O	MeO	OCH ₂	2,5-diMe-Ph	119-122
72	O	MeO	CH ₂ ON=C(Me)	3,4-diCl-Ph	128-129
73	O	MeO	CH ₂ ON=C(Me)	3-pyridinyl	90-109 dec.
74	O	MeO	CH ₂ ON=C(Me)	4-pyridinyl	140-142
75	O	Cl	O	6-Cl-4-pyrimidinyl	solid*
76	O	MeO	CH ₂ ON=C(Me)	4-Ph-Ph	~ 55*
77	O	Cl	CH ₂ O	2,5-diMe-Ph	solid*
78	O	Cl	CH ₂ ON=C(Me)	1-Me-3-pyrrolyl	124-131
79	O	MeO	CH ₂ ON=C(Me)	1-Me-3-pyrrolyl	135-137.5
80	O	Cl	CH ₂ ON=C(Me)	2-pyrazinyl	108-111
81	O	MeO	CH ₂ ON=C(Me)	2-pyrazinyl	119-121
82	O	Cl	CH ₂ ON=C(Me)	3,5-diCF ₃ -Ph	oil*
83	O	MeO	CH ₂ ON=C(Me)	3,5-diCF ₃ -Ph	147-149
84	O	MeO	CH ₂ ON=C(<i>c</i> -Pr)	4-Cl-Ph	oil*
85	O	MeSO ₂	CH ₂ ON=C(Me)	4-CF ₃ -Ph	50-55
86	O	MeS(O)	CH ₂ ON=C(Me)	4-CF ₃ -Ph	oil/gum*
87	O	MeO	CH ₂ ON=C(Me)	6-Me-3-pyridinyl	134-136
88	O	MeO	CH ₂ ON=C(Me)	3- <i>t</i> -Bu-Ph	oil*
89	O	MeO	CH ₂ ON=C(Me)	3-Ph-Ph	oil*
90	O	MeO	CH ₂ ON=C(Me)	3- <i>i</i> -PrO-Ph	oil*
91	O	MeO	CH ₂ ON=C(Me)	4,6-diMe-2-pyrimidinyl	119-121
92	O	MeO	CH ₂ ON=C(Me)	3-CF ₃ O-Ph	90-92
93	O	MeO	CH ₂ ON=C(Me)	3-Me ₂ N-Ph	106-110
94	O	Cl	CH ₂ ON=C(Me)	3,4-diCl-Ph	solid*
95	O	MeO	CH ₂ ON=C(Me)	4-CF ₃ -2-pyridinyl	144-145
96	O	MeO	CH ₂ ON=C(Me)	3- <i>n</i> -C ₄ F ₉ -Ph	oil*
97	O	MeO	CH ₂ ON=C(Me)	4-CN-2-pyridinyl	120-125
98	O	MeO	CH ₂ ON=C(Me)	3-PhO-Ph	oil*
99	O	MeO	CH ₂ ON=C(Et)	3-CF ₃ -Ph	oil*

100	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-NO ₂ -Ph	gum*
101	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-Ph-2-pyridinyl	115-117.5
102	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-thienyl	100-105
103	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	4-t-Bu-2-pyridinyl	103-105.5
104	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-benzofuranyl	149-154
105	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	5-Cl-3-Me-benzo[b]thiophen-2-yl	167-169
106	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3,5-diCl-Ph	149-153
107	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2,4-diMe-5-thiazolyl	123-124
108	O	Cl	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-quinoxaliny	173-174
109	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-quinoxaliny	225-227
110	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3,5-diMe-Ph	oil*
111	O	Cl	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-CF ₃ -Ph	oil*
112	O	Cl	$\text{CH}_2\text{ON}=\text{C}(c\text{-Pr})$	4-Cl-Ph	gum*
113	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-CN-Ph	gum*
114	O	Cl	CH_2O	5-Me-2-(2-pyridinyl)-4-thiazolyl)	oil*
115	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-F-5-CF ₃ -Ph	oil*
116	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{CN})$	3-CF ₃ -Ph	138-141
117	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	6-Me-2-CF ₃ -thiazolo[2,3-c]-1,2,4-triazol-5-yl	157-160
118	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3,5-diF-Ph	103-106
119	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3,5-diBr-Ph	139-141
120	O	MeO	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	2-quinolinyl	168-171
121	O	Cl	$\text{CH}_2\text{ON}=\text{C}(\text{Me})$	3-CF ₃ O-Ph	oil*

* See Index Table D for ¹H NMR data.

INDEX TABLE D

Cmpd No. ¹H NMR Data (200 MHz, CDCl₃ solution)

2	δ 7.51(dd,1H),7.27(dt,1H),7.17(m,2H),6.97(dd,1h),6.6(m,3H),3.92(s,3H), 3.74 (s,3H),3.33 (s,3H)
3	δ 7.32(m,7H), 6.99(m,2H),5.08(s,2H),3.84(s,3H),3.42(s,3H)
4	δ 7.25(m,4H),3.98(s,3H),3.45(s,3H),2.30(s,3H)
5	δ 7.61(d,1H),7.35(m,3H),7.11(m,2H),6.84(t,2H),5.12(s,2H),3.96(s,3H), 3.415(s,3H),2.24(s,3H)
14	δ 7.65(d,1H),7.45(m,2H),7.23(m,1H),7.10(m,2H),6.82(t,1H),6.78(d,1H), 5.08(s,2H),4.29(m,2H),3.41(s,3H),2.24(s,3H),1.31(t,3H)
17	δ 7.6-7.45(m,5H),7.20(m,1H),7.14(d,2H),5.27(d,1H),5.16(d,1H), 3.46(s,3H),2.34(s,3H),2.16(s,3H)

- 19 δ 7.6(d,1H),7.5(m,3H),7.4(t,1H),7.25(m,1H),7.15(d,2H),5.26(d,1H),
5.20(d,1H),3.48(s,3H),2.41(s,3H),2.43(s,3H),2.18(s,3H)
- 20 δ 7.62(m,2H),7.5(m,2H),7.35-7.2(m,4H),5.25(d,1H),5.15(d,1H),
3.48(s,3H),3.02(m,2H),2.85(m,2H)
- 21 δ 7.42(m,2H),7.10(m,1H),7.06(m,3H),6.99(t,1H),6.68(d,2H),3.37(s,3H),
2.51(s,3H)
- 23 δ 8.01(s,1H),7.61(d,1H),7.52(m,4H),7.35(m,3H),7.25(d,1H),5.23(d,1H),
5.15(d,1H),3.49(s,3H)
- 24 δ 7.6(m,2H),7.5-7.4(m,3H),7.3-7.2(m,3H),5.24(d,1H),5.20(d,1H),
3.48(s,3H),2.40(s,3H)
- 25 δ 7.6-7.4(m,4H),7.35(m,2H),7.2(m,2H),7.0(d,2H),6.6(m,3H),5.04(d,1H),
5.00(d,1H),3.45(s,3H)
- 26 δ 7.6(d,1H),7.45(m,2H),7.33(t,2H),7.19(m,2H),7.10(t,1H),7.01(d,2H),
6.6(m,3H),5.03(m,2H),3.87(s,3H),3.39(s,3H)
- 35 δ 7.6-7.4(m,7H),7.23(d,1H),5.28(d,1H),5.17(d,1H),3.46(s,3H),2.14(s,3H)
- 36 δ 7.80(d,2H),7.65-7.45(m,6H),7.36(d,2H),7.30(m,1H),7.25(m,1H),
7.10(t,1H),5.15(d,1H),5.10(d,1H),3.45(s,2H)
- 38 δ 7.77(d,2H),7.6(m,2H),7.47(m,4H),7.35(m,3H),7.25(m,1H),7.10 (m,1H),
5.13(d,1H),5.12(d,1H),3.89(s,3H),3.38(s,3H)
- 39 δ 8.03(s,1H),7.70(d,1H),7.53(m,2H),7.35-7.25(m,5H),5.06(s,2H),
3.46(s,3H)
- 40 δ 7.6-7.5(m,3H),7.24(m,1H),7.13(s,1H),7.02(d,1H),6.78(d,1H),5.96(s,2H),
5.26(d,1H),5.14(d,1H),3.48(s,3H),2.13(s,3H)
- 41 δ 8.04(s,1H),7.8(m,1H),7.45(m,2H)7.35-7.25(m,5H),5.10(s,2H),3.86(s,3H),
3.41(s,3H)
- 42 δ 7.58(m,1H),7.43(m,2H),7.25(m,1H),7.15(m,1H),7.02(d,1H),6.76(d,1H),
5.96(s,2H),5.22(d,1H),5.18(d,1H)3.89(s,3H),3.42(s,3H),2.15(s,3H)
- 43 δ 8.40(s,1H),7.6(m,1H),7.5-7.4(m,5H),7.3(d,1H),7.18(m,2H),6.38(s,1H),
3.45(s,3H)
- 45 δ 7.55(d,1H),7.40(m,3H),7.20(m,4H),5.21(d,1H),3.87(s,3H),3.42(s,3H),
2.24(s,3H)
- 47 δ 7.6-7.2(m,9H),5.4-5.2(m,2H),3.87,3.83(s,3H),3.41,3.40(s,3H)
- 48 δ 7.6(m,3H),7.44(m,2H),7.35(m,3H),7.25(m,1H),5.26(d,1H),5.22(d,1H),
3.88(s,3H),3.49(s,3H),2.20(s,3H)
- 49 δ 7.5(d,1H),7.40(m,4H),7.23(m,2H),7.18(d,1H),5.26(d,1H),5.21(d,1H),
3.88(s,3H)3.41(s,3H),2.36(s,3H),2.19(s,3H)
- 50 δ 7.56(m,3H),7.45(m,2H),7.25(m,1H),6.86(d,2H),5.24(d,1H),5.19(d,1H),
3.88(s,3H),3.81(s,3H),3,41(s,3H),2.17(s,3H)

- 51 δ 7.5(m,2H),7.45(m,3H),7.3(m,3H),5.27(d,1H),5.22(d,1H),389(s,3H)
52 δ 8.02,8.01(s,1H),7.8,7.7(m,1H),7.45(m,2H),7.35(m,4H),7.25(m,2H),5.25
(m,1H),3.88,3.74(s,3H),3.45,3.39(s,3H),1.62-1.56(m,3H)
53 δ 8.04(s,1H),7.81(m,1H),7.45(m,2H),7.38-7.18(m,5H),5.18(s,2H),
3.86(s,3H),3.42(s,3H),2.38(s,3H)
54 δ 7.35(m,4H),7.20(m,2H),7.05(d,2H),6.95(d,1H),3.46(s,3H)
55 δ 7.6-7.45(m,3H),7.2(m,1H),4.67(d,1H),4.48(d,1H),3.56(s,3H)
56 δ 7.5(m,1H),7.44(m,2H),7.22(m,1H),4.60(d,1H),4.36(d,1H),3.96(s,3H),
3.47(s,3H)
60 δ 7.72(d,2H),7.58(d,3H),7.50(m,2H),7.26(m,1H),5.30(d,1H),5.24
(d,1H),3.48(s,3H),2.42(s,3H),2.21(s,3H)
61 δ 7.70(m,2H),7.60(m,2H),7.43(m,3H),7.23(m,1H),5.30(d,1H),5.25(d,1H),
3.85(s,3H),3.41(s,3H)
62 δ 8.40(s,1H),7.70(m,2H),7.6-7.3(m,6H),6.59(s,1H),3.80(s,3H),339(s,3H)
64 δ 8.40(s,1H),7.5-7.2(m,7H),7.02(1H),6.33(s,1H)3.78(s,3H),3.36(s,3H),
2.18(s,3H)
65 δ 8.42(s,1H),7.55-7.26(m,7H),7.16(d,2H),6.36(s,1H),3.79(s,3H)
3.36(s,3H)
69 δ 7.6-7.3(m,7H),7.25(m,1H),5.24(d,1H),5.21(d,1H)3.89(s,3H),3.41(s,3H),
2.18(s,3H),1.31(s,9H)
70 δ 7.60(d,1H),7.45-7.38(m,3H),7.35-7.20(m,2H),7.11(d,1H),5.74(d,1H),
5.21(d,1H),3.88(s,3H),3.41(s,3H),2.27(s,3H),2.26(s,3H),2.18(s,3H)
75 δ 8.56(s,1H),7.58(m,1H),7.40(m,3H),6.99(s,1H),3.43(s,3H)
76 δ 7.66(d,2H),7.58(m,5H),7.5-7.3(m,5H),7.25(m,1H),5.28(d,1H),
5.24(d,1H),3.90(s,3H),3.47(s,3H),2.23(s,3H)
77 δ 7.68(d,1H),7.6-7.5(m,2H),7.25(m,1H),7.00(d,1H),6.68(d,1H),
6.61(s,1H),5.05(d,1H),5.00(d,1H),3.49(s,3H),2.29(s,3H),2.16(s,3H)
82 δ 8.02(s,2H),7.82(s,1H),7.6-7.45(m,3H),7.25(m,1H),5.33(d,1H),
5.21(d,1H),3.50(s,3H),2.23(s,3H)
84 δ 7.6(d,1H),7.5-7.4(m,2H),7.4-7.2(m,5H),5.20(d,2H),3.89(s,3H),
3.40(s,3H),2.18(m,1H),0.90(m,2H),0.60(m,2H)
86 Two isomers: δ 7.75-7.40(m,8H),[5.29(s) and 5.22(m)](2H),[3.58(s) and
3.55(s)](3H),[2.88(s) and 2.83(s)](3H),[2.23(s) and 2.17(s)](3H)
88 δ 7.60(m,2H),7.40(m,4H),7.26(m,2H),5.25(d,1H),5.22(d,1H),3.88(s,3H),
3.40(s,3H),2.20(s,3H),1.33(s,9H)
89 δ 7.80(s,1H),7.58(m,5H),7.40(m,6H),7.25(m,1H),5.25(m,2H),3.87(s,3H),
3.39(s,3H),2.25(s,3H)
90 δ 7.58(d,1H),7.42(m,2H),7.24(m,2H),7.17(m,2H),6.85(d,1H),5.22(m,2H),

- 4.58(m,1H),3.89(s,3H),3.41(s,3H),2.17(s,3H),1.33(d,6H)
94 δ 7.67(s,1H),7.60-7.45(m,3H),7.41(s,2H),7.22(m,1H),5.30(d,1H),
5.16(d,1H),3.49(s,3H),2.14(s,3H)
96 δ 7.80(m,2H),7.58(m,2H),7.50(m,3H),7.25(m,1H),5.28(d,1H),5.25(d,1H),
3.89(s,3H),3.40(s,3H),2.22(s,3H)
99 δ 7.82(s,1H),7.79(d,1H),7.58(m,2H),7.45(m,3H),7.25(m,1H),5.22(m,2H),
3.89(s,3H),3.41(s,3H),2.77(q,2H),1.10(t,3H)
100 δ 8.45(s,1H),8.20(m,1H),7.95(d,1H),7.6-7.4(m,4H),7.25(m,1H),5.30(d,1H),
5.26(d,1H),3.90(s,3H),3.41(s,3H),2.24(s,3H)
110 δ 7.60(d,1H),7.45(m,2H),7.25(m,1H),7.20(s,2H),7.00(s,1H),5.25(d,1H),
5.21(d,1H),3.88(s,3H),3.41(s,3H),2.32(s,6H),2.18(s,3H)
111 δ 7.8(m,1H),7.75(m,1H),7.6-7.4(m,5H),7.2(m,1H),5.33(d,1H),5.17(d,1H),
3.45(s,3H),2.18(s,3H)
112 Major Isomer: δ 7.6-7.4(m,3H),7.34-7.20(m,5H),5.24(d,1H),5.14(d,1H),
3.46(s,3H),2.10(m,1H),0.90(m,2H),0.55(m,2H)
113 δ 7.89(s,1H),7.80(d,1H),7.60(m,2H),7.43(m,3H),7.25(m,1H),5.28(d,1H),
5.24(d,1H),3.90(s,3H),3.42(s,3H),2.19(s,3H)
114 δ 8.6(d,1H),8.0(d,1H),7.6(m,2H),7.5(m,3H),7.2(m,1H),5.48(d,1H),
4.6(d,1H),3.56(s,3H),3.4(s,3H)
115 δ 7.64(s,1H),7.58-7.42(m,4H),7.30(m,1H),7.25(m,1H),5.29(d,1H),
5.24(d,1H),3.90(s,3H),3.41(s,3H),2.19(s,3H)
121 δ 7.6-7.4(m,5H),7.36(t,1H),7.20(m,2H),5.30(d,1H),5.18(d,1H),3.47(s,3H),
2.17(s,3H)
123 δ 7.72(d,2H),7.58(d,2H),7.51(m,1H),7.34(m,3H),5.31(s,2H),3.94(s,3H),
3.43(s,3H),2.24(s,3H)
125 δ 7.62(m,1H),7.49(m,2H),7.32(m,5H),5.28(s,2H),3.95(s,3H),3.44(s,3H),
2.21(s,3H)
126 δ 7.77(t,1H),7.49(m,3H),7.34(m,3H),7.22(m,1H),5.28(s,2H),3.94(s,3H),
3.44(s,3H),2.2(s,3H)
127 δ 7.53(m,3H),7.32(m,5H),5.27(s,2H),3.93(s,3H),3.43(s,3H),2.20(s,3H)
128 δ 7.48(m,5H),7.33(m,3H),5.27(s,2H),3.93(s,3H),3.42(s,3H),2.2(s,3H)
129 δ 7.59(m,2H),7.52(m,1H),7.34(m,3H),7.02(m,2H),5.27(s,2H),3.94(s,3H),
3.43(s,3H),2.22(s,3H)
130 δ 7.56(m,3H),7.33(m,3H),6.86(m,2H),5.25(s,2H),3.93(s,3H),3.81(s,3H),
2.43(s,3H),2.21(s,3H)
131 δ 7.92(m,1H),7.84(d,1H),7.6(m,1H),7.47(m,2H),7.33(m,3H),5.30(s,2H),
3.98(s,3H),3.45(s,3H),2.23(s,3H)
132 δ 7.73(d,2H),7.62(d,2H),7.50(m,1H),7.35(m,3H),5.31(s,2H),3.96(s,3H),

- 3.44(s,3H),2.23(s,3H)
- 133 δ 7.5(m,3H),7.33(m,3H),7.14(d,2H),5.26(s,2H),3.92(s,3H),3.43(s,3H),
2.34(s,3H),2.21(s,3H)
- 134 δ 7.51(m,2H),7.34(m,5H),5.27(s,2H),3.94(s,3H),3.43(s,3H),2.37(s,3H),
2.2(s,3H)
- 135 δ 7.51(m,1H),7.33(m,3H),7.18(d,1H),7.06(m,1H),6.76(d,1H),5.95(s,2H),
5.24(s,2H),3.94(s,3H),3.43(s,3H),2.18(s,3H)
- 136 δ 7.53(m,1H),7.40(s,1H),7.34(m,4H),7.1(d,1H),5.26(s,2H),3.93(s,3H),
3.43(s,3H),2.26(s,3H),2.25(s,3H),2.21(s,3H)
- 137 δ 7.72(d,1H),7.44(m,3H),7.33(m,3H),5.28(s,2H),3.96(s,3H),3.44(s,3H),
2.19(s,3H)
- 138 δ 7.71(m,2H),7.58(m,5H),7.44(m,2H),7.34(m,4H),5.3(s,2H),3.93(s,3H),
3.43(s,3H),2.26(s,3H)
- 139 7.63(m,1H),7.54(m,1H),7.37(m,3H),7.3(m,3H),5.28(s,2H),3.92(s,3H),
3.43(s,3H),2.24(s,3H),1.33(s,9H)
- 140 δ 8.07(s,2H),7.83(s,1H),7.51(m,1H),7.35(m,3H),5.35(s,2H),3.96(s,3H),
3.44(s,3H),2.27(s,3H)
- 141 δ 7.53(d,1H),7.34(m,3H),7.24(m,1H),7.18(m,2H),6.89(m,1H),5.28(s,2H),
3.94(s,3H),3.82(s,3H),3.44(s,3H),2.22(s,3H)
- 142 δ 7.83(t,1H),7.58(m,5H),7.43(m,3H),7.34(m,4H),5.3(s,2H),3.91(s,3H),
3.42(s,3H),2.28(s,3H)
- 143 δ 7.56(m,3H),7.33(m,5H),7.13(m,1H),6.99(m,4H),5.26(s,2H),3.94(s,3H),
3.43(s,3H),2.22(s,3H)
- 144 δ 8.57(d,1H),7.85(d,1H),7.65(t,1H),7.53(d,1H),7.3-7.4(m,3H),7.22(t,1H),
5.32(s,2H),3.95(s,3H),3.44(s,3H),2.3(s,3H)
- 145 δ 7.54(m,1H),7.32(m,3H),7.2(t,1H),6.95(m,2H),6.73(m,1H),5.27(s,2H),
3.91(s,3H),3.43(s,3H),2.95(s,6H),2.22(s,3H)
- 146 δ 7.52(m,3H),7.34(m,4H),7.18(m,1H),5.29(s,2H),3.94(s,3H),3.43(s,3H),
2.22(s,3H)
- 147 δ 7.54(m,3H),7.33(m,3H),6.96(m,2H),6.88(m,4H),5.25(s,2H),3.93(s,3H),
3.8(s,3H),3.43(s,3H),2.21(s,3H)
- 153 δ 7.5(m,1H),7.34(m,7H),7.26(m,1H),7.11(m,1H),6.97(m,2H),5.25(s,2H),
3.92(s,3H),3.42(s,3H),2.2(s,3H)
- 154 δ 7.53(m,1H),7.33(m,3H),7.24(m,1H),7.15(m,2H),6.86(m,1H),5.27(s,2H),
4.57(m,1H),3.92(s,3H),3.43(s,3H),2.21(s,3H),1.33(d,6H)
- 155 δ 7.49(m,3H),7.34(m,4H),5.29(s,2H),3.95(s,3H),3.44(s,3H),2.18(s,3H)
- 156 δ 7.87(d,1H),7.78(d,1H),7.6(m,1H),7.5(m,2H),7.33(m,3H),5.3(s,2H),
3.95(s,3H),3.44(s,3H),2.77(quart,2H),1.12(t,3H)

- 157 δ 7.47-7.45(m,1H),7.39-7.27(m,3H),5.09(s,2H),3.95(s,3H),3.43(s,3H),
1.79-1.68(m,9H),1.31-1.20(m,5H)
- 158 δ 7.48-7.28(m,4H),5.10 and 5.08(2s,2H total),3.95 and 3.81(2s,2H
total),3.44 and 3.35(2s,3H total),1.85-1.79(m,8H),1.26-0.84(m,14H)
- 159 δ 7.82(d,2H),7.77(d,1H),7.58(m,5H),7.45(t,1H),7.34(m,3H),5.31(s,2H),
3.92(s,3H),3.42(s,3H)2.29(s,3H)
- 160 δ 7.48(m,3H),7.33(m,6H),7.23(m,1H),7.14(d,1H),7.00(d,1H),5.26(s,2H),
3.93(s,3H),3.42(s,3H),2.2(s,3H)
- 161 δ 7.66(s,1H),7.51(m,2H),7.33(m,4H),5.32(s,2H),3.96(s,3H),3.44(s,3H),
2.22(s,3H)
- 162 δ 7.53(d,1H),7.35(m,3H),7.24(m,2H),6.98(s,1H),5.27(s,2H),3.92(s,3H),
3.43(s,3H),2.31(s,6H),2.21(s,3H)
- 164 δ 7.45-7.55(d,1H),7.30-7.35(m,3H),6.45(d,1H),6.05(d,1H),5.26(s,2H),
3.96(s,3H),3.43(s,3H),2.33(s,3H),2.13(s,3H)
- 165 δ 7.52(d,1H),7.45(s,1H),7.37-7.31(m,3H),6.92(s,1H),5.30(s,2H),3.95(s,3H),
3.44(s,3H),2.50(s,3H),2.32(s,3H),2.30(s,3H)
- 166 δ 7.53(m,3H),7.34(m,3H),7.18(d,2H),5.26(s,2H),3.93(s,3H),3.43(s,3H),
2.5(broad,1H),2.22(s,3H),1.78(m,6H),1.41(m,4H)
- 168 δ 8.57(s,1H),8.40-8.50(m,2H),7.43-7.50(m,4H),7.35-7.40(m,3H),
5.30(s,2H),3.96(s,3H),3.44(m,3H),2.55(s,3H),2.24(s,3H)
- 169 δ 8.45(t,1H),7.80(t,1H),7.57(s,1H),7.33-7.50(m,6H),5.35(s,2H),3.89(s,3H),
3.43(s,3H),2.33(s,3H)
- 171 δ 7.69(d,2H),7.62(m,1H),7.49(m,1H),7.34(m,3H),5.29(s,2H),3.96(s,3H),
3.45(s,3H),2.17(s,3H)
- 172 δ 7.86(m,2H),7.5(m,1H),7.33(m,3H),7.18(m,1H),5.29(s,2H),3.96(s,3H),
3.44(s,3H),2.23(s,3H)
- 173 δ 7.47(d,1H),7.30-7.39(m,3H),7.19(s,1H),6.79(s,1H),5.30(s,2H),3.97(s,3H),
3.91(s,3H),3.45(s,3H),2.15(s,3H)
- 176 Major isomer: δ 7.69(t,1H),7.57(d,2H),7.35(m,4H),5.17(s,2H),4.03(s,3H),
3.97(s,3H),3.45(s,3H)
Minor isomer: δ 7.7(t,1H),7.6(d,2H),7.50(m,4H),5.11(s,2H),3.88(s,3H),
3.73(s,3H),3.43(s,3H)
- 177 δ 7.53(m,1H),7.45(m,1H),7.42(m,1H),7.34(m,3H),7.24(m,1H),7.17(m,1H),
5.28(s,2H),3.91(s,3H),3.42(s,3H),2.65(q,2H),2.23(s,3H),1.23(t,3H)

Results for Tests A-F are given in Table 1. In the table, a rating of 100 indicates 100% disease control and a rating of 0 indicates no disease control (relative to the controls).

Table 1

<u>Cmpd No.</u>	<u>Test</u>	<u>Test</u>	<u>Test</u>	<u>Test</u>	<u>Test</u>	<u>Test</u>
	<u>A</u>	<u>B</u>	<u>C</u>	<u>D</u>	<u>E</u>	<u>F</u>
1	57	79	0	0	17	68
2	86	93	0	0	100	0
3	57	79	0	61	100	68
4	0	0	0	0	100	0
5	99	100	0	46	100	43
6	98	100	36	85	100	42
7	73	9	0	33	5	3
8	0	0	0	0	35	46
9	0	0	0	0	35	0
10	35	3	0	43	78	0
11	100	100	0	64	100	50
12	95	97	0	47	92	71
13	98	100	0	0	69*	63
14	78	81	0	0	0	0
15	100	100	0	63	100	36
16	92	57	0	0	0	0
17*	78	91	0	0	36	44
18	52	0	0	0	0	0
19	0	0	0	0	0	62
20	63	84	0	26	99	65
21	-	-	-	-	-	-
22	38	100	0	47	100	47
23	38	89	0	0	100	0
24	0	98	97	47	100	70
25	0	84	88	16	100	0
26	72	100	0	73	100	44
27	72	93	0	16	100	2
28	83	97	19	59	100	0
29	16	97	0	25	8	0
30	95	96	0	47	100	47
31	89	99	0	46	100	0
32	60	53	0	0	100	47
33	95	98	0	77	100	0
34	90	100	88	64	100	0

74

35	0	97	0	0	99	9
36	63	93	62	46	100	0
37	98	100	93	63	100	48
38	0	99	73	26	100	0
39	32	85	73	0	45	0
40	59	97	93	0	76	49
41	97	100	0	0	100	0
42	92	100	62	64	100	68
43	97	99	50	26	97	0
44	73	100	0	47	100	69
45	94	100	0	0	100	47
46	100	100	100	93	100	0
47	96	100	0	0	100	0
48	100	100	0	47	100	0
49	100	100	88	86	100	47
50	92	100	97	77	100*	45
51	100	100	100	97	100*	89
52	99	100	0	22	100*	66
53	94	99	32	0	57*	66
54	86	66	0	84	45	63
55	0	4	0	0	11	0
56	35	0	0	0	0	0
57	67	0	0	0	-	0
58	100	97	74	26	43 ^a	40
59	100	100	99	93	100*	9
60	100	100	53	46	47 ^a	69
61	99	93	0	63	4 ^a	0
62	98	100	53	93	100 ^a	0
63	80	14	0	0	45 ^a	0
64	99	100	53	62	100 ^a	56
65	98	100	0	99	91 ^a	56
66	91	93	32	22	0 ^a	56
67	0	24	0	0	0 ^a	0
68	99	100	32	44	67 ^a	0
69	100	100	86	77	96 ^a	0
70	99	100	91	64	99 ^a	0
71	85	97	0	0	4 ^a	0
72	100	100	91	77	100 ^a	0

75

73	0	93	0	0	32 ^a	0
74	0	85	0	0	20 ^a	0
75	97	25	0	0	-	0
76	97	99	100	47	83 ^a	0
77	100	100	74	15	18*	68
78	36	84	0	0	0 ^a	0
79	61	99	0	39	0 ^a	0
80	36	93	0	24	26 ^a	42
81	95	99	0	24	0 ^a	42
82	100	97	53	46	6 ^a	77
83	100	99	91	45	72 ^a	0
84	99	100	94	85	98 ^a	0
85	77	84	0	0	0 ^a	42
86	0	93	0	24	9 ^a	0
87	93	93	53	0	10 ^a	64
88	100	100	97	85	84 ^a	0
89	97	100	97	46	97 ^a	97
90	99	100	74	86	95 ^a	53
91	28	66	0	0	2 ^a	73
92	100	100	99	46	100 ^a	53
93	94	99	53	86	9 ^a	53
94	84	97	74	0	25*	0
95	100	100	97	25	98 ^a	73
96	100	100	91	25	53 ^a	97
97	99	100	74	43	2 ^a	60
98	100	100	94	75	88 ^a	60
99	100	100	91	75	72 ^a	0
100	100	100	90	74	61 ^a	44
101	99	100	100	96	99 ^a	81
102	87	100	90	0	8 ^a	68
103	100	100	100	ND ^b	46 ^a	44
104	100	100	100	99	100 ^a	68
105	99	100	100	85	74 ^a	89
106	100	100	97	99	100 ^a	41
107	90	98	32	20	22 ^a	89
108	33 ^a	89	29	20	100*	39
109	100 ^a	89	0	43	17 ^a	65
110	98	100	97	97	100 ^a	5

111	-	-	-	-	-	-
112	85	98	86	0	39*	94
113	100	100	53	82	87 ^a	40
114	-	-	-	-	-	-
115	97	100	99	76	98 ^a	0
116	100	100	32	86	98 ^a	66
117	85	100	0	85	100 ^a	74
118	100	100	86	-	99 ^a	0
119	97	100	94	46	100 ^a	0
120	99 ^a	99 ^a	0	-	66 ^a	-
121	100	100	86	26	-	49
122	100	100	74	99	100*	83
123	100	100	53	63	98*	70
124	99	20	0	0	0 ^a	0
125	97	99	74	100	96 ^a	95
126	99	100	91	92	100 ^a	56
127	99	99	86	85	95 ^a	75
128	97	100	94	92	83 ^a	85
129	98	100	74	62	47 ^a	75
130	94	100	53	44	29 ^a	95
131	97	100	32	76	16 ^a	23
132	58	99	53	64	29 ^a	11
133	97	100	53	77	74 ^a	49
134	100	100	86	62	81 ^a	38
135	93	100	74	85	26 ^a	38
136	98	100	86	76	63 ^a	38
137	98	99	53	76	69 ^a	0
138	96	99	53	85	51 ^a	64
139	99 ^a	100	74	-	69 ^a	89
140	99 ^a	100	74	-	4 ^a	66
141	97	100	53	86	47 ^a	53
142	90	99	74	46	54 ^a	73
143	90	97	86	46	55 ^a	53
144	98	99	0	22	9 ^a	64
145	28	85	0	0	9 ^a	84
146	98	100	94	25	30 ^a	95
147	84	97	74	25	9 ^a	91
148	99	100	74	63	89 ^a	73

149	84	100	94	63	47 ^a	95
150	90	99	53	25	21 ^a	97
151	57	99	86	25	0 ^a	98
152	90	99	53	25	18 ^a	84
153	99	96	74	20	28 ^a	77
154	99	100	74	43	50 ^a	31
155	100	100	90	93	56 ^a	41
156	100	100	94	42	15 ^a	68
157	100	100	51	74	18 ^a	96
158	98	99	51	60	18 ^a	68
159	96	100	74	24	17 ^a	66
160	100	100	74	24	16 ^a	0
161	100	100	100	24	60 ^a	0
162	99	100	97	46	28 ^a	89
163	96	100	94	46	66 ^a	66
164	84	97	74	0	7 ^a	66
165	94	100	91	24	22 ^a	41
166	86 ^a	95	73	20	63 ^a	65
167	100	98 ^a	94	75	62 ^a	81
168	100	65 ^a	74	75	35 ^a	89
169	52 ^a	100	85	75	100 ^a	65
170	93	92	0	0	0 ^a	66
171	100	100	53	93	76 ^a	66
172	100	100	86	85	53 ^a	74
173	95	100	74	93	73 ^a	16
174	60	82	0	0	34 ^a	16
175	85	100	53	63	18 ^a	51
176	97	98	86	47	61 ^a	51
177	97	100	86	64	61 ^a	37
178	61	3	0	61	100	0

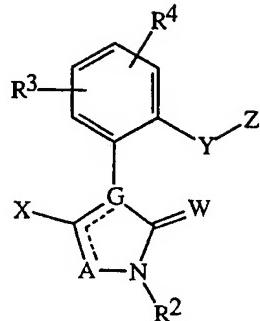
* Tested at 40 ppm (equivalent to 100 g/ha).

^a Tested at 10 ppm (equivalent to 25 g/ha).

^b Disease control not determined due to phytotoxicity.

What is claimed is:

1. A compound of Formula I



I

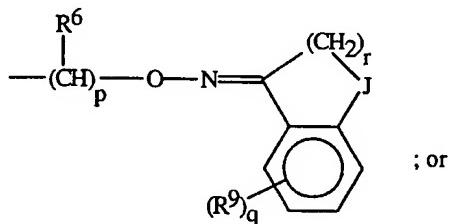
wherein:

- 5 A is O; S; N; NR⁵; or CR¹⁴;
- G is C or N; provided that when G is C, A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, A is N or CR¹⁴ and the floating double bond is attached to A;
- W is O or S;
- 10 X is OR¹; S(O)_mR¹; or halogen;
- R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl; or benzoyl optionally substituted with R¹³;
- 15 R² and R⁵ are each independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl; or benzoyl optionally substituted with R¹³;
- 20 R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; or C₂-C₆ alkynyoxy;
- 25 Y is -O-; -S(O)_n-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR⁶O-; -OCHR⁶-; -CHR⁶S(O)_n-; -S(O)_nCHR⁶-; -CHR⁶O-N=C(R⁷)-; -(R⁷)C=N-OCH(R⁶)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR⁶OC(=O)N(R¹⁵)-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the phenyl ring and the moiety on the right side of the linkage is bonded to Z;
- 30 R⁶ is independently H or C₁-C₃ alkyl;
- R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆

cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; cyano; or morpholinyl;

Z is C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each optionally substituted with R⁸; or Z is C₃-C₈ cycloalkyl or phenyl each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or Z is a 3 to 14-membered nonaromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, or Z is 5 to 14-membered aromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, each nonaromatic or aromatic ring system containing 1 to 6 heteroatoms independently selected from the group 1-4 nitrogen, 1-2 oxygen, and 1-2 sulfur, each nonaromatic or aromatic ring system optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or R⁷ and Z are taken together to form CH₂CH₂CH₂, CH₂CH₂CH₂CH₂, CH₂CH₂OCH₂CH₂, each CH₂ group optionally substituted with 1-2 halogen; or

Y and Z are taken together to form



R³, Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R⁴; provided that when R³, Y, and Z are taken together with the phenyl ring to form a naphthylene ring substituted by R⁴, and A is S, W is O, X is SCH₃ and R² is CH₃, then R⁴ is other than H;

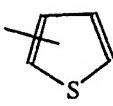
J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or -CH₂N(R¹⁶)-; each CH₂ group optionally substituted with 1 to 2 CH₃;

R⁸ is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; or nitro; or R⁸ is phenyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

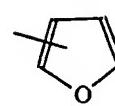
R⁹ is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl;

- C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; -C(R¹⁸)=NOR¹⁷; cyano; or nitro; or R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thiényloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;
- 5 R¹⁰ is halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or R⁹ and R¹⁰, when attached to adjacent atoms, are taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂ group optionally substituted with 1-2 halogen; R¹¹ and R¹² are each independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ 10 alkoxy; C₁-C₄ haloalkoxy; nitro; or cyano;
- R¹³ is halogen; C₁-C₃ alkyl; C₁-C₃ haloalkyl; C₁-C₃ alkoxy; C₁-C₃ haloalkoxy; nitro; or cyano;
- R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;
- 15 R¹⁵, R¹⁶, R¹⁷, and R¹⁸ are each independently H; C₁-C₃ alkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;
- m, n and q are each independently 0, 1 or 2; and p and r are each independently 0 or 1;
- 20 provided that
- (a) when A is N, G is N, X is S(O)_mR¹ and m is 0, then the combination of Y and Z is other than alkyl, haloalkyl or alkoxy; and
 - (b) when A is NR⁵, G is C, X is OR¹ and R¹ is alkylcarbonyl, alkoxycarbonyl or 25 optionally substituted benzoyl, then the combination of Y and Z is other than alkyl or alkoxy.
2. A compound of Claim 1 wherein
- W is O;
- R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;
- R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl;
- 30 R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; or C₁-C₆ haloalkoxy;
- Y is -O-; -CH=CH-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -CH₂O-N=C(R⁷)-; -C(R⁷)=N-O-; -CH₂OC(O)NH-; or a direct bond;
- R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ alkynyl; or 35 cyano;
- Z is C₁-C₁₀ alkyl optionally substituted with R⁸; or C₃-C₈ cycloalkyl or phenyl, each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or Z is

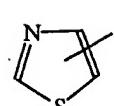
81



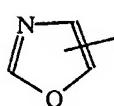
Z-1



Z-2



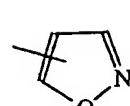
Z-3



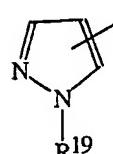
Z-4



Z-5

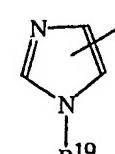


Z-6

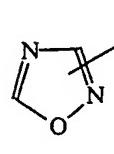


5

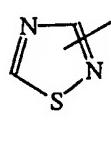
Z-7



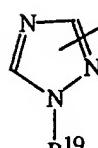
Z-8



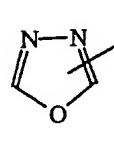
Z-9



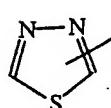
Z-10



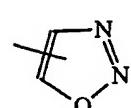
Z-11



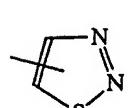
Z-12



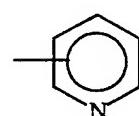
Z-13



Z-14

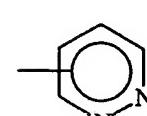


Z-15

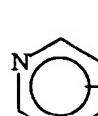


10

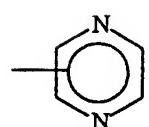
Z-16



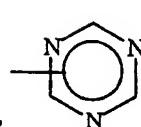
Z-17



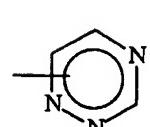
Z-18



Z-19

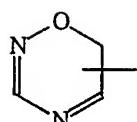


Z-20

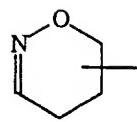


Z-21

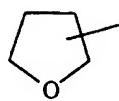
82



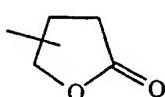
Z-22



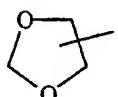
Z-23



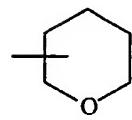
Z-24



Z-25

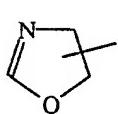


Z-26

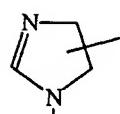


Z-27

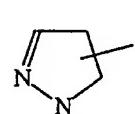
5



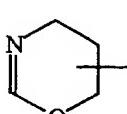
Z-28



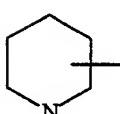
Z-29



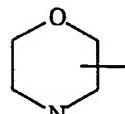
Z-30



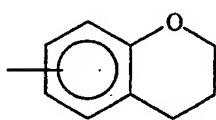
Z-31



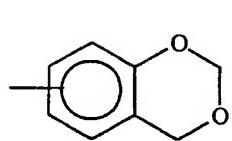
Z-32



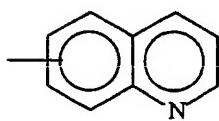
Z-33



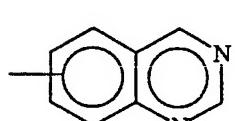
Z-34



Z-35

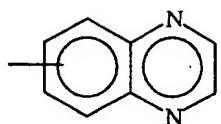


Z-36

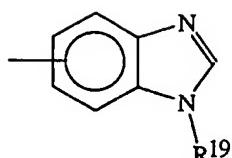


Z-37

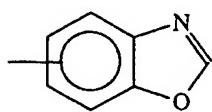
83



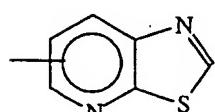
Z-38



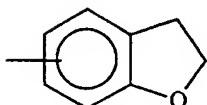
Z-39



Z-40

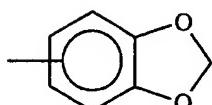


Z-41

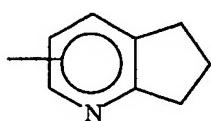


5

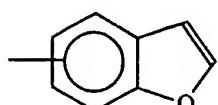
Z-42



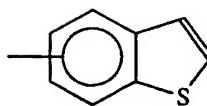
Z-43



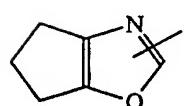
Z-44



Z-45

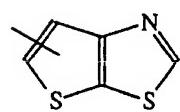


Z-46



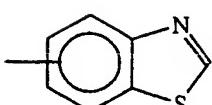
Z-47

10



Z-48

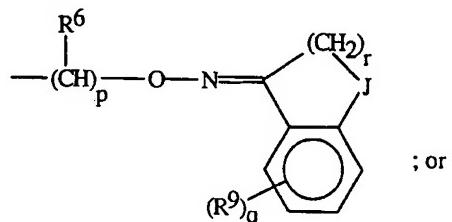
or



Z-49

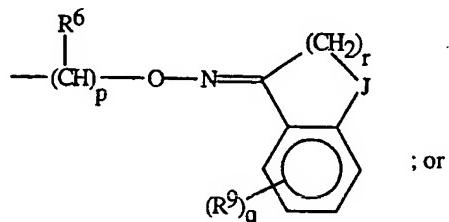
each group optionally substituted with one R⁹, R¹⁰, or both R⁹ and R¹⁰;
or

R^3 , Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R^4 ; or Y and Z are taken together to form



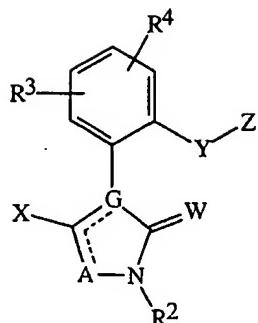
- 5 R^8 is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; or R^8 is phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ;
- 10 R^9 is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; cyano; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); or N(C₁-C₆ alkyl)₂; or R^9 is C₃-C₆ cycloalkyl, phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ; and
- 15 R^{19} is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano.

3. A compound of Claim 2 wherein
Z is phenyl or Z-1 to Z-21, each optionally substituted with one of R^9 ,
20 R^{10} , or both R^9 and R^{10} ; or
Y and Z are taken together to form



- 25 J is -CH₂- or -CH₂CH₂-;
p is 0; and
r is 1.
4. A compound of Claim 3 wherein
A is O; N; NR⁵; or CR¹⁴;
X is OR¹;

- R¹ is C₁-C₃ alkyl;
R² is H or C₁-C₂ alkyl;
R³ and R⁴ are each H;
Y is -O-; -CH=CH-; -CH₂O-; -OCH₂-; -CH₂O-N=C(R⁷)-; or
5 -CH₂OC(=O)NH-;
R⁷ is H; C₁-C₃ alkyl; or C₁-C₃ haloalkyl; and
Z is phenyl, pyridinyl, pyrimidinyl, or thieryl, each optionally substituted
with one of R⁹, R¹⁰, or both R⁹ and R¹⁰;
5. A compound of Claim 4 wherein
10 A is O or NR⁵;
G is C;
Y is -O-; -CH₂O-; -OCH₂-; or -CH₂O-N=C(R⁷)-; and
R⁷ is H; C₁-C₂ alkyl; or C₁-C₂ haloalkyl.
6. A compound of Claim 4 wherein
15 A is N or CR¹⁴;
G is N;
Y is -O-; -CH₂O-; -OCH₂-; or -CH₂O-N=C(R⁷)-;
R⁷ is H; C₁-C₂ alkyl; or C₁-C₂ haloalkyl.
7. A compound of Claim 5 wherein
20 R¹ is methyl;
R² is methyl; and
Z is phenyl optionally substituted with one of R⁹, R¹⁰, or both R⁹ and
R¹⁰.
8. A compound of Claim 6 wherein
25 R¹ is methyl;
R² is methyl; and
Z is phenyl optionally substituted with one of R⁹, R¹⁰, or both R⁹ and
R¹⁰.
9. A fungicidal composition comprising an effective amount of a compound of
30 Formula I



1

wherein:

A is O; S; N; NR⁵; or CR¹⁴;5 G is C or N; provided that when G is C, A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, A is N or CR¹⁴ and the floating double bond is attached to A;

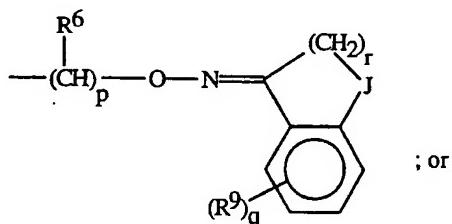
W is O or S;

X is OR¹; S(O)_mR¹; or halogen;10 R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl; or benzoyl optionally substituted with R¹³;15 R² and R⁵ are each independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl; or benzoyl optionally substituted with R¹³;20 R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; or C₂-C₆ alkynyoxy;25 Y is -O-; -S(O)_n-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR⁶O-; -OCHR⁶-; -CHR⁶S(O)_n-; -S(O)_nCHR⁶-; -CHR⁶O-N=C(R⁷)-; -(R⁷)C=N-OCH(R⁶)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR⁶OC(=O)N(R¹⁵)-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the phenyl ring and the moiety on the right side of the linkage is bonded to Z;R⁶ is independently H or C₁-C₃ alkyl;30 R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; cyano; or morpholinyl;

Z is C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each optionally substituted with R⁸; or Z is C₃-C₈ cycloalkyl or phenyl each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or Z is a 3 to 14-membered nonaromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, or Z is a 5 to 14-membered aromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, each nonaromatic or aromatic ring system containing 1 to 6 heteroatoms independently selected from the group 1-4 nitrogen, 1-2 oxygen, and 1-2 sulfur, each nonaromatic or aromatic ring system optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or

5 R⁷ and Z are taken together to form CH₂CH₂CH₂, CH₂CH₂CH₂CH₂, CH₂CH₂OCH₂CH₂, each CH₂ group optionally substituted with 1-2 halogen; or

10 Y and Z are taken together to form



15 R³, Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R⁴; provided that when R³, Y, and Z are taken together with the phenyl ring to form a naphthylene ring substituted by R⁴, and A is S, W is O, X is SCH₃ and R² is CH₃, then R⁴ is other than H;

20 J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or -CH₂N(R¹⁶)-; each CH₂ group optionally substituted with 1 to 2 CH₃;

25 R⁸ is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; or nitro; or R⁸ is phenyl, phenoxy, pyridinyl, pyridinyloxy, thieryl, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

30 R⁹ is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; -C(R¹⁸)=NOR¹⁷; cyano; or nitro; or R⁹ is phenyl, benzyl,

benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

5 R¹⁰ is halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or R⁹ and R¹⁰, when attached to adjacent atoms, are taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂ group optionally substituted with 1-2 halogen;

R¹¹ and R¹² are each independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; nitro; or cyano;

10 R¹³ is halogen; C₁-C₃ alkyl; C₁-C₃ haloalkyl; C₁-C₃ alkoxy; C₁-C₃ haloalkoxy; nitro; or cyano;

R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;

15 R¹⁵, R¹⁶, R¹⁷, and R¹⁸ are each independently H; C₁-C₃ alkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

m, n and q are each independently 0, 1 or 2; and

p and r are each independently 0 or 1;

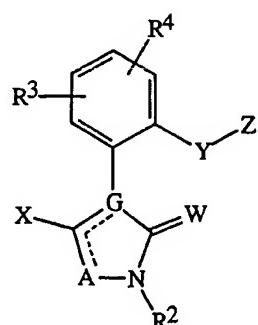
provided that

20 (a) when A is N, G is N, X is S(O)_mR¹ and m is 0, then the combination of Y and Z is other than alkyl, haloalkyl or alkoxy; and

(b) when A is NR⁵, G is C, X is OR¹ and R¹ is alkylcarbonyl, alkoxy carbonyl or optionally substituted benzoyl, then the combination of Y and Z is other than alkyl or alkoxy;

and at least one of (a) a surfactant, (b) an organic solvent, and (c) at least one solid or liquid diluent.

25 10. A method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, an effective amount of a compound of Formula I

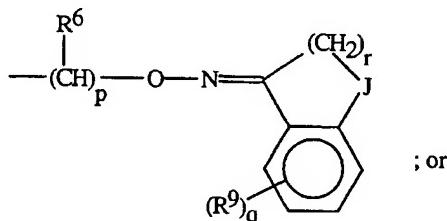


wherein:

- A is O; S; N; NR⁵; or CR¹⁴;
- G is C or N; provided that when G is C, A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, A is N or CR¹⁴ and the floating double bond is attached to A;
- 5 W is O or S;
- X is OR¹; S(O)_mR¹; or halogen;
- R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ 10 alkoxy carbonyl; or benzoyl optionally substituted with R¹³;
- R² and R⁵ are each independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl; or benzoyl optionally substituted with R¹³;
- 15 R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; or C₂-C₆ alkynyoxy;
- Y is -O-; -S(O)_n-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR⁶O-; -OCHR⁶-; 20 -CHR⁶S(O)_n-; -S(O)_nCHR⁶-; -CHR⁶O-N=C(R⁷)-; -(R⁷)C=N-OCH(R⁶)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR⁶OC(=O)N(R¹⁵)-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the phenyl ring and the moiety on the right side of the linkage is bonded to Z;
- 25 R⁶ is independently H or C₁-C₃ alkyl;
- R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; cyano; or morpholinyl;
- 30 Z is C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each optionally substituted with R⁸; or Z is C₃-C₈ cycloalkyl or phenyl each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or Z is a 3 to 14-membered nonaromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, or Z is a 5 to 14-membered aromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, each nonaromatic or aromatic ring system containing 1 to 6 heteroatoms independently selected from the group
- 35

1-4 nitrogen, 1-2 oxygen, and 1-2 sulfur, each nonaromatic or aromatic ring system optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or R⁷ and Z are taken together to form CH₂CH₂CH₂, CH₂CH₂CH₂CH₂, CH₂CH₂OCH₂CH₂, each CH₂ group optionally substituted with 1-2 halogen; or

5 Y and Z are taken together to form



- 10 R³, Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R⁴; provided that when R³, Y, and Z are taken together with the phenyl ring to form a naphthylene ring substituted by R⁴, and A is S, W is O, X is SCH₃ and R² is CH₃, then R⁴ is other than H;
- 15 J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; or -CH₂S-; -N(R¹⁶)CH₂-; or -CH₂N(R¹⁶)-; each CH₂ group optionally substituted with 1 to 2 CH₃;
- 15 R⁸ is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; or nitro; or R⁸ is phenyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;
- 20 R⁹ is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; -C(R¹⁸)=NOR¹⁷; cyano; or nitro; or R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;
- 25 R¹⁰ is halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or R⁹ and R¹⁰, when attached to adjacent atoms, are taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂ group optionally substituted with 1-2 halogen;
- 30 R¹¹ and R¹² are each independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; nitro; or cyano;

R¹³ is halogen; C₁-C₃ alkyl; C₁-C₃ haloalkyl; C₁-C₃ alkoxy; C₁-C₃ haloalkoxy; nitro; or cyano;

R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;

5 R¹⁵, R¹⁶, R¹⁷, and R¹⁸ are each independently H; C₁-C₃ alkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano; m, n and q are each independently 0, 1 or 2; and p and r are each independently 0 or 1;

10 provided that

(a) when A is N, G is N, X is S(O)_mR¹ and m is 0, then the combination of Y and Z is other than alkyl, haloalkyl or alkoxy; and

(b) when A is NR⁵, G is C, X is OR¹ and R¹ is alkylcarbonyl, alkoxy carbonyl or 15 optionally substituted benzoyl, then the combination of Y and Z is other than alkyl or alkoxy.

INTERNATIONAL SEARCH REPORT

Inte onal Application No
PCT/US 95/05847

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D249/12 C07D261/12 C07D275/03 C07D233/30 C07D231/14
A01N43/74 A01N43/56 A01N43/653 A01N43/50

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO,A,93 07116 (IMPERIAL CHEMICAL INDUSTRIES PLC) 15 April 1993 cited in the application see the whole document ---	1-10
A	US,A,4 098 896 (L.H. EDWARDS) 4 July 1978 see the whole document ---	1-10
A	EP,A,0 508 126 (BAYER AG) 14 October 1992 see the whole document ---	1-10
A	DE,A,44 13 669 (BAYER AG) 12 January 1995 see the whole document ---	1-10
E	WO,A,95 14009 (E.I. DU PONT DE NEMOURS AND COMPANY) 26 May 1995 see the whole document -----	1-10

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- *&* document member of the same patent family

Date of the actual completion of the international search

4 January 1996

Date of mailing of the international search report

16.01.96

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax (+31-70) 340-3016

Authorized officer

Allard, M

INTERNATIONAL SEARCH REPORT

I . national application No.
PCT/US 95/05847

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

For economical reasons (see PCT-Search Guidelines, C-III 2.1) the search has been limited to the classification units governed by the compounds listed in index tables A-C of the application.

Claims searched incompletely: 1-10
3. Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- The additional search fees were accompanied by the applicant's protest.
- No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 95/05847

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
WO-A-9307116	15-04-93	AU-B-	660711	06-07-95
		AU-B-	2513992	03-05-93
		EP-A-	0606251	20-07-94
		JP-T-	7502985	30-03-95
US-A-4098896	04-07-78	NONE		
EP-A-508126	14-10-92	DE-A-	4109208	24-09-92
		JP-A-	5117240	14-05-93
		US-A-	5474974	12-12-95
		US-A-	5332720	26-07-94
		US-A-	5358924	25-10-94
DE-A-4413669	12-01-95	AU-B-	7072694	06-02-95
		WO-A-	9501971	19-01-95
WO-A-9514009	26-05-95	AU-B-	7953594	06-06-95